697,545



(FILE 'HOME' ENTERED AT 13:57:59 ON 28 MAR 2003)

FILE 'CAPLUS' ENTERED AT 13:58:08 ON 28 MAR 2003

FILE 'REGISTRY' ENTERED AT 13:58:13 ON 28 MAR 2003

L1 STRUCTURE UPLOADED

L2379 S L1 FULL

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 28 MAR 2003

L3 201 S L2

7 S L3 AND OLIGONUCLEOTIDE L4

=> d 13 100-149 bib abs hitstr

ANSWER 100 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN1979:571303 CAPLUS

DN 91:171303

Production of guanosine by psicofuranine and decoyinine resistant mutants ΤI of Bacillus subtilis

Matsui, Hiroshi; Sato, Katsuaki; Enei, Hitoshi; Hirose, Yoshio ΑU

CS Cent. Res. Lab., Ajinomoto Co., Inc., Kawasaki, Japan

SO Agricultural and Biological Chemistry (1979), 43(8), 1739-44 CODEN: ABCHA6; ISSN: 0002-1369

DTJournal

LA English

AB

Growth of B. subtilis AG169 that produced large amts. of xanthosine and guanosine was inhibited by psicofuranine. When AG169 was mutated to resistance against psicofuranine, a mutant, GP-1, which yielded more guanosine was obtained. Psicofuranine did not inhibit growth of GP-1. GP-1, GMP synthetase activity was about half, with complete lack of repression and slightly less inhibition by GMP, of that in AG169. As growth of GP-1 was strongly inhibited by decoyinine, decoyinine-resistant mutants were derived from GP-1. Of these mutants, 2 strains, MG-1 and MG-4, were resistant to decoyinine completely and showed accumulation of guanosine in high yields, 16.0 and 15.5 g guanosine/L. The GMP synthetase activity of MG-1 was much greater than in GP-1 or AG169, and MG-1 was not inhibited by GMP, psicofuranine, or decoyinine. Psicofuranine and decoyinine resistance seemed mainly to affect GMP synthetase, and as a result, the conversion of xanthosine 5'-monophosphate to GMP proceeded more smoothly, and a larger amt. of guanosine was accumulated.

IT 1874-54-0

RL: BIOL (Biological study)

(guanosine prodn. by Bacillus subtilis mutants resistant to)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) CN (CA INDEX NAME)

L3 ANSWER 101 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1979:415775 CAPLUS

DN 91:15775

TI Adenosine kinase from rabbit liver. II. Substrate and inhibitor specificity

AU Miller, Richard L.; Adamczyk, David L.; Miller, Wayne H.; Koszalka, George W.; Rideout, Janet L.; Beacham, Lowrie M., III; Chao, Esther Y.; Haggerty, Jerald J.; Krenitsky, Thomas A.; Elion, Gertrude B.

CS Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA

SO Journal of Biological Chemistry (1979), 254(7), 2346-52 CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

Kinetic consts. for substrates and inhibitors of highly purified rabbit AB liver adenosine kinase were detd. for 119 nucleosides and nucleoside analogs. The enzyme was relatively nonsp. with regard to the base moiety of ribonucleosides. The best substrates were adenosine, 8-azaadenosine, toyocamycin, and sangivamycin. Although imidazole ribonucleosides and some of their analogs served as substrates, their K'm values were >1000 times that of adenosine. None of the pyrimidine ribonucleosides tested were substrates or inhibitors. The enzyme was relatively specific for the ribosyl moiety. 2'-Deoxyadenosine and arabinosyladenine were extremely poor substrates, with substrate efficiencies of 10-4-10-6 that of adenosine. Binding of the inhibitor, 5'-deoxy-5'-aminoadenosine appeared to be pH-dependent. Basically, these results support the suggestion that a 2'-hydroxyl group trans to the glycoside linkage is a prerequisite for substrate activity or appreciable binding to the enzyme. A trans-2'-amino group was able to replace the 2'-hydroxyl group without loss of substrate activity. Studies with adenosine analogs locked in defined conformations suggest that binding to the enzyme does not appear to be solely dependent upon conformation.

IT 1874-54-0

RL: BIOL (Biological study)

(adenosine kinase inhibition-by, kinetics of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 102 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1979:121932 CAPLUS

DN 90:121932

TI Synthesis of novel pyrimidine C-nucleosides

AU Sato, Tsuneo; Noyori, Ryoji

CS Dep. Chem., Nagoya Univ., Nagoya, Japan

Nucleic Acids Research, Special Publication (1978), 5 (Symp. Nucleic Acids Chem., 6th), 257-60
CODEN: NARPD6; ISSN: 0309-1872

## 09567863

DT Journal LA English GI

AB A stereocontrolled entry to a novel type of pyrimidine C-nucleosides has been accomplished starting with .alpha.,.alpha.,.alpha.',.alpha.'-tetrabromoacetone and furfuryl acetate. Thus, I (R = CH2OH, R1 = H; R = H, R1 = CH2OH) were obtained free from isomers.

IT 69471-81-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 69471-81-4 CAPLUS

Ι

CN 4(1H)-Pyrimidinone, 2,3-dihydro-5-.beta.-psicofuranosyl-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 103 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1979:1685 CAPLUS

DN 90:1685

TI Agricultural antibiotic

IN Kida, Takao; Terahara, Zuisho; Shida, Toshiro; Mizuno, Hiroshi; Takahara, Yoshiyuki; Hirose, Yoshiteru

PA Ajinomoto Co., Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 3 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN. CNT 1

1 2 114	·CNII				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 53086017	`A2	19780729	JP 1976-148137	19761209
	US 4225585	A	19800930	US 1978-908750	19780523

PRAI JP 1976-148137 19761209

The antibiotics angustmycin A [2004-04-8] and angustmycin C [1874-54-0] are fungicides. Thus, 500 ppm angustmycin C controlled Pseudomonas lachrymans infection in cucumber.

IT

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(fungicide)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 104 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

ΑN 1978:541059 CAPLUS

DN 89:141059

Antibiotic activity of organic compounds and their average quasi-valence TInumber

ΑU Ajdacic, V.; Veljkovic, V.

CS Boris Kidric Inst., Belgrade, Yugoslavia

SO Experientia (1978), 34(5), 633-5 CODEN: EXPEAM; ISSN: 0014-4754

DTJournal

LA English

The av. quasi-valence nos. Z\* of antibiotics inhibiting protein synthesis AΒ are in the range of the av. Z\* values of amino acids; those of antibiotics inhibiting DNA or RNA synthesis are higher, being closer to the Z\* values of purine and pyrimidine bases. Z\* is a ratio calcd. from the at. valence electrons and no. of atoms in a mol. Thus, the electronic charge carried by a mol. is involved in its biol. activity, possibly during transport of the mol. or in its approach to the reaction centers.

IT1874-54-0

RL: PRP (Properties)

(quasi-valence no. of, RNA-formation inhibition in relation to)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

L3 ANSWER 105 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1978:400965 CAPLUS

DN 89:965

TI Ligand binding to the adenine analog binding protein of the rabbit erythrocyte

AU Olsson, R. A.

CS Coll. Med., Univ. South Florida, Tampa, FL, USA

SO Biochemistry (1978), 17(2), 367-75

CODEN: BICHAW; ISSN: 0006-2960

I

DT Journal LA English

ĠΙ

Adenine analog binding protein of rabbit erythrocytes reversibly bond tritium-labeled adenosine (I) [68-94-0] with an equil. const. of 5.3 .times. 10-9M, an assocn. rate const. of 1.4 .times. 10-12M-1 min-1, and a dissocn. rate const. of 7.5 .times. 10-3 min-1, as estd. by anonlinear curve-fitting program applied to data on the time course of the binding reaction. Inhibition of I binding by a series of 77 I analogs was used to define the factors detg. the binding affinity of this nucleoside. These are: (1) the size and aromaticity of the purine base: (2) a glycosylic torsion angle of .apprx.-120.degree.; (3) the ribo configuration the 2'-and 3'-hydroxyls and also the 5'-hydroxyl. Bulky substituents in the region of C-2' and to a lesser extent in the region of C-3' decreased affinity.

IT 1874-54-0

RL: PRP (Properties)

(adenosine binding by protein inhibition by, in erythrocyte)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 106 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1977:423660 CAPLUS

DN 87:23660

TI C-4'-branched-chain sugar nucleosides: synthesis of isomers of psicofuranine

AU Rosenthal, Alex; Ratcliffe, Murray

CS Dep. Chem., Univ. British Columbia, Vancouver, BC, Can.

SO Carbohydrate Research (1977), 54(1), 61-73

CODEN: CRBRAT; ISSN: 0008-6215

DT Journal

LA English

GΙ

# \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Photoamidation of 3-0-acetyl-1,2:5,6-di-O-isopropylidene-.alpha.-D-erythro-hex-3-enofuranose gave 65% gulofuranose I and 26% allofuranose II; treatment of I with HCl in MeOH gave the lactone III, which was reduced by NaBH4 to give (hydroxymethyl)gulofuranose-IV-[R=H,R1=HOCH2,R2=CH(OH)CH2OH] (V). Sodium metaperiodate oxidn. of V and subsequent NaBH4 redn. gave the (hydroxymethyl)pentofuranose IV (R=H,R1=R2=CH2OH). Treatment of IV (R=Ac,R1=R2=AcO) with CF3CO2H followed by acetylation gave VI, which was converted to the corresponding glycosyl halide and condensed with N6-benzoyl-N6,9-bis(trimethylsilyl)adenine to give the pentofuranosyl adenine VII and its .alpha.-D anomer after

IT 1874-54-0DP, isomers

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

ANSWER 107 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1977:39244 CAPLUS

DN 86:39244

Guanosine monophosphate synthetase from Ehrlich ascites cells. Multiple TT inhibition by pyrophosphate and nucleosides ΑIJ

Spector, Thomas; Jones, Thomas E.; Krenitsky, Thomas A.; Harvey, Robert J. Wellcome Res. Lab., Burroughs Wellcome Co., Research Triangle Park, NC, CS

Biochimica et Biophysica Acta (1976), 452(2), 597-607 SO CODEN: BBACAQ; ISSN: 0006-3002

DTJournal

LΑ English

GMP synthetase (EC 6.3.4.1) from Ehrlich ascites cells is subject to AB multiple inhibition by its reaction product, pyrophosphate (PPi), and some analogs of adenosine. PPi and the nucleoside inhibitors were also capable of individually inhibiting this enzyme. Under no conditions did the inhibition appear to be irreversible or pseudoinactivating in nature. individual inhibition by PPi was competitive with respect to ATP (Ki = 0.42 mM). Conversely, in the absence of PPi, the binding of nucleoside was noncompetitive with ATP, but shifted to a competitive pattern when PPi was present. Furthermore, with the inhibitors in concert, there was an apparent lowering of the Ki values for both inhibitors. These data are consistent with either PPi functioning to tighten the binding of nucleoside at a noncatalytic site (pos. cooperativity) or with PPi actually opening a 2nd binding site for nucleoside in addn. to the noncatalytic site. The intensity of the effect of PPi appeared to be const.; i.e., for various nucleoside inhibitors with a range of independently detd. Ki values from 26 to 1650 .mu.M, the ratio of their Ki values detd. in the absence of PPi to the values detd. in the presence of PPi was always 38.

IT 1874-54-0

RL: BIOL (Biological study)

(guanylate synthetase inhibition by)

1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 108 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1976:524279 CAPLUS

DN 85:124279

Branched-chain nucleosides: synthesis of structural analogs of TI psicofuranine and of the polyoxin complex

ΑU Ratcliffe, Robert M.

CS Univ. British Columbia, Vancouver, BC, Can.

(1975) No pp. Given Avail.: Univ. British Columbia, Vancouver, B. C SO From: Diss. Abstr. Int. B 1976, 36(12, Pt. 1), 6177

DTDissertation

## 09567863

LA English AΒ Unavailable TT 1874-54-0P RL: SPN (Synthetic preparation); PREP (Preparation) (analogs of, prepn. of) RN1874-54-0 CAPLUS 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 109 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

ΔN 1976:489150 CAPLUS

DN 85:89150

Deoxycytidine kinase from calf thymus. Substrate and inhibitor TIspecificity

Krenitsky, Thomas A.; Tuttle, Joel V.; Koszalka, George W.; Chen, Isabel ΑU S.; Beacham, Lowrie, M., III; Rideout, Janet L.; Elion, Gertrude B.

Wellcome Res. Lab., Research Triangle Park, NC, USA CS

Journal of Biological Chemistry (1976), 251(13), 4055-61 SO CODEN: JBCHA3; ISSN: 0021-9258

DTJournal

AΒ

LΑ

English Kinetic consts. were detd. for 34 nucleoside substrates of deoxycytidine kinase (EC 2.7.1.74) from calf thymus. Substrate efficiency was assessed by the ratio of Vmax to Km. Inhibition consts. were detd. for 61 nonsubstrate nucleosides or nucleoside analogs. The enzyme was relatively specific for the pentose moiety of nucleoside substrates. .beta.-D-2'-Deoxyribonucleosides were more efficient substrates than the corresponding .beta.-D-arabinonucleosides. Unexpectedly, the L isomer of the .beta.-arabinonucleoside of cytosine was a more efficient substrate than was the D isomer. .beta.-Cytidine and .beta.-5-azacytidine were the only .beta.-D-ribonucleosides studied that had detectable substrate activity. .alpha.-Cytidine was an inhibitor but not a substrate. Nucleosides contg. a variety of sugar moieties other than those mentioned above did not have detectable substrate activity. The enzyme was relatively nonspecific for the base moiety of nucleoside substrates. 2'-Deoxyribonucleosides of a variety of pyrimidines, purines, and other heterocycles were substrates. Cytosine was the most preferred pyrimidine moiety. 5-Substitution, except with F, decreased substrate efficiency with nucleosides of cytosine or uracil. 2-Fluoroadenine was the most preferred purine moiety. The effects of various purine ring substituents were interdependent. Nucleosides contg. bulky, hydrophobic substituents on either the base or the pentose moiety had no substrate activity but were relatively potent competitive inhibitors. This suggested the presence of a hydrophobic region on the surface of the enzyme near the active site.

TΤ 1874-54-0

RL: BIOL (Biological study) (deoxycytidine kinase specificity for) RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 110 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

ΑN 1976:180518 CAPLUS

DN 84:180518

Halo sugar nucleosides. V. Synthesis of angustmycin A and some base ΤI analoques

Prisbe, Ernest J.; Smejkal, Jiri; Verheyden, Julien P. H.; Moffatt, John ΑU

CS Inst. Mol. Biol., Syntex Res., Palo Alto, CA, USA

Journal of Organic Chemistry (1976), 41(10), 1836-46 SO CODEN: JOCEAH; ISSN: 0022-3263

DTJournal

LΑ English

GI For diagram(s), see printed CA Issue.

9-(5-Deoxy-.beta.-D-erythro-pent-4-enofuranosyl)adenine was prepd. via AΒ dehydrohalogenation of 5'-deoxy-5'-iodo-N6,N6,O2',O3'tetrabenzoyladenosine with either AgF in pyridine or with 1,5-diazabicyclo[4.3.0]-non-5-ene-in-DMF---1,3,4-Tri-O-benzoyl-6-deoxy-6iodo-D-psicofuranosyl bromide (I) was prepd. from D-fructose via oxidn. of the 1,2:4,5-di-O-isopropylidene deriv. followed by NaBH4 redn., acid-catalyzed isomerization to the psicofuranose deriv., and iodination. Condensation of I with adenine derivs. provides the 9-.beta.-D-psicofuranosyl nucleosides [II, R = H, Bz, CO(CH2)4Me] with lesser amts. of the .alpha.-anomers. Dehydrohalogenation of II followed by deblocking gives angustmycin A. Related sequences starting with condensations of I with cytosine or 3-methoxycarbonyl-1,2,4-triazole lead to the corresponding base analogs of angustmycin A. The .beta.-D-psicofuranosyl derivs. of cytosine and of 1,2,4-triazole-3-carboxamide were also prepd.

IT 58463-30-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzoylation of)

58463-30-2 CAPLUS RN

2(1H)-Pyrimidinone, 4-amino-1-(1,3,4,6-tetra-O-benzoyl-.beta.-D-CN psicofuranosyl) - (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

IT 53318-75-5P 58463-23-3P 58463-27-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

53318-75-5 CAPLUS RN

2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-psicofuranosyl- (9CI) (CA INDEX

RN58463-23-3 CAPLUS

1H-1,2,4-Triazole-3-carboxylic acid, 1-(6-0-acetyl-1,3,4-tri-0-benzoyl-CN .beta.-D-psicofuranosyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN58463-27-7 CAPLUS

1H-1,2,4-Triazole-3-carboxamide, -1-.beta--D-psicofuranosyl- (9CI) (CA CNINDEX NAME)

- ANSWER 111 OF 201 CAPLUS COPYRIGHT 2003 ACS L3
- 1975:588083 CAPLUS AN
- DN 83:188083
- Antibiotics resembling adenosine. Tubercidin, toyocamycin, sangivamycin, ΤI formycin, psicofuranine, and decoyinine
- ΑU Nichol, Charles A.
- Wellcome Res. Lab., Research Triangle Park, NC, USA CS
- Handbuch der Experimentellen Pharmakologie (1975), 38 (Antineoplast. SO Immunosuppr. Agents, Pt. 2), 434-57 CODEN: HXPHAU; ISSN: 0073-0033
- DTJournal; General Review
- LΑ English

RΝ

A review of the pharmacol. of antibiotics which resemble adenosine such as AB tubercidin [69-33-0], toyocamycin [606-58-6], sangivamycin [18417-89-5], formycin [6742-12-7], psicofuranine [1874-54-0], and decoyinine [2004-04-8]; with many refs. The relationship of the structure of the antibiotics to their metab. and locus of action is emphasized. ΤТ 1874-54-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(pharmacol. of) 1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 112 OF 201 CAPLUS COPYRIGHT 2003 ACS  $L_3$ 

AN 1975:410678 CAPLUS

DN 83:10678

Synthesis of 1-[3-deoxy-.beta.-D-psicofuranosyl]uracil and related ΤI compounds

ΑU Holy, A.

CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, Czech.

Nucleic Acids Research (1974), 1(2), 289-98 SO

CODEN: NARHAD; ISSN: 0305-1048

DT Journal

LA English

D-Fructose gave on treatment with cyanamide 2-amino-.beta.-D-AΒ fructofuro[2',3':3,4]oxazoline 1-[1,4,6-tri-O-benzoyl-3-chloro-3-deoxy-.beta.-D-psicofuranosyl]uracil was not isolated but transformed directly by reaction with Et propiolate into 02,03'-anhydro-2-[.beta.-Dfructofuranosyl]uracil, which was benzoylated to the 1',4',6'-tri-Obenzoyl deriv. I with C6H5CN-Et3N. On treatment with HCl-DMF, I gave the 1-[1,4,6-tri-O-benzoyl-3-chloro-3-deoxy-.beta.-D-psicofuranosyl]uracil 1',4',5'-tribenzoate from which the title nucleoside deriv. is obtained by methanolysis.

55697-36-4P 55697-37-5P 55697-39-7P IT 55701-22-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN55697-36-4 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-3-deoxy-.beta.-D-CN erythro-2-hexulofuranosyl)- (9CI) (CA INDEX NAME)

09567863

RN 55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 55697-39-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-.beta.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 55701-22-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-3-chloro-3-deoxy-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

ANSWER 113 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN1975:166424 CAPLUS

DN 82:166424

Xanthosine-5'-phosphate amidotransferase from Escherichia coli ΤI

Patel, Nanu; Moyed, Harris S.; Kane, James F. ΑU

Dep. Microbiol., Univ. Tennessee Cent. Health Sci., Memphis, TN, USA CS

Journal of Biological Chemistry (1975), 250(7), 2609-13 SO CODEN: JBCHA3; ISSN: 0021-9258

Journal

LΑ English

DT

AΒ

Purified XMP aminase from E. coli strain B-96 possessed catalytic activity with either glutamine or NH3 as a substrate. This enzyme, which possesses identical subunits, had the following properties: (1) a pH optimum of 8.3 for both aminase and amidotransferase; (2) an apparent Km for both glutamine and NH3 of 1mM; (3) an amidotransferase that is .apprx.2 times more active than the aminase; (4) a linear relation between velocity and enzyme concn. for both activities; (5) inhibition of both activities by the glutamine analog 6-diazo-5-oxo-L-norleucine, but the amidotransferase is more sensitive than the aminase; and (6) inhibition of both activities by the adenosine analog, psicofuranine, but again the amidotransferase activity is more sensitive than the aminase. The so-called XMP aminase from the E. coli mutant B-24-1 also was examd. in both crude exts. and (NH4)2SO4 fractions and the following data were obtained: (1) both prepns. of enzyme contain aminase and amidotransferase activity; (2) both activities have the same substrate requirements; (3) the pH optima for both activities in the crude ext. are identical with those found with the purified enzyme prepn.; and (4) the amidotransferase activity in the crude ext. and the (NH4)2SO4 fractions is 2- to 3-fold more active than the aminase. Thus, this enzyme from E. coli is not strictly a XMP aminase but is, in fact, an amidotransferase capable of utilizing either glutamine or NH3, as a substrate.

IT 1874-54-0

RL: BIOL (Biological study)

(xanthosine phosphate amidotransferase inhibition by)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

ANSWER 114 OF 201 CAPLUS COPYRIGHT 2003 ACS  $L_3$ 

AN 1975:165304 CAPLUS

DN 82:165304

Inhibition of nucleoside-binding sites by nucleoside analogs in ΤI Escherichia coli

ΑU Doskocil, J.; Holy, A.

CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, Czech. SO

Nucleic Acids Research (1974), 1(3), 491-502

CODEN: NARHAD; ISSN: 0305-1048

DT Journal LA English

A no. of analogs of pyrimidine nucleosides, purine nucleosides, and AB nucleosides with modified sugar components inhibited the bacteriostatic effect of showdomycin [16755-07-0] in E. coli. Since the activity of this antibiotic is dependent on its cellular uptake by a nucleosidetransporting system, the nucleoside analogs may act as competitive inhibitors for a common nucleoside binding site on the cell surface. Incorporation of the inhibitor 5-azacytidine [320-67-2] depended on the same nucleoside-transporting system as that of showdomycin, and the same analogs antagonized the bacteriostatic effects of both inhibitors. The rate of thymidine phosphorolysis by intact E. coli cells was detd. by the nucleoside-transporting system, and there-was good agreement between the showdomycin-detoxicating effect and the inhibition of thymidine phosphorolysis by the nucleoside analogs.

ΙT 55207-79-9

RL: PRP (Properties)

(showdomycin transport inhibition by, in Escherichia coli)

RN55207-79-9 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.alpha.-D-erythro-2-CN hexulofuranosyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 115 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1975:123360 CAPLUS

DN 82:123360

Xanthosine by fermentation ΤI

### 09567863

Nara, Takashi; Misawa, Masayoshi; Kawamoto, Isao IN

PΑ Kyowa Hakko Kogyo Co., Ltd.

SO Jpn. Tokkyo Koho, 2 pp.

CODEN: JAXXAD

DТ Patent

LA Japanese

FAN.CNT 1

	ENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 49039839 JP 1967-30403	B4		JP 1967-30403	19670515
	50105		19670515		

Xanthosine was produced from cells and cultures of xanthosine-producing AΒ Anthrobacter and Brevibacterium which were grown in media contg. the antibiotic psicofuranin. Thus, B. ketoglutamicum ATCC 15587 was cultured aerobically at 30.degree. for 120 hr in a medium contg. light oil 5, (NH4)2SO4 1, K2HPO4 0.2, KH2PO4 0.18 MgSO4 0.05, MnSO4 0.001, FeSO4 0.001, yeast ext. 0.4, peptone 0.5, CaCO3 2%, and psicofuranin 1000 .mu.g/ml. The prodn. of xanthosine was 1.32 mg/ml in the culture contg. psicofuranin and trace amts. in the control culture.

ΙT 1874-54-0

RL: BIOL (Biological study)

(in xanthosine fermn. by Brevibacterium ketoglutamicum)

RN 1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L3 ANSWER 116 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN1975:17059 CAPLUS

DN 82:17059

Nucleic acid components and their analogs. CLXVI. TI Synthesis of 7- and 9.beta.-D-psicofuranosylguanine and their 1'-deoxy derivatives

AU Hrebabecky, H.; Farkas, J.

Inst. Org. Chem. Biochem., Czechoslovak Acad. Sci., Prague, Czech. CS

Collection of Czechoslovak Chemical Communications (1974), 39(8), 2115-23 SO CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

LΑ English

For diagram(s), see printed CA Issue. GI

Reaction of tris(trimethylsilyl)-N2-acetylguanine in MeCN in the presence AΒ of (AcO) 2Hg with 1,3,4,6-tetra-O-benzoyl-D-psicofuranosyl bromide, 1-chloro-1-deoxy-3,4,6-tri-0-p-toluoyl-D-psicofuranosyl bromide, 1-bromo-1-deoxy-3,4,6-tri-0-p-toluoyl-D-psicofuranosyl bromide, and 1,3,4,6-tetra-O-benzoyl-D-fructofuranosyl bromide was examd. redn. of I and II (R1 = Br, R2 = p-MeC6H4CO, R3 = Ac) gave I and II (R1 = H, R2 = p-MeC6H4CO, R3 = Ac) which were converted with NH3 in MeOH to the free nucleosides I and II (R1 = R2 = R3 = H).

IT 51296-48-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(CD of)
RN 51296-48-1 CAPLUS
CN 9H-Purin-6-amine, 9-(1-bromo-1-deoxy-.beta.-D-psicofuranosyl)- (9CI)
INDEX NAME)

(CA

Absolute stereochemistry.

Absolute stereochemistry.

RN 54401-02-4 CAPLUS
CN Acetamide, N-[6,9-dihydro-6-oxo-9-(1,3,4,6-tetra-O-benzoyl-.beta.-D-psicofuranosyl)-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

RN 54401-03-5 CAPLUS

CN Acetamide, N-[7-[1-chloro-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-6,7-dihydro-6-oxo-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-04-6 CAPLUS

CN Acetamide, N-[9-[1-chloro-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-6,9-dihydro-6-oxo-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

RN 54401-05-7 CAPLUS

CN Acetamide, N-[7-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-6,7-dihydro-6-oxo-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-06-8 CAPLUS

CN Acetamide, N-[9-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-6,9-dihydro-6-oxo-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-07-9 CAPLUS

CN 6H-Purin-6-one, 2-amino-7-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-beta.-D-psicofuranosyl]-1,7-dihydro-(9CI) (CA INDEX NAME)

RN 54401-08-0 CAPLUS

CN 6H-Purin-6-one, 2-amino-9-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-09-1 CAPLUS

CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-(1,3,4,6-tetra-0-benzoyl-.alpha.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

RN 54401-21-7 CAPLUS

CN Acetamide, N-[9-[1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-6,9-dihydro-6-oxo-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-22-8 CAPLUS

CN Acetamide, N-[7-[1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-6,7-dihydro-6-oxo-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54547-89-6 CAPLUS

CN Acetamide, N-[6,9-dihydro-6-oxo-9-(1,3,4,6-tetra-O-benzoyl-.alpha.-D-fructofuranosyl)-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

09567863

Absolute stereochemistry.

RN 54401-15-9 CAPLUS CN 6H-Purin-6-one, 2-amino-1,9-dihydro-9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-16-0 CAPLUS CN 6H-Purin-6-one, 2-amino-7-(1-chloro-1-deoxy-.beta.-D-psicofuranosyl)-1,7dihydro- (9CI) (CA INDEX NAME)

RN 54401-17-1 CAPLUS

CN 6H-Purin-6-one, 2-amino-9-(1-chloro-1-deoxy-.beta.-D-psicofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-18-2 CAPLUS

CN 6H-Purin-6-one, 2-amino-7-(1-bromo-deoxy-.beta.-D-psicofuranosyl)-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54401-19-3 CAPLUS

CN Guanosine, 1'-C-methyl- (9CI) (CA INDEX NAME)

RN 54401-20-6 CAPLUS CN 6H-Purin-6-one, 2-amino-9-.alpha.-D-fructofuranosyl-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 $N$ 
 $H_0$ 
 $N$ 
 $S$ 
 $S$ 
 $S$ 
 $OH$ 
 $OH$ 

RN 54477-03-1 CAPLUS
CN 6H-Purin-6-one, 2-amino-9-(1-bromo-1-deoxy-.beta.-D-psicofuranosyl)-1,9dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 54477-04-2 CAPLUS CN 6H-Purin-6-one, 2-amino-7-(1-deoxy-.beta.-D-psicofuranosyl)-1,7-dihydro-(9CI) (CA INDEX NAME)

L3 ANSWER 117 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1975:11023 CAPLUS

DN 82:11023

TI In vitro antimalarial activity of nucleic acid precursor analogs in the simian malaria Plasmodium knowlesi

AU McCormick, Gerald J.; Canfield, Craig J.; Willet, Gloria P. CS Div. Med., Walter Reed Army Inst. Res., Washington, DC, USA

Antimicrobial Agents and Chemotherapy (1974), 6(1), 16-21 CODEN: AMACCQ; ISSN: 0066-4804

DT Journal

LA English

AB Incorporation of adenosine or orotic acid into P. knowlesi nucleic acids in vitro was effectively inhibited by many nucleic acid precursor analogs, including 3' analogs of purine nucleosides, many of the 6-position analogs of purine bases and nucleosides, and 5-position analogs of orotic acid. Only a few compds. inhibited methionine incorporation into protein, and in each instance adenosine or orotic acid incorporation also was inhibited. Some compds. inhibited adenosine or orotic acid incorporation into both RNA and DNA whereas others inhibited incorporation into one nucleic acid only. The qual. and quant. differences suggest that this exptl. system may be appropriate for investigation or metabolic pathways of the malaria parasite, as well as for demonstration of antimalarial activity of candidate antimalarial drugs.

IT 1874-54-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antimalarial activity of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 118 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1974:478179 CAPLUS

DN 81:78179

TI Nucleic acid components and their analogs. CLXV. Synthesis of

1-.beta.-D-psicofuranosyluracil and 1-.beta.-D-psicofuranosylcytosine ΑU Hrebabecky, Hubert; Farkas, Jiri Cesk. Akad. Ved, Prague, Czech. CS Collection of Czechoslovak Chemical Communications (1974), 39(4), 1098-106 SO CODEN: CCCCAK; ISSN: 0010-0765 DTJournal LA English For diagram(s), see printed CA Issue. GI AΒ 3,4,5,6-Tetra-O-acetyl-1-deoxy-1-diazo-D-psicose in MeOH was kept at 60.degree. in 0.1M HClO4 and the mixt., neutralized with Zerolite FF (carbonate) ion exchange resin, gave 80% D-psicose. Reaction of 2,4-bis(trimethylsiloxy)pyrimidine with 2-bromo-1,3,4,6-tetra-O-p-toluoyl-D-psicofuranose in MeCN in the presence of Hg(OAc)2 gave I (R = p-MeC6H4CO) hydrolysis gave I (R = H). Similarly, 2-(trimethylsiloxy)-4-(N-trimethylsilylacetamido)pyrimidine was converted to II. I was also prepd. by alk. methanolysis of 1',2-anhydro-1-(3,4,6-tri-0-p-toluoyl-.beta.-D-psicofuranosyl)uracil. IT 38946-87-1P 53263-32-4P 53263-33-5P 53263-34-6P 53263-35-7P 53263-44-8P 53263-45-9P 53318-75-5P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of) RN 38946-87-1 CAPLUS 2,4(1H,3H)-Pyrimidinedione, 1-[1-chloro-1-deoxy-3,4,6-tris-O-(4-CN methylbenzoyl) - .beta. -D-psicofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53263-32-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,3,4,6-tetrakis-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

RN 53263-33-5 CAPLUS CN Uridine, 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53263-34-6 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,3,4,6-tetra-O-acetyl-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53263-35-7 CAPLUS
CN Acetamide, N-[1,2-dihydro-2-oxo-1-[1,3,4,6-tetrakis-0-(4-methylbenzoyl)-beta.-D-psicofuranosyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 53263-44-8 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-(1-chloro-1-deoxy-.beta.-D-psicofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53263-45-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3,4,6-tri-O-acetyl-1-chloro-1-deoxy-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53318-75-5 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

IT 38946-85-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with lithium azide and barium methoxide)

RN 38946-85-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 119 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1974:48297 CAPLUS

DN 80:48297

TI Nucleic acid components and their analogs. CLXI. Synthesis of some 1-amino-1-deoxy-D-psicose derivatives

AU Hrebabecky, Hubert; Krupicka, Josef; Farkas, Jiri

CS Cesk. Akad. Ved, Prague, Czech.

Collection of Czechoslovak Chemical Communications (1973), 38(10), 3181-8 CODEN: CCCCAK; ISSN: 0010-0765

DT Journal

LA English

The HCl-catalyzed methanolysis of 3,4,5,6-tetra-O-acetyl-1-azido-1-deoxy-D-psicose gave an anomeric mixt. of Me 1-azido-1-deoxy-D-psicofuranosides which was benzoylated to yield pure Me 1-azido-3,4,6-tri-O-benzoyl-1-deoxy-beta.-D-psicofuranoside (I). I kept in NH3/MeOH gave Me 1-azido-1-deoxy-beta.-D-psicofuranoside. Hydrogenation of I in AcOEt and Ac2O over 5% PdO/BaSO4 gave Me 1-acetamido-3,4,6-tri-O-benzoyl-1-deoxy-beta.-D-psicofuranoside (II). Reaction of I in CH2Cl2 with HBr/AcOH and then with the chloromercuri salt of 6-benzamidopurine gave 9-(3,4,6-tri-O-benzoyl-1-bromo-1-deoxy-beta.-D-psicofuranosyl)-6-benzamidopurine. II in CH2Cl2 treated with HBr/AcOH gave 1-acetamido-3,4,6-tri-O-benzoyl-1-deoxy-D-psico-2,3-furanosene. The above anomalous reactions of I and II with HBr were discussed.

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 51296-47-0 CAPLUS

Benzamide, N-[9-(3,4,6-tri-O-benzoyl-1-bromo-1-deoxy-.beta.-D-CN psicofuranosyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

51296-48-1 CAPLUS RN

9H-Purin-6-amine, 9-(1-bromo-1-deoxy-.beta.-D-psicofuranosyl)- (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

ANSWER 120 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1974:1073 CAPLUS

DN 80:1073

Bacterial synthesis of nucleotides. XII. Acceptor specificity observed TΙ with crude preparation of nucleoside phosphotransferases ΑU

Kamimura, Akira; Mitsugi, Koji; Okumura, Shinji

Cent. Res. Lab., Ajinomoto Co., Inc., Kawasaki, Japan CS

Agricultural and Biological Chemistry (1973), 37(9), 2037-43 SO CODEN: ABCHA6; ISSN: 0002-1369

DΤ Journal

LA English

The acceptor specificities of bacterial nucleoside phosphotransferase were AΒ investigated by phosphorylating various kinds of nucleoside analogs. The bacteria belonging to the A group (5'-nucleotide forming) specifically phosphorylated the primary alc. at 5'-position of nucleosides and their analogs, such as adenine xyloside, psicofuranine, and pseudouridine,

whereas the others belonging to B group [3'(2')-nucleotide forming] phosphorylated the secondary alc. at 3'(2')-position. The phosphorylation at the 5'-primary alc. with the bacteria belonging to the A group, however, was prohibited mainly by the phosphoryl or NH3+ radical at the 3'-position, as obsd. in the case of the 3'-nucleotide or aminonucleoside (or puromycin), depending on the steric conformation around the 3'-position of the acceptor. Both types of nucleoside phosphotransferases were also able to phosphorylate the nucleoside having a C-C linkage between the base and sugar moieties.

IT 1874-54-0

RL: BIOL (Biological study)

(as nucleoside phosphotransferase acceptor)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 121 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1973:439520 CAPLUS

DN 79:39520

TI Steric requirements for binding of adenosine to a membrane carrier in-

AU Olsson, Ray A.; Gentry, Mary K.; Snow, Jerry A.; Frick, G. Peter; Townsend, R. Stanley

CS Walter Reed Army Inst. Res., Walter Reed Army Med. Cent., Washington, DC, USA

SO Biochimica et Biophysica Acta (1973), 311(2), 242-50 CODEN: BBACAQ; ISSN: 0006-3002

DT Journal

LA English

The steric requirements for the binding of adenosine to its putative membrane carrier in dog hearts were studied by testing the ability of adenosine analogs infused intracoronary to inhibit the uptake of adenosine-8-14C. The affinity of adenosine for the carrier appeared to depend on the purinyl 6-amino group, the 2'- and 3'-hydroxyls, and the anti conformation at the glycosidic bond. There was very little bulk tolerance at the site of attachment of the sugar hydroxyls. The interaction of adenosine and its carrier may be an example of active

IT 1874-54-0

RL: BIOL (Biological study)

(adenosine binding by heart membrane carrier in response to)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

 $L_3$ ANSWER 122 OF 201 CAPLUS COPYRIGHT 2003 ACS

1973:95609 CAPLUS AN

DN78:95609

Mediated transport of nucleosides by human erythrocytes. Specificity ΤI toward purine nucleosides as permeants

ΑU Cass, Carol E.; Paterson, A. R. P.

Cancer Res. Unit, Univ. Alberta, Edmonton, AB, Can. CS Biochimica et Biophysica Acta (1973), 291(3), 734-46 so CODEN: BBACAQ; ISSN: 0006-3002

DT Journal LΑ English

Transport of uridine and thymidine across the plasma membrane of human AΒ erythrocytes is mediated by a facilitated diffusion mechanism with broad specificity toward the base portion and narrow specificity toward the sugar portion of pyrimidine nucleosides. Specificity of this mechanism was further investigated by measuring efflux of radioactivity when erythrocytes contg. radioactive uridine were incubated in medium contg. purine nucleosides. Adenosine, guanosine, inosine, and arabinosyladenine accelerated uridine efflux and were therefore considered substrates for the transport mechanism. 6-Thioinosine, 6-thioguanosine, and several S-substituted 6-thiopurine ribonucleosides inhibited efflux of radioactive uridine. Adenine nucleosides with sugar moieties other than ribose or arabinose inhibited or had no effect on uridine efflux.

IT1874-54-0

> RL: BIOL (Biological study) (transport of, by erythrocytes)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 123 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

1973:80224 CAPLUS AN

DN78:80224

Action of rubiflavin and other cytostatic antibiotics on Euglena gracilis TIΑU Ebringer, L.

### 09567863

Dep. Microbiol., Komensky Univ., Bratislava, Czech. CS

Neoplasma (1972), 19(6), 579-89 CODEN: NEOLA4; ISSN: 0028-2685

DTJournal

LA English

Of the 29 cytostatic antibiotics tested, 10 induced a hereditary loss of plastids in E. gracilis. Among the inhibitors of nucleic acid synthesis, this hereditary change was brought about by only the inhibitors of DNA synthesis, rubiflavin [11016-71-0], sarkomycin [489-21-4], mitomycin B [4055-40-7], N-methylmitomycin [26840-33-5], porfiromycin [801-52-5], anthramycin [4803-27-4], edeine [11006-90-9], and streptonigrin [3930-19-6]. The inhibitors of RNA synthesis and the inhibitors of purine and pyrimidine nucleotide synthesis did not cause permanent bleaching. Amicetin [17650-86-1] and pactamycin [23668-11-3], which have antitumor activity, induced hereditary aplastidy of euglenas, while gougerotin did not. Mitomycin derivs., which have a methyl group on their aziridine N, showed bleaching activity, whereas derivs. with an H in this position did not. This species appears to be a suitable model for the study of cytostatic antibiotics, mainly those attacking DNA.

IT 1874-54-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (Euglena gracilis response to)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 124 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

1972:502107 CAPLUS AN

DN 77:102107

Nucleic acid components and their analogs. CXLIX. Synthesis of TI pyrimidine nucleosides derived from 1-deoxy-D-psicose

Hrebabecky, Hubert; Farkas, Jiri; Sorm, Frantisek ΑU

CS Cesk. Akad. Ved, Prague, Czech.

Collection of Czechoslovak Chemical Communications (1972), 37(6), 2059-65 SO CODEN: CCCCAK; ISSN: 0010-0765

DTJournal

LΑ English

Reaction of 3,4,6-tri-O-p-toluoyl-1-bromo-1-deoxy-D-psicofuranosyl bromide AB (I) with 2,4-bis(trimethylsilyloxy)pyrimidine in MeCN in the presence of Hg(OAc)2 (silylation process) gave 17% 1-(3,4,6-tri-O-p-toluoyl-1-bromo-1deoxy-.beta.-D-psicofuranosyl)uracil. This was reduced with tributyltin hydride in C6H6 in the presence of 2'-azobis(isobutyronitrile) and the protecting groups were removed with Ba(OMe)2 in MeOH at 0.degree. to give 1-(1-deoxy-.beta.-D-psicofuranosyl)uracil. 1-(1-Deoxy-.beta.-Dpsicofuranosyl)thymine and 1-(1-deoxy-.beta.-D-psicofuranosyl)cytosine were prepd. analogously from 2,4-bis(trimethylsilyloxy)-5-methylpyrimidine and 2-trimethylsilyloxy-4-(N-trimethylsilylacetamido)pyrimidine, resp.

Treatment of monomercurithymine with I gave only 9% 1-(3,4,6-tri-O-p-toluoyl-1-bromo-1 -deoxy-.beta.-D-psicofuranosyl)-thymine. The low yields were ascribed to the elimination of HBr.

IT 38946-85-9P 38946-86-0P 38946-87-1P 38946-88-2P 38946-89-3P 38946-90-6P 38946-91-7P 39030-83-6P 39030-84-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 38946-85-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-86-0 CAPLUS

CN Acetamide, N-[1-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX\_NAME)

Absolute stereochemistry.

RN 38946-87-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-chloro-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

RN 38946-88-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-chloro-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-89-3 CAPLUS

CN Acetamide, N-[1-[1-chloro-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 38946-90-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-beta.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-91-7 CAPLUS

CN Acetamide, N-[1-[1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 39030-83-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 39030-84-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 38946-92-8 38946-93-9 38946-94-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (uv and CD spectra)

RN 38946-92-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3,4,6-tri-O-acetyl-1-deoxy-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-93-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-(3,4,6-tri-O-acetyl-1-deoxy-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-94-0 CAPLUS

CN Acetamide, N-[1,2-dihydro-2-oxo-1-(3,4,6-tri-0-acetyl-1-deoxy-.beta.-D-psicofuranosyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 34441-68-4 38946-83-7 38946-84-8

RL: RCT (Reactant); RACT (Reactant or reagent) (uv and CD spectra wkn)

RN 34441-68-4 CAPLUS

CN Uridine, 5-methyl-1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-83-7 CAPLUS
CN Uridine, 1'-C-methyl- (90)

Uridine, 1'-C-methyl- (9CI) (CA INDEX NAME)

RN38946-84-8 CAPLUS Cytidine, 1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 125 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

1972:82290 CAPLUS AN

DN

Membrane transport of nucleosides in rabbit polymorphonuclear leukocytes ΤI ΑU

Taube, Rebekah A.; Berlin, Richard D.

Dep. Physiol., Harvard Med. Sch., Boston, MA, USA CS

SO---Biochimica et Biophysica Acta (1972), 255(1), 6-18

CODEN: BBACAQ; ISSN: 0006-3002

DT Journal

LΑ English

The membrane transport of nucleosides in rabbit polymorphonuclear AΒ leukocytes was examd. by use of a rapid sampling technique. Both purine and pyrimidine nucleosides are transported by a single saturable system as indicated by the identity of their Km's and Ki's against a spectrum of nucleosides. The specificity of the carrier was examd. in detail. Adenosine (Km = 0.010mM, Vmax .apprx.10 pmoles/106 cells per 45 sec) has the highest affinity for the system. Its fate after uptake is deamination and subsequent conversion to nucleotide. The most crit. structural requirements for binding include the pyrimidine base moiety and a 3'-OH configuration on pentose, but other groups make significant contributions to binding. From an anal. of the substrate specificity it is argued that changes in the conformation of the carrier active site are induced by the

IT 1874-54-0

RL: BIOL (Biological study)

(adenosine transport inhibition by, in leukocyte)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

L3 ANSWER 126 OF 201 CAPLUS COPYRIGHT 2003 ACS

1971:510540 CAPLUS AN

DN 75:110540

Nucleic acid components and their analogs. CXXXVIII. Synthesis of ΤI 2',3'-cyclic phosphates derived from some pyrimidine ribonucleosides and their behavior towards pancreatic ribonuclease and ribonuclease T2

ΑU Holy, Antonin; Bald, R.

CS Cesk. Akad. Ved, Prague, Czech.

Collection of Czechoslovak Chemical Communications (1971), 36(8), 2809-23 SO CODEN: CCCCAK; ISSN: 0010-0765

DTJournal

LA English

Starting from unprotected ribonucleosides, the 2',3'-cyclic phosphates of AΒ 5-chloro-, 5-fluoro-, 5-amino-, 5-dimethylamino-, 5-hydroxy-, 5-ethyl-, 6-methyl-, and 5.6-dimethyluridine, 5-methyl-6-azauridine, C1'-methylthymidine, isocytidine, orotidine, and N3-methylorotidine were prepd. via the nucleoside 2'(3')-phosphites. The 2',3'-cyclic phosphates of 2-thiouridine, 2-thio-6-azauridine, and 4-thio-6-azauridine were prepd. by reaction of the nucleoside with H3PO4 in the presence of Cl3CCN. Methylation of 6-azauridine 2',3'-cyclic phosphate (I) withMe2-NCH(OMe)2 in DMF at 100.degree. gave I N3-Me deriv. Reaction of 51-O-di(p-methoxyphenyl)phenylmethyl-5-nitrouridine, 2-cyanoethyl phosphate, and N,N'-dicyclohexylcarbodiimide gave (after removal of protecting groups in alk. and acidic media) 5-nitrouridine (II) 2'(3')-phosphate. This treated with ClCO2Et and Bu3N gave II 2',3'-cyclic phosphate. The specificity of these 2',3'-cyclic phosphates to pancreatic ribonucleases and ribonucleases T2 was detd.

IT 33782-29-5 33782-30-8 34441-68-4

RL: RCT (Reactant); RACT (Reactant or reagent) (chromatog. and electrophoresis of)

RN33782-29-5 CAPLUS

Thymine, 1-(1-deoxy-.beta.-D-psicofuranosyl)-, 3'-(dihydrogen phosphate) CN (8CI) (CA INDEX NAME)

RN 33782-30-8 CAPLUS

Thymine, 1-(1-deoxy-.beta.-D-psicofuranosyl)-, 4'-(dihydrogen phosphate) CN(8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 34441-68-4 CAPLUS

Uridine, 5-methyl-1'-C-methyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 127 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

ΑN 1970:404136 CAPLUS

DN 73:4136

Mass spectrometry of nucleic acid components. Analogs of adenosine ΤI Shaw, Stanley James; Desiderio, Dominic M.; Tsuboyama, Kaoru; McCloskey, ΑU

Inst. for Lipid Res., Baylor Coll. of Med., Houston, TX, USA CS Journal of the American Chemical Society (1970), 92(8), 2510-22 SO

CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA English

The mass spectra of adenosine and 32 of its analogs were studied in AB detail. Principal fragmentation pathways for structurally significant ions were detd. and decompn. mechanisms postulated, based on metastable transitions, deuterium and substituent labels, and high-resolution mass spectra. The major ions M -30, base +44, and base +30 are proposed to arise from initial transfer of sugar hydroxyl hydrogens to the charge-localized purine base. Methylation at N6 is characterized by elimination of MeN6 with rearrangement of either H or a Me group as previously reported for the corresponding bases. 2'-O-Methylation leads to a unique sugar fragment resulting from elimination of the base plus a 3'- or 5'-hydroxyl H. Anomers are readily distinguished by their mass spectra, but steric orientation of sugar hydroxyls cannot be detd. directly. However the abundance of the M - 30 ion was found to depend

strongly on the steric accessibility of C-5' to the base.

IT 1874-54-0

RL: PRP (Properties) (mass spectrum of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 128 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1970:96906 CAPLUS

DN 72:96906

TI Alteration of the conformative response and inhibition of xanthosine 5'-phosphate aminase by adenine glycosides

AU Zyk, Naomi; Citri, Nathan; Moyed, H. S.

CS Sch. of Med., Univ. of Southern California, Los Angeles, CA, USA
SO Biochemistry (1970) 9 (2) 677 678

O Biochemistry (1970), 9(3), 677-83 CODEN: BICHAW; ISSN: 0006-2960

DT Journal

LA English

AB Modification of the conformative response of xanthosine 5'-phosphate aminase to its substrate, xanthosine 5'-phosphate, by inorg. pyrophosphate was essential for its sensitivity to inhibition by adenine glycosides. The millimolar concn. of xanthosine 5'-phosphate which induces a half-maximal conformative response, the conformative response const. or Kcr, is 0.1. In the presence of inorg. pyrophosphate this value is reduced 33-fold. Such modification can be eliminated by chem. treatment or genetic alteration with the further consequences of loss or diminution of sensitivity to irreversible inhibition by the adenine glycoside antibiotics, psicofuranine and decoyinine, as well as diminution of sensitivity to reversible inhibition by adenosine. Catalytic activity however is not appreciably affected by elimination of the modifying action

IT 1874-54-0

RL: BIOL (Biological study)

(guanylate synthetase inhibition by, conformative response alteration in relation to)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

ANSWER 129 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

1970:19329 CAPLUS AN

DN 72:19329

Purine-sensitive mutants of Bacillus subtilis. I. Properties of an TIadenosine-sensitive mutant

Kida, Makoto; Kawashima, Fumiko; Imada, Akira; Nogami, Ikuo; Suhara, Ikuo; ΑU Yoneda, Masahiko

Res. Develop. Div., Takeda Chem. Ind., Ltd., Osaka, Japan CS

Journal of Biochemistry (Tokyo, Japan) (1969), 66(4), 487-92 SO CODEN: JOBIAO; ISSN: 0021-924X

DT Journal

LA English

AΒ An adenosine-sensitive mutant was derived from a strain of B. subtilis. The growth of the mutant was strongly inhibited by the presence of adenosi ne at 0.1mM and the inhibition was completely reversed by the addn. of gu anine derivs., but not by other purine and pyrimidine derivs. The growth of this mutant was also inhibited by piscofuranine (9-D-psicofuranosyl-6aminopurine), which is a structural analog of adenosine and is known to suppress GMP synthesis by inhibiting XMP aminase [EC 6.3. 4.1]. Adenosine-resistant mutants were derived from the sensitive mutant. XMP aminase was partially purified from the adenosine-sensitive and resistant strains as well as the parent strain. The activity of XMP aminase from the sensitive strain was strongly inhibited by either adenosine or psicofuranine, while the enzymes from the resistant and parent strains were little affected by both inhibitors. Thus the adenosine sensitivity of the mutant may be attributed to the inhibition of its XMP aminase by adenosine.

IT 1874-54-0

> RL: BIOL (Biological study) (guanylate synthetase inhibition by, of adenosine-sensitive Bacillus subtilis)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

#### 09567863

L3 ANSWER 130 OF 201 CAPLUS COPYRIGHT 2003 ACS

1969:75364 CAPLUS AN

DN

Production of nucleic acid-related substances by fermentative processes. TI XX. Fermentative production of 5'-purine ribonucleotides by Brevibacterium ammoniagenes: accumulation of 5'-XMP in the presence of psicofuranine

Komuro, Toshio; Nara, Takashi; Misawa, Masanaru; Kinoshita, Shukuo ΑU

Tokyo Res. Lab., Kyowa Hekko Kogyo Co., Tokyo, Japan CS

Agricultural and Biological Chemistry (1969), 33(2), 230-6 SO CODEN: ABCHA6; ISSN: 0002-1369

DTJournal

LΑ English

Psicofuranine (6-amino-9-(.beta.-D-psicofuranosyl) purine) caused B. AB ammoniagenes to accumulate xanthosine monophosphate (5'-XMP) in the fermentation medium. Psicofuranine was a specific inhibitor of XMP aminase and thus inhibited the conversion of 5'-XMP to 5'-TMP. previously reported that in 5'-IMP fermentation with B. ammoniagenes pantothenate and thiamine, in addn. to biotin, were exclusively required. The requirement for both vitamins was also observed in 5'-XMP production induced by the antibiotic. Addn. of Mn promoted the bacterial growth greatly and inhibited IMP production, whereas the XMP production induced by psicofuranine was not affected. The accumulation of XMP induced by the antibiotic was completely suppressed by the presence of purine derivs. such as guanine and xanthine derivs., and partially by hypoxanthine. IΤ

1874-54-0

RL: BIOL (Biological study)

(xanthosine phosphate accumulation by Brevibacterium ammoniagenes in presence of)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

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ANSWER 131 OF 201 CAPLUS COPYRIGHT 2003 ACS
L3
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1969:38047 CAPLUS AN

DN 70:38047

ΤI Nucleosides

Onodera, Kinoshin; Hirano, Shigehiro IN

Seikagaku Kogyo Co., Ltd. PA

Jpn. Tokkyo Koho, 3 pp. SO CODEN: JAXXAD

DT Patent

LAJapanese

FAN.CNT 1

PΙ

PATENT NO. KIND DATE APPLICATION NO. DATE ----JP 43017970 B4 19680730 JΡ

Prepn. of nucleosides by condensation of purine or pyrimidine base with a AB

sugar in the presence of P2O5 is described. Thus, 10 g. P2O5 in 100 ml. HCONMe2 was added in 10 min. with stirring to 100 ml. HCONMe2 contg. 10 g. 2,3,4,6-tetra-O-acetyl-D-glucopyranose and 5.7 g. theophylline. The mixed soln. was shaken 20 hrs. at 60-70.degree.. After cooling, the soln. was poured into ice water, extd. with CHCl3 and worked up to give 70% 7-(tetra-O-acetyl-.beta.-D-glucopyranosyl)theophylline (I), m. 145-6.degree., [.alpha.]20D -14.5.degree.. Deacetylation of 5.1 g. I in NH4OH-MeOH gave 90% 7-D-glucopyranosyltheophylline, m. 169.degree., [.alpha.]20D 39.degree. (c 1.0, H2O). 23477-32-9P

IΤ

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 23477-32-9 CAPLUS

Theophylline, 7-D-fructofuranosyl- (8CI) CN(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 132 OF 201 CAPLUS COPYRIGHT 2003 ACS L3 AN

1969:25928 CAPLUS

DN 70:25928

TI. Adenine glycoside site of xanthosine-5'-phosphate aminase

Donovan, Kerry L.; Rowe, Janet A.; Moyed, H. S. ΑU

Sch. of Med., Univ. of Southern California, Los Angeles, CA, USA CS

Antimicrobial Agents and Chemotherapy (1961-70) (1968), Volume Date 1967 SO CODEN: AACHAX; ISSN: 0074-9923

DT Journal

English LΑ

Psicofuranine (6-amino-9-D-psicofuranosyl-purine) (I) is a potent AB inhibitor of xanthosine-5'-phosphate (XMP) aminase (II). Kinetic anal., direct binding studies, and differential inactivation as a result of genetic or chem. modification were the methods used to investigate the binding site of I to the enzyme. Phys. and chem. evidence showed that I and other adenine glycoside inhibitors of II are bound in a region of the enzyme which can be distinguished from the active center. The redn. of the sensitivity of II to adenine glycosides by mutation was investigated. The turnover rates of mutant and parental aminases were compared to det. if the reduced sensitivity was caused by damage to the adenine glycoside site. This comparison showed that alteration of the adenine glycoside site appears to be accompanied by an alteration in the rate of synthesis of the aminase mol.

IT 1874-54-0

RL: PROC (Process) (guanylate synthetase binding of)

RN 1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

L3 ANSWER 133 OF 201 CAPLUS COPYRIGHT 2003 ACS

1969:444 CAPLUS ΑN

DN

Mechanism of hydroxylamine inhibition of xanthosine-5'-phosphate aminase TIAU

Fukuyama, T. T.; Donovan, Kerry L.

Sch. of Med., Univ. of Southern California, Los Angeles, CA, USA CS

Journal of Biological Chemistry (1968), 243(21), 5798-801 SO CODEN: JBCHA3; ISSN: 0021-9258

DTJournal

English LΑ

The reaction of XMP aminase (EC 6.3.4.1) with hydroxylamine, XMP, and ATP AB results in the formation of 1 mole each of AMP and a deriv. of XMP, presumably 2-hydroxylamino deriv. of IMP, per mole of aminase. The deriv. is enzyme-bound, but can be released by extn. with trichloroacetic acid. The compd. is a powerful inhibitor of the aminase. These observations account for the ATP- and XMP-dependent inhibition of XMP aminase by hydroxylamine. The XMP deriv. is produced by reaction of hydroxylamine with a catalytic intermediate, adenyl deriv. of XMP, the other product being AMP. An inhibitor of the formation of the catalytic intermediate, psicofuranine, also prevents the formation of the deriv.

IT - -1874-54-0

RL: BIOL (Biological study)

(guanylate synthetase intermediate formation in presence of)

RN 1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 134 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1968:75915 CAPLUS

DN68:75915

Incorporation of angustmycin C, a nucleosidic antibitic, in ribonucleic TT acid in Escherichia coli

Beppu, Teruhiko; Nose, Masako; Arima, Kei ΑU

CS Univ. Tokyo, Tokyo, Japan

Agricultural and Biological Chemistry (1968), 32(2), 203-8 SO CODEN: ABCHA6; ISSN: 0002-1369

דת Journal

LΑ English

Addn. of 100 .mu.g. of angustmycin C (9-D-psicofuranosyl adenine)/ml. to AB an exponentially growing culture of E. coli which had been synthesizing .beta.-galactosidase in the presence of 10-4M thiomethylgalactoside inhibited enzyme synthesis as well as cell growth. Removal of the antibiotic resumed cell growth but only gradually increased .beta.-galactosidase synthesis, suggesting that some irreversible functional defect, possibly involving incorporation of the antibiotic into the nucleic acid, had been made during incubation with angustmycin C. Addn. of 3H-labeled angustmycin C to the exponentially growing E. coli culture rapidly incorporated radioactivity into the cold acid-insol. fraction, with 2.3% of the added antibiotic taken up by the cells and the largest amt. of radioactivity in the cells present in the RNA fraction. Isolation of RNA by phenol treatment of the disrupted cells and ethanol pptn. followed by zonal centrifugation in a sucrose d. gradient showed that the distribution of radioactivity coincides almost completely with the peaks of absorbance, indicating that RNA was labeled during incubation with tritiated angustmycin C. Hydrolysis of the RNA by a mixt. of snake venom, pancreatic ribonuclease I, and alk. phosphatase recovered intact angustmycin C, indicating incorporation of the antibiotic as a whole rather than just an exchange reaction with the adenine moiety of the nucleic acid. The direct incorporation of angustmycin C into RNA suggests there are some activation enzymes forming angustmycin C phosphate in E. coli cells and indicates that such an abnormal nucleotide formed in the cells may survive for a considerably longer period after removal of the antibiotic from the medium and cause the residual inhibitory effect on .beta.-galactosidase synthesis.

IT 1874-54-0

RL: PROC (Process)

(ribonucleic acid incorporation of, in Escherichia coli)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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ANSWER 135 OF 201 CAPLUS COPYRIGHT 2003 ACS
L3
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AN 1968:75914 CAPLUS

DN 68:75914

Accumulation of xanthosine by Escherichia coli in the presence of ΤI Angustmycin C ΑU

Beppu, Teruhiko; Nose, Masako; Arima, Kei

CS Univ. Tokyo, Tokyo, Japan

Agricultural and Biological Chemistry (1968), 32(2), 197-202 SO CODEN: ABCHA6; ISSN: 0002-1369

DT Journal

LΑ English

### 09567863

Angustmycin C (6-amino-9-(.beta.-D-psicofuranosyl)purine) at serially increasing concns. up to 50 .mu.g./ml. inhibited E. coli cellular growth after a latent period, with growth then resuming at a lower rate even in the presence of high antibiotic concns. After a distinct latent period angustmycin C inhibited DNA and RNA biosynthesis in preference to protein synthesis and caused excretion of a compd. into the medium which was identified by uv absorption spectra, paper chromatog., and electrophoresis as xanthosine. The sp. activity of IMP dehydrogenase in E. coli cells increased 6 times during the course of growth in the presence of angustmycin C. Under the optimal conditions xanthosine accumulation reached 940 .mu.g./ml. in the presence of angustmycin C.

IT1874-54-0

RL: BIOL (Biological study)

(xanthosine formation response to, in Escherichia coli)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 136 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1968:47125 CAPLUS

DN ---68:471-25-

TIPsicofuranine

ΑU Hanka, Ladislav J.

Dep. of Microbiol., Upjohn Co., Kalamazoo, MI, USA CS

SO Antibiotics (USSR) (1967), 1, 457-63 CODEN: ATBTAR; ISSN: 0518-0066

DT Journal

English LA

A review. Considered are the effects of psicofuranine on bacteria, its AΒ inhibition of xanthosine-5'-phosphate aminase, and inhibition by guanine-contg. compds. of this inhibitory action. 28 references.

IT 1874-54-0

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (bactericidal action of)

1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

ANSWER 137 OF 201 CAPLUS COPYRIGHT 2003 ACS  $L_3$ 

1968:47123 CAPLUS ΑN

DN 68:47123

Cordycepin, psicofuranine, decoyinine, tubercidin, and toyocamycin TI ΑU

Suhadolnik, Robert J.

Albert Einstein Med. Center, Philadelphia, PA, USA CS

SO Antibiotics (USSR) (1967), 2, 400-9, 448-9 CODEN: ATBTAR; ISSN: 0518-0066

DTJournal

LΑ English

A review of the structure and biosynthesis of these antibiotics. Recent AΒ studies with adenosine(I)-U-14C, the direct precursor, indicate that during the time of cordycepin (3'-deoxyadenosine) (II) biosynthesis DNA synthesis is stopped while RNA synthesis continues. I is also shown to be the direct precursor of 3'-amino-3'-deoxyadenosine (III) in Helminthosporium; II is not a precursor of III.

IT 1874-54-0

RL: FORM (Formation, nonpreparative)

(formation of)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA\_INDEX\_NAME)\_\_\_\_ CN

Absolute stereochemistry.

ANSWER 138 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

1967:470707 CAPLUS AN

DN 67:70707

Role of the 5'-hydroxyl group of adenosine in determining substrate ΤI specificity for adenosine deaminase

Bloch, Alexander; Robins, Morris J.; McCarthy, James R., Jr. ΑU CS

Roswell Park Mem. Inst., Buffalo, NY, USA

Journal of Medicinal Chemistry (1967), 10(5), 908-12 SO CODEN: JMCMAR; ISSN: 0022-2623

DTJournal

LΑ English

The relation between structural alterations in the carbohydrate moiety of AΒ

adenosine and the resulting changes in substrate activity was examd. with adenosine deaminase. Of the 43 analogs studied, 16 were deaminated, all of them at slower rates than the natural substrate. With the exception of adenosine 2'- or 3'-monophosphate, modifications at the 2' or 3' positions, including the simultaneous removal of the 2'-and 3'-hydroxyl groups or changes in their steric configuration, did not abolish substrate activity. Replacement of the bridge O with S allowed deamination, but modifications at the 1' position prevented it. Replacement or substitution of the 5'-hydroxyl group with a variety of other groups, or removal of the 4'-hydroxymethyl group, invariably led to loss of substrate activity. Very low activity was retained when an amino group replaced the 5'-hydroxyl group, or when, in the absence of the 5'-hydroxyl, an hydroxyl group was present at carbon 3' in configuration cis to the base moiety. These data show that the 2'- or 3'-hydroxyl groups of adenosine are not required for substrate activity, but that the 5'-hydroxyl group is essential for binding to the enzyme unless its function can be assumed to a very limited extent by an amino or possibly other hydrogen-bonding groups, or by an hydroxyl group at the 3' position cis to the base. implication of these observations for the design of adenosine analogs of interest in chemotherapy is discussed. 1874-54-0

IT

RL: BIOL (Biological study)

(as adenosine deaminase substrate)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

- ANSWER 139 OF 201 CAPLUS COPYRIGHT 2003 ACS L3
- AN 1967:454371 CAPLUS
- DN 67:54371
- Nucleic acid components and their analogs. XCIV. Synthesis of TI 6-amino-9-(1-deoxy-.beta.-D-psicofuranosyl)purine
- ΑU Farkas, Jiri; Sorm, Frantisek
- Ceskoslov. Akad. Ved, Prague, Czech. CS
- Collection of Czechoslovak Chemical Communications (1967), 32(7), 2663-7 SO CODEN: CCCCAK; ISSN: 0010-0765 DT
- Journal
- LΑ English
- cf. CA 67: 3216u. A soln. of 3.05 g. Me 1-bromo-1-deoxy-3,4,6-tri-0-ptoluoyl-D-psicofuranoside in 30 ml. CH2Cl2 was treated at 0.degree. with 15 ml. 30% HBr in AcOH, the mixt. kept 30 min. at 0.degree. and 10 min. at room temp., dild. with 50 ml. CH2Cl2, poured on ice, the org. layer washed at 0.degree. with H2O and aq. NaHCO3, evapd., and the residual sirupy 1-bromo-1-deoxy-3,4,6-tri-0-p-toluoyl-D-psicofuranosyl bromide treated with 2.36 g. 6-benzamidopurine chloromercuri salt in MeCN to give 1.15 g. 6-benzamido-9-(1-bromo-1-deoxy-3,4,6-tri-0-p-toluoyl-.beta.-Dpsicofuranosyl)purine (I), m. 125-8.degree. (MeOH), [.alpha.]20D -46.3.degree. (c 0.29, EtOAc). Redn. of 0.919 g. I in 50 ml. refluxing

C6H6 with 1.33 g. Bu3SnH under catalysis of 50 mg. 2,2.apprx.-azobis(isobutyronitrile) gave 56.2% 6-benzamido-9-(1-deoxy-3,4,6-tri-O-p-toluoyl-1-.beta.-D-psicofuranosyl)purine (II), m. 126-8.degree. (1:1 Pr2O-Et2O), [.alpha.]20D -69.5.degree. (c 0.49, EtOAc). Because of the instability of the free nucleoside in alkali as well as in acid media, the protecting groups were removed from II with 0.1M Ba(OMe)2 at 0.degree.. After 6 hrs., the mixt. was neutralized with CO2 gas, treated with NH3 in CHCl3, the ppt. removed by centrifugation, and the supernatant evapd. to give 58.2% 6-amino-9-(1-deoxy-.beta.-D-psicofuranosyl)purine, decompg. at 180.degree. without melting, [.alpha.]20D -82.3.degree. (c 0.20, HCONMe2).

IT 16848-10-5P 16848-11-6P 16848-12-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 16848-10-5 CAPLUS

CN Benzamide, N-[9-(1-bromo-1-deoxy-.beta.-D-psicofuranosyl)-9H-purin-6-yl]-, tri-p-toluate (ester) (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 16848-11-6 CAPLUS

CN Benzamide, N-[9-(1-deoxy-.beta.-D-psicofuranosyl)-9H-purin-6-yl]-, tri-p-toluate (ester) (8CI) (CA INDEX NAME)

RN 16848-12-7 CAPLUS Adenosine, 1'-C-methyl- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 140 OF 201 CAPLUS COPYRIGHT 2003 ACS AN

1967:35549 CAPLUS

DN 66:35549

The biosynthesis of the 6-deoxy-D-erythro-2,5-hexodiulose sugar of ΤI

ΑU Chassy, Bruce M.; Sugimori, Tsunetake; Suhadolnik, Robert J. CS

Albert Einstein Med. Center, Philadelphia, PA, USA SO

Biochimica et Biophysica Acta (1966), 130(1), 12-18 CODEN: BBACAQ; ISSN: 0006-3002

DT Journal

LΑ English

6-Deoxy-D-erythro-2,5-hexodiulose, the glucoside of the naturally AΒ occurring nucleoside, decoyinine, arises directly from D-glucose-1-14C or uniformly 14C-labeled D-fructose. Addnl. proof for the structure of this hexodiulose was provided by the isolation of the C-6' of decoyinine as CHI3. Psicofuranine, labeled with 14C in the adenine and at C-6 of the D-psicose, is directly converted to decoyinine by Streptomyces hygroscopicus. The ratio of the 14C in the adenine to that in the hexodiulose of decoyinine was the same as the ratio of the 14C in the adenine to that in the psicose of the labeled psicofuranine added to the growing cultures of S. hygroscopicus. In addn., all of the 14C in the hexodiulose of decoyinine resided at C-6. Psicofuranine and decoyinine

are interconverted. 16 references.

ΙT 1874-54-0

RL: BIOL (Biological study)

(decoyinine formation from, by Streptomyces hygroscopicus)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L3ANSWER 141 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1967:1372 CAPLUS

DN 66:1372

Effect of antitumor antibiotics and antimetabolites on rat diaphragm TT carbohydrate metabolism

ΑU Gershbein, Leon L.

Biochem. Res. Labs., Northwest Inst. for Med. Res., Chicago, IL, USA CS SO

Journal of Pharmaceutical Sciences (1966), 55(11), 1303-5 CODEN: JPMSAE; ISSN: 0022-3549

DT Journal

LA English

Rat hemidiaphragms were incubated with antitumor antibiotics and AΒ antimetabolites in a phosphate-saline medium contg. 120 mg. % glucose and the changes in O uptake, hexose utilization, and glycogen turnover were detd. Aminopterin (0.40 mg.), triethylene-melamine (0.40 mg.), and 2-n-heptyl-4-hydroxyquinoline N-oxide (50 .gamma.) caused a decrease in glycogen content; the latter 2 as well as chlorambucil and 8-azaguanine, both screened down to 10 .gamma., depressed glucose utilization. Of the antibiotics, glycogenolysis occurred in the presence of tubercidin (0.50 mg.), antimycin D (0.75 mg.), streptonigrin (50 .gamma.), and antimycin A (0.25 mg., suspension). Muscle glucose uptake was depressed in the presence of more physiol. significant levels of puromycin, tubercidin, streptonigrin, duazomycins A and B, and actinogan and with antimycin A (0.25 mg.); tylosin was effective in this regard at 1.00 mg. Diaphragam Qo2 was depressed by 2-n-hepty1-4-hydroxyquinoline N-oxide (50 .gamma.), 8-azaadenine (0.25 mg.), and 0.50 mg. each of streptonigrin, E73 base, glutinosin, psicofuranine, and actinogan and was elevated by porfiromycin (0.50 mg.). 24 references.

IT 1874-54-0

RL: BIOL (Biological study)

(respiration response to, in abdominal diaphragm)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

L3 ANSWER 142 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1966:483605 CAPLUS

DN 65:83605

OREF 65:15707g-h,15708a

Formation of an adenyl-xanthosine monophosphate intermediate by xanthosine 5'-phosphate aminase and its inhibition by psicofuranine

ΑU Fukuyama, T. T.

Univ. of Southern California, School of Med., Los Angeles CS SO

J. Biol. Chem. (1966), 241(20), 4745-9

DTJournal

LA English

Amination of xanthosine 5'-phosphate (XMP) and its inhibition by AΒ psicofuranine was examd. with substrate amts. of purified xanthosine 5'-phosphate aminase from Escherichia coli. In the absence of NH3, incubation of the enzyme with ATP-8-14C and XMP-8-14C for 10 min. results in the conversion of ATP to AMP without concomitant formation of GMP. Shorter periods of incubation permit the accumulation of an electrophoretically distinct intermediate which contains radioactivity derived equally from ATP-8-14C and XMP-8-14C. The formation of the intermediate is accompanied by the formation of an equiv. amt. of inorg. pyrophosphate. The intermediate is cleaved in the presence of NH3-toyield AMP and GMP or in the absence of NH3 to AMP and XMP. Psicofuranine does not inhibit the hydrolytic cleavage of the intermediate to AMP and In contrast, the psicofuranine-inhibited aminase cannot catalyze the aminolysis of the preformed intermediate to AMP and GMP, nor can it condense ATP and XMP to form the intermediate despite its undiminished ability to bind both of these substrates.

ΙT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(guanylic synthetase inhibition by)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 143 OF 201 CAPLUS COPYRIGHT 2003 ACS  $L_3$ 1966:457046 CAPLUS AN

DN 65:57046

OREF 65:10653a-d,10654a

TI Ketosides of purines IN Schroeder, William

PA Upjohn Co.

SO 8 pp.

DT Patent

LA Unavailable

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI FR 1430854

19660311 FR

PRAI US

19590126

Nucleosides are prepd. by reaction of a halomercuric deriv. of a purine with a polyacyl ester of a 2-haloketose sugar in an inert solvent, followed by hydrolysis. E.g., a soln. of 3 g. of D-psicose in 15 ml. Ac20 and 15 ml. pyridine is allowed to stand 2 hrs. at 2.degree., and then for an addnl. 20 hrs. at ambient temp., poured into ice water, and extd. with CHCl3. The ext. washed with 3 150-ml. portions of N HCl, 150 ml. satd. NaHCO3, and then with water, is dried over anhyd. MgSO4 and evapd. in vacuo at 40.degree. to give 5.8 g. D-psicose pentaacetate as a yellow oil, which is dissolved in 115 ml. Et20 and satd. at 0.degree. with anhyd. HCl gas. After standing 42 hrs. at 2.degree. the ether and HCl are removed by distn. in vacuo at 20.degree.. The last traces of HCl are removed by washing with small quantities of CCl4 and C6H6, each portion being removed by distn. in vacuo to give tetra-O-acetyl-D-psicofuranosyl chloride as a yellow oil, which is dissolved in a small quantity of anhyd. xylene and added to a suspension of 4 g. of acetylchloromercuriadenine in 100 ml. xylene. The mixt. is refluxed 3 hrs. and filtered warm. The filtrate is evapd. in vacuo, the residue treated with 100 ml. MeOH satd. with NH3 at 0.degree., the mixt. allowed to stand at 0.degree. for 18 hrs. The mixt. is filtered and the filtrate evapd. in vacuo at 30.degree.. The solid brown residue is passed through 985 transfers in a countercurrent extn. machine using a BuOH-H2O system. The tubes contg. the max. (K = 0.3) -aremixed and evapd. in vacuo. The residue is dissolved in 50% aq. acetone, treated with active charcoal, evapd. almost to dryness, and allowed to stand overnight. The cryst. product is sepd. on a porous tile and recrystd. from 50% aq. acetone to give 6-amino-9-D-psicofuranosylpurine (I), m. 190-5.degree., [.alpha.]24D -55.degree.. The title compds. have therapeutic activity, esp. I, which is active as an antibiotic and an antitumor agent. The title compds. and their derivs. are also useful in the study of metabolic processes within cells.

IT 13019-86-8, Adenine, 9-D-psicofuranosyl-

(prepn. of)

RN 13019-86-8 CAPLUS

CN 9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3ANSWER 144 OF 201 CAPLUS COPYRIGHT 2003 ACS

1966:432175 CAPLUS AN

DN 65:32175

OREF 65:6001d-q

Biological activities of 3-isoadenosine

Gerzon, Koert; Johnson, Irving S.; Boder, George B.; Cline, John C.; ΑU

Simpson, Patrick J.; Speth, Carla; Leonard, Nelson J.; Laursen, Richard A.

Lilly Res. Labs., Eli Lilly & Co., Indianapolis, IN CS

Biochim. Biophys. Acta (1966), 119(3), 445-61 SO

DTJournal LΑ English

An isomer of adenosine, 3-.beta.-D-ribofuranosyladenine (3-isoadenosine), AB has been studied in a no. of bacterial and mammalian cell systems. While 3-isoadenosine readily supported the growth of the adenine-requiring Escherichia coli B97, it failed to support the growth in tissue culture of a murine cell line rendered dependent on an exogenous purine source by the folic acid antagonist amethopterin. 3-Isoadenosine inhibited the growth of various mammalian cell lines in Eagle's medium at levels of 10-4 to 10-6M and it displayed a cytotoxicity for the lymphoblastic leukemia cell line L6178Y of the same order as that of 6-azauridine. When tested by an agar overlay method, 3-isoadenosine also inhibited the growth of Adeno III virus in tissue culture. In order to investigate the inhibitory activity of 3-isoadenosine, comparative expts. were carried out in the above systems with other nucleoside analogs (psicofuranine, pseudouridine, tricanthine, etc.), and an unsuccessful attempt was made to reverse this inhibition with nucleosides and other complex materials. A daily dose of 3 mg./kg, given intraperitoneally to mice for 10 days was well tolerated, but 6.0 mg./kg. was toxic. The final phase of the study was the evaluation of 3-isoadenosine in tumor-bearing and virus-infected animals. The interpertation of the observed biol. activity in terms of the underlying biochem. mechanisms has been attempted by comparison of the activities of 3-isoadenosine and of related nucleosides and other agents. This comparison revealed a striking similarity in the characteristics of inhibition of mammalian cells in tissue culture by 3-isoadenosine and by 7-deazaadenosine. 59 references.

1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-IT (cytotoxicity of, 3-isoadenosine action in relation to) RN 1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 145 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

1966:77172 CAPLUS AN

DN 64:77172

OREF 64:14507h,14508a-b

A separate antibiotic-binding site in xanthosine-5'-phosphate aminase. Differential alteration of catalytic properties and sensitivity to

#### 09567863

inhibition

ΑU Kuramitsu, Howard; Moyed, H. S.

Univ. of Southern California, School of Med., Los Angeles CS

SO J. Biol. Chem. (1966), 241(7), 1596-60

DTJournal

LΑ English

The sensitivity of xanthosine-5'-phosphate aminase to the inhibitory AΒ effect of the antibiotic, psicofuranine (I), can be reduced by exposure to several kinds of agents capable of modifying protein structure. These include urea and ethylene glycol, reducing agents such as 2-mercaptoethanol, the chelators, EDTA, and o-phenanthroline, and photooxidn. with methylene blue. Urea, in causing a 3-fold redn. in the capacity of the aminase to bind I and a similar redn. in sensitivity to inhibition by the antibiotic, also affects other properties of the aminase; the affinity consts. for Mg2+, NH3, ATP, and xanthosine 5'-phosphate are increased while the activity of the aminase is greatly reduced. 2-Mercaptoethanol reduces the sensitivity of the aminase to inhibition by I, but reduces neither its activity nor its ability to bind In contrast, photooxidn. with methylene blue desensitizes by selectively reducing the ability of the aminase to bind I; the substrate-binding capacities of the aminase are not affected by the photooxidn. I increases the availability of SH groups of the aminase for reaction with SH reagents. This indication of a change in the tertiary structure of the aminase together with the addnl. evidence that the aminase contains a sep. binding site for I suggests that, although the antibiotic is probably bound at a nonessential part of the enzyme, it nevertheless may act by distorting the active center. IT

1874-54-0, Adenine, 9-lbeta.-D-psicofuranosyl-

(guanylic synthetase inhibition by, effect of protein structure-modifying agents on)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

ANSWER 146 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1966:22322 CAPLUS

DN 64:22322

OREF 64:4142a-d

Problems in the laboratory evaluation of new antibiotics [novobiocin, TI psicofuranine, and spectinomycin]

Savage, G. M. ΑU

CS Upjohn Co., Kalamazoo, MI

Intern. Congr. Chemotherapy, Proc., 3rd, Stuttgart (1964), 1963(1), 276-83 SO DT

LΑ English

Old and new data are interpreted for the title compds., (I), (II), and AΒ (III), resp. I was initially named streptonivicin. The antibiotic effectiveness of I in the human or animal body (examples given) is

considerably affected by its formation of complexes with protein (IV). However, in tests on 24 patients with Pneumococcus pneumonia, I was very nearly as active as penicillin in 48 and oxytetracycline in 66 other patients. The chem. and phys. aspects of IV-binding by I (and other antibiotics) are discussed. The early active I prepns. were amorphous. When cryst. I was tested in mice, it was inactive against infections but when both Na and Ca salts or cryst. I were tested they were as active orally as the original amorphous I. Cryst. II (initially isolated as a by-product of decoyinine production) was inactive against bacteria in vitro, but orally or subcutaneously in mice it was as active against 10 identified bacterial species as either chloramphenicol or novobiocin. Large doses of II, orally or intravenously, in monkeys, chickens, rats, and dogs over 24-43 days showed only limited toxicity but much smaller doses in human cancer patients induced pericarditis, pleuritis, or peritonitis in 10 of 12 patients in 3-15 days of II administration. results of tests of III against a wide range of bacteria in vitro were greatly affected by the chem. compn. of the culture medium. New tests with III showed no detectable action against Proteus mirabilis on nutrient agar, but excellent inhibitory activity on a synthetic agar medium. 16

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(antibiotic activity and toxicity of)

RN 1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

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ANSWER 147 OF 201 CAPLUS COPYRIGHT 2003 ACS
L3
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ΑN 1965:503009 CAPLUS

DN 63:103009

OREF 63:18998f-h,18999a-b

ΤI Decoyinines

Boer, Clarence De; Dietz, Alma; Johnson, Le Roy E.; Eble, Thomas E.; IN Hoeksema, Herman

PA Upjohn Co.

SO 11 pp.

DTPatent

Unavailable LA

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3207750 NL 6506772		19650921	US	19640529
GT	Trans ald			NL	1040325

For diagram(s), see printed CA Issue. GI

Submerged aerobic fermentation of Streptomyces hygroscopicus var decoyicus AR produced decoyinine (antibiotic a14), I, R = H, and derivs. having the general formula I, where R is H or Ac, derivs. in which the CH2 group was converted to Me (dihydrodecoyinine, II), and anhydrodihydrodecoyinine (II with 1,2-unsatn.). Thus, S. hygroscopicus var decoyicus NRRL 2666 was

cultured at 28.degree. on agar slants contg. (g.) maltose 10, tryptone 5, K2HPO4 0.5, NaCl 0.5, hydrated FeSO4 trace, agar 15, and H2O to 1 1. Incubation was for 7 days. The spores were used to inoculate 100 ml. of medium contg. (g.) glucose 25, soy peptone 10, corn steep liquor 3, yeast ext. 3, N-Z amine A 2, (NH4)2SO4 3, MgSO4 0.2, NaCl 0.1, hydrated FeSO4 0.02, hydrated MnSO4 0.003, hydrated ZnSO4 0.004, KH2PO4 1.9, K2HPO4 1.1, pH adjusted to 7.2, and H2O to 1 l. Incubation was for 72 hrs. at 28.degree. on a rotary shaker at 250 rpm. The culture was used to inoculate medium contg. (g.) Kay-soy 30, (NH4)2SO4 5, glycerol 40, cerelose 20, Ca3O3 4, pH adjusted to 7.2, and H2O to 1 1. Incubation was in 100 ml. lots for 5 days at 30.degree. on a rotary shaker at 250 rpm. An aliquot was fractionated by paper chromatography. The zone of I was located by bioautography with Mycobacterium phlei. Zones of psicofuranine and adenine were located by a Cary spectrophotometer by their absorption at 262 m.mu.. The relative mobilities (Rf) of the fractions in the solvent system (BuOH 81%-piperidine 2%-H2O 17%) were: I 0.37, psicofuranine 0.13, and adenine 0.25. For the prepn. of I triacetate, 2.5 g. I, obtained by fractionation with the Craig countercurrent distribution with the 1:1 BuOH-H2O solvent system and dissolved in 20 ml. of pyridine at 4.degree., was added to 8 ml. Ac20. The mixt. was stored overnight at room temp. On addn. of 3 vols. of ice H2O (1-3.degree.), crystn. occurred, yielding 1.65 g. I triacetate, m.p. 171-85.degree.. Recrystn. from 25 ml. EtOH yielded 1.05 g., m.p. 188-90.degree.; uv max. at 258 m.mu., a = 56, in alc. 0.01N H2SO4. The uv and ir absorption spectra of I and the cultural characteristics of the organism are given. Phys. and chem. properties, as well as the therapeutic efficiency in exptl. infected mice, of I are given.

1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-IT

(manuf. of, by fermentation of Streptomyces hydroscopicus decoyicus)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 148 OF 201 CAPLUS COPYRIGHT 2003 ACS  $L_3$ 

1965:455973 CAPLUS AN

DN 63:55973 OREF 63:10252e-g

Structural requirements of nucleosides for binding by adenosine deaminase ΤI

Gory, Joseph G.; Suhadolnik, R. J. ΑU

Albert Einstein Med. Center, Philadelphia, PA CS

Biochemistry (1965), 4(9), 1729-32 SO

DT Journal

LΑ English

cf. following abstr. The substrate specificity of adenosine deaminase has AR been studied in detail. It has been observed that a significant difference exists between the binding of those compds. altered in the 6 or 9 position of adenine. Substitutions in the 6 position (N6-Me, -H, or -mercapto) of adenosine result in compds. that are competitive inhibitors.

#### 09567863

Substitution of a Cl atom for the amino group in the 6 position (6-chloropurine ribonucleoside) results in a nucleoside that is, in fact, a substrate for adenosine deaminase. Changes in the 9 substituent of adenine results in compds. that are either substrates (e.g., adenosine, 2'-deoxyadenosine, 3'-deoxyadenosine, 3'-amino-3'-deoxyadenosine, xylofuranosyladenine, and arabinofuranosyladenine) or inhibitors (e.g., 9-hexyladenine, 9-pentyladenine, 9-cyclopentanoladenine, and 9-cyclohexanoladenine). Seven of the 9 position substituent analogs studied were not bound by the enzyme (adenine, psicofuranine, fructofuranosyladenine, 2'-adenylic acid, 3'-adenylic acid, 5'-deoxyadenylic acid, and 9-cyclohexyladenine). Based on these observations, it is concluded that the binding site of adenosine deaminase is more specific for the substituent on position 9 than for the substituent on position 6 of adenine.

1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl- 95403-90-0, Adenine, 9-.beta.-D-fructofuranosyl-

(reaction with adenosine deaminase, structural requirements for)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

-RN- 95403-90-0 CAPLUS CN 9H-Purin-6-amine, 9-.beta.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

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ANSWER 149 OF 201 CAPLUS COPYRIGHT 2003 ACS
L3
     1965:434442 CAPLUS
ΑN
DN
     63:34442
OREF 63:6181f-g
     Biological half-life of psicofuranine in the human
TT
ΑU
     Forist, Arlington A.
     Upjohn Co., Kalamazoo, MI
CS
     J. Pharm. Sci. (1965), 54(6), 927
SO
DT
     Journal
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#### 09567863

LA English

AV. serum levels of psicofuranine in 6 human subjects at intervals of 1, 2, 4, 6, and 8 hrs. following oral administration of the tetraacetate were detd., plotted logarithmically and a half-life of 45 min. obtained for the appearance and of 140 min. for the disappearance obtained. Since the half-life in dogs is 115 min. for the disappearance, the marked species difference between dogs and humans is not reflected in the biol.

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

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=> d l3 1-99 bib abs hitstr
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- L3 ANSWER 1 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 2002:768804 CAPLUS
- DN 138:4777
- TI A Highly Stereoselective Samarium Diiodide-Promoted Aldol Reaction with 1'-Phenylseleno-2'-keto Nucleosides. Synthesis of 1'.alpha.-Branched Uridine Derivatives
- AU Kodama, Tetsuya; Shuto, Satoshi; Ichikawa, Satoshi; Matsuda, Akira
- CS Graduate School of Pharmaceutical Sciences, Hokkaido University, Sapporo, 060-0812, Japan
- SO Journal of Organic Chemistry (2002), 67(22), 7706-7715 CODEN: JOCEAH; ISSN: 0022-3263
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 138:4777

GΙ

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Since 1'-branched nucleosides are biol. important targets in medicinal AB chem., more efficient methods for prepg. them are required. The 1'.alpha.-branched uridine derivs. were successfully synthesized via a samarium diiodide (SmI2)-promoted aldol reaction. Treatment of the 1'.alpha.-phenylseleno-2'-ketouridine deriv., readily prepd. from uridine, with SmI2 at -78 .degree.C in THF reductively cleaved the anomeric Se-C bond to generate the corresponding samarium enolate, which was highly stereoselectively condensed with aldehydes, such as PhCHO, MeCHO, i-PrCHO, or (CH2O)n, to give the corresponding 1'.alpha.-1''S-branched products, e.g. I (BOM = N-3-benzyloxymethyl, R = OH, R1 = Ph). This is the first time an enolate has been generated by reductively cleaving a C-Se bond. The highly selective stereochem. results suggest that the aldol reaction proceeds via a chelation-controlled transition state. When an excess of aldehyde was used and the reaction mixt. was gradually warmed, the tandem aldol-Tishchenko reaction proceeded to give the "arabino-type" nucleosides, e.g. II, having a 2'-"up" hydroxyl and 1'.alpha.-1''Sbranched chain. 1'.alpha.-Hydroxymethyluridine, which is the uracil version of the antitumor antibiotic angustmycin C, was synthesized from the aldol reaction product I (BOM = N-3-benzyloxymethyl, R = H, R1 = OH). IT476490-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective samarium diiodide-promoted aldol reaction with phenylselenoketo nucleosides in synthesis of 1'.alpha.-branched uridine derivs.)

RN 476490-60-5 CAPLUS

CN Uridine, 1'-C-[(S)-hydroxyphenylmethyl]- (9CI) (CA INDEX NAME)

09567863

IT 53263-33-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (stereoselective samarium diiodide-promoted aldol reaction with phenylselenoketo nucleosides in synthesis of 1'.alpha.-branched uridine derivs.)

RN 53263-33-5 CAPLUS

CN Uridine, 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2002:641005 CAPLUS

DN 138:39492

TI Synthesis of anhydro psicofuranosyl nucleosides

AU Roivainen, Jarkko; Vepsalainen, Jouko; Azhayev, Alex; Mikhailopulo, Igor

CS Department of Pharmaceutical Chemistry, University of Kuopio, Kuopio, FIN-70211, Finland

SO Tetrahedron Letters (2002), 43(37), 6553-6555 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 138:39492

GΙ

Novel rigid nucleosides I (R = Adenine or Thymine) and II were synthesized AB using chiral synthon Me 1-0-mesyl-5-0-toluoyl-.beta.-D-psicofuranoside, prepd. from known 1,3:4,5-di-O-isopropylidene-.beta.-D-psicofuranose in four steps. The key step involves coupling of persilylated nucleobases to the anhydrofuranoside. Using this method, 1',4'- and 02,1-anhydro-.beta.-D-psicofuranosyl thymine nucleosides were also obtained.

IT 478487-96-6P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of anhydro psicofuranosyl nucleoside analogs using diisopropylidene .beta.-D-psicofuranose as chiral synthon) 478487-96-6 CAPLUS

Adenosine, N-benzoyl-1'-C-[[(methylsulfonyl)oxy]methyl]-, 2',3'-diacetate CN 5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 6 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 3 OF 201 CAPLUS COPYRIGHT 2003 ACS L3
- 2002:574962 CAPLUS AN
- DN 137:135066
- Drugs for the diagnosis of tissue-reproductive activity or the treatment ΤI of proliferative diseases
- IN Toyohara, Jun; Hayashi, Akio
- Nihon Medi-Physics Co., Ltd., Japan PA
- PCT Int. Appl., 66 pp. so CODEN: PIXXD2
- DTPatent

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LA Japanese FAN.CNT 1
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PATENT NO.
                            KIND DATE
                                                      APPLICATION NO.
                                                                            DATE
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PΙ
       WO 2002058740
                             A1
                                   20020801
                                                      WO 2002-JP408
                                                                            20020122
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
                PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
                CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
                BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      EP 1270017
                                   20030102
                            A1
                                                     EP 2002-716326 20020122
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      NO 2002004324
                            Α
                                   20021107
                                                     NO 2002-4324
                                                                           20020910
PRAI JP 2001-14954
                            Α
                                   20010123
      WO 2002-JP408
                            W
                                   20020122
os
      MARPAT 137:135066
GI
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Drugs contg. as the active ingredient radioactively labeled compds. of the following general formula or pharmaceutically acceptable salts thereof: [I; wherein R1 is hydrogen or linear or branched C1-8 alkyl; R2 is hydrogen, hydroxyl, or halogeno; R3 is hydrogen or fluoro; R4 is oxygen, sulfur, or methylene; and R5 is radioactive halogeno]. The drugs are stable in the living body, and stay in the cell or are integrated into DNA, thus being useful in the diagnosis of tissue-reproductive activity or the treatment of proliferative diseases.

RL: DGN (Diagnostic use); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drugs for diagnosis of tissue-reproductive activity or treatment of proliferative diseases)

RN 444586-98-5 CAPLUS

N 2,4(1H,3H)-Pyrimidinedione, 1-(3-bromo-1,3-dideoxy-.beta.-D-fructofuranosyl)-5-(iodo-125I)- (9CI) (CA INDEX NAME)

Ι

IT 162143-55-7P 444586-94-1P 444586-95-2P

444586-96-3P 444586-97-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(drugs for diagnosis of tissue-reproductive activity or treatment of proliferative diseases)

RN 162143-55-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-1,3-dideoxy-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 444586-94-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(4,6-di-O-acetyl-3-bromo-1,3-dideoxy-.beta.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444586-95-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(4,6-di-O-acetyl-3-bromo-1,3-dideoxy-.beta.-D-fructofuranosyl)-5-iodo- (9CI) (CA INDEX NAME)

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-bromo-1,3-dideoxy-.beta.-D-fructofuranosyl)-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444586-97-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-bromo-1,3-dideoxy-.beta.-D-fructofuranosyl)-5-(trimethylstannyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2002:558420 CAPLUS

DN 137:232848

TI Nucleophilic Addition of Benzenethiol to 1',2'-Unsaturated Nucleosides: 1'-C-Phenylthio-2'-deoxynucleosides as Anomeric Radical Precursors

AU Kumamoto, Hiroki; Murasaki, Miki; Haraguchi, Kazuhiro; Anamura, Aki; Tanaka, Hiromichi

CS School of Pharmaceutical Sciences, Showa University, Tokyo, 142-8555, Japan

SO Journal of Organic Chemistry (2002), 67(17), 6124-6130 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 137:232848

The addn. reaction of benzenethiol to the glycal portion of 1',2'-unsatd. uridine proceeds efficiently in the presence of Et3N. The mechanism involves nucleophilic attack of thiolate at the anomeric position in the rate-detg. step, wherein conjugation between the nucleobase and the glycal portion is crucial. The deriv. having a Me group either at the 2'- or 6-position did not undergo this addn. reaction, due to their sterically prohibited coplanarity. The 1',2'-unsatd. derivs. of thymine and adenine

can also be used as substrates for this addn. reaction. It was also shown that the resulting 1'-C-phenylthio-2'-deoxynucleosides serve as precursors for radical-mediated C-C bond formation at the anomeric position. IT 459156-27-5P 459156-28-6P 459156-29-7P 459156-30-0P 459156-31-1P 459156-32-2P 459156-33-3P 459156-34-4P 459156-35-5P 459156-36-6P RL: SPN (Synthetic preparation); PREP (Preparation) (nucleophilic addn. of benzenethiol to 1',2'-unsatd. nucleosides using 1'-C-phenylthio-2'-deoxynucleosides as anomeric radical precursors) RN459156-27-5 CAPLUS 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S,5R)-4-[[(1,1-1)]]CN dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy ]methyl]tetrahydro-2-(2-propenyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-Bu$$
 $Me$ 
 $H_2C$ 
 $Si-Me$ 
 $O$ 
 $R$ 
 $O$ 
 $Bu-t$ 
 $Me$ 
 $Me$ 
 $Me$ 

RN 459156-28-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S,5R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy-methyl]tetrahydro-2-(2-propenyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-Bu$$
 $Me$ 
 $H_2C$ 
 $Si-Me$ 
 $O$ 
 $Bu-t$ 
 $Me$ 
 $Me$ 
 $Me$ 

RN 459156-29-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S,5R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX

RN 459156-30-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S,5R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(2-propenyl)-2-furanyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 459156-31-1 CAPLUS
CN 9H-Purin-6-amine, 9-[(2R,4S,5R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(2-propenyl)2-furanyl]- (9CI) (CA INDEX NAME)

RN 459156-32-2 CAPLUS

CN 9H-Purin-6-amine, 9-[(2S,4S,5R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(2-propenyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 459156-33-3 CAPLUS

CN 2-Furanpropanenitrile, 2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-, (2R,4S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 459156-34-4 CAPLUS

CN 2-Furanpropanenitrile, 2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-, (2S,4S,5R)- (9CI) (CA INDEX NAME)

RN 459156-35-5 CAPLUS
CN 2-Furanpropanoic acid, 2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-, methyl ester,
(2R,4S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 459156-36-6 CAPLUS
CN 2-Furanpropanoic acid, 2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-, methyl ester,
(2S,4S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 201 CAPLUS COPYRIGHT 2003 ACS

```
09567863
ΑN
     2002:543624 CAPLUS
DN
     137:353254
ΤI
     Stereoselective entry to 1'-C-branched 4'-thionucleosides from
     4-thiofuranoid glycal: synthesis of 4'-thioangustmycin C
     Haraguchi, Kazuhiro; Takahashi, Haruhiko; Tanaka, Hiromichi
ΑU
     School of Pharmaceutical Sciences, Showa University, Shinagawa-ku, Tokyo,
CS
     142~8555, Japan
SO
     Tetrahedron Letters (2002), 43(32), 5657-5660
     CODEN: TELEAY; ISSN: 0040-4039
PΒ
     Elsevier Science Ltd.
DT
     Journal
LA
     English
     A stereoselective synthetic method for the synthesis of novel
AΒ
     1'-C-carbon-substituted 4'-thionucleosides has been developed.
     present method consists of the following steps: (1) prepn. of the
     1-C-carbon-substituted 4-thiofuranoid glycals based on lithiation, and (2)
     NIS- or PhSeCl-initiated stereoselective glycosidation to these
     1-substituted glycals. This synthetic sequence enabled us to synthesize
     the 4'-thio analog of antitumor antibiotic angustmycin C.
ΙT
     1874-54-0P, Angustmycin C
     RL: PNU (Preparation, unclassified); PREP (Preparation)
        (stereoselective prepn. of 1'-C-branched 4'-thionucleosides from
        4-thiofuranoid glycal via stereoselective glycosylation as a key step)
RN
     1874-54-0 CAPLUS
     9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)
CN
Absolute stereochemistry.
```

L3

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 18 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 201 CAPLUS COPYRIGHT 2003 ACS

The same of the second of the

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AN
      2002:368486 CAPLUS
DN
      136:355426
      Preparation of modified nucleosides and nucleotides and use thereof
ΤI
      Chattopadhyaya, Jyoti
IN
PA
      Swed.
      PCT Int. Appl., 33 pp.
SO
      CODEN: PIXXD2
DT
      Patent
LĄ
      English
FAN.CNT 1
      PATENT NO.
                          KIND DATE
                                                    APPLICATION NO.
                                                                         DATE
                                                    -----
PΙ
     WO 2002038578
                          A1
                                  20020516
                                                    WO 2001-SE2484 20011109
          W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
               CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES,
               FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK,
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SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002014477 20020521 Α5 AU 2002-14477 20011109 PRAI US 2000-247399P 20001109 Р US 2001-308063P 20010725 P WO 2001-SE2484 20011109 MARPAT 136:355426 os GΙ

The present invention relates to the prepn. of modified nucleotides and AB nucleosides I and II wherein Q = O, S; X = O, S, NH, NCH3, CH2, CHMe, Y = O, S, NH, NCH3, CH2, CHMe; Z = O, S, NH, NCH3, CH2, CHMe; R = O, S, NH, NCH3, CH2, CHMe; B = A, C, G, T; 5-F/Cl/BrU, 6-thioguanine, 7-deazaguanine; .alpha.- or .beta.-D-(or L)ribo, xylo, arabino or lyxo configuration. The modified nucleotides and nucleotides are assembled to larger oligonucleotides and oligonucleosides, which, for example, may be used for diagnostics of polymorphisms and for antisense therapy of various conditions (no data). The oligonucleotides and oligonucleosides described in the invention have very good endonuclease resistance without compromising the RNA cleavage properties of RNase H. Thus, nucleoside phosphoramidite III was prepd. and incorporated into oligonucleosides useful as endonuclease resistance without compromising the RNA cleavage properties of RNase H. ΙT 344906-03-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and endonuclease resistance of modified oligonucleosides) 344906-03-2 CAPLUS

RN CN

Uridine, 5-methyl-1'-C-[[(methylsulfonyl)oxy]methyl]-,

5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 8 ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 7 OF 201 CAPLUS COPYRIGHT 2003 ACS
L3
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2002:286817 CAPLUS AN

DN 136:279652

Cyanoribofuranoside compound and its preparing process ΤI

Chen, Guorong; Xie, Yuyuan; Lou, Zhen; Ge, Luye IN

Huadong Science and Engineering Univ., Peop. Rep. China PA SO

Faming Zhuanli Shenqing Gongkai Shuomingshu, 10 pp. CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

PΙ PRAI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1298880 CN 2000-127905	A	20010613 20001214	CN 2000-127905	20001214

OS CASREACT 136:279652

1'-Cyanouridine and 1'-cyanoadenosine are synthesized by refluxing AB 1-bromo-1-cyano-1-deoxy-2,3,5-tri-O-benzoyl-.beta.-D-ribofuranoside in solvent (such as nitromethane, acetonitrile, or dichloromethane) in the presence of mol. sieve for 0.5-1.5 h, substituting with 2,4-bis(trimethylsilyloxy)pyrimidine or 6-chloropurine (at a molar ratio of 1:2.4-3.0) in the presence of mercuric cyanide at 100-120.degree.C for 2-3 h, and hydrolyzing with NH4OH or NaOH soln. in alc. The two compds. are used for treating leukemia.

IT 153959-73-0P 406463-01-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (cyanoribofuranosides prepn. for treatment of leukemia)

RN 153959-73-0 CAPLUS

Uridine, l'-C-cyano- (9CI) (CA INDEX NAME) CN

406463-01-2 CAPLUS RNCN Adenosine, 1'-C-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 8 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2002:156367 CAPLUS

DN 137:75886

Chemical constituents from the basidiocarp of Sarcodon\_aspratum\_\_\_\_ TI

AU Huang, Yue; Dong, Zejun; Liu, Jikai

Kunming Institute of Botany, Chinese Academy of Sciences, Kunming, 650204, CS Peop. Rep. China

Yunnan Zhiwu Yanjiu (2002), 24(1), 125-128 SO CODEN: YCWCDP; ISSN: 0253-2700

PBZhongguo Kexueyuan Kunming Zhiwu Yanjiuso

DTJournal

Chinese LA

Chem. constituents of the basidiocarp of Sarcodon aspratus were studied. Fifteen known compds., cerebroside B, psicofuranine, uridic triphosphate, uracil, adenine, 3.beta.-acteoxy-(22E,24R)-24-methyl-5.alpha.-cholest-7,22diene-5,6.beta.-diol, (22E)-27-nor-24-methyl-5.alpha.-cholesta-7,22-diene-3.beta.,5,6.beta.-triol, 3.beta.-hydroxy-5.alpha.,8.alpha.-epidioxy-24.xi.methylcholesta-6-en, (22 mg), 3.beta.-0-glucopyranosyl-5.alpha.,6.beta.-dihydroxyergosta-7,22-diene, (24S)-ergosta-4,6,8(14),22-tetraen-3-one, (22E,24R)-24-methylergosta-7,22-diene-3.beta.,5.alpha.,6.beta.-triol, (22E,24S)-24-methyl-5.alpha.-cholest-7,22-diene-3.beta.,5,6.beta.-triol, 3.beta.-hydroxy-5.alpha.,8.alpha.-epidioxyerosta-6,22-diene, 3.beta.-hydroxyergosta-5,7,22-triene (14) and D-allitol (15), were isolated from the fresh fruiting bodies of Sarcodon aspratus and identified by spectroscopy. This is the 1st report of the above compds. in this genus.

IT 1874-54-0P, Psicofuranine RL: BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)

(chem. constituents of the basidiocarp of Sarcodon aspratus)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

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L3
    ANSWER 9 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN2002:129616 CAPLUS

DN 136:147786

Escherichia coli mutant strain having decoyinine resistance ΤI

Kim, Dong U.; Oh, Yun Seok; Lee, Gwang Ho; Lee, Jae Hwan; Lee, Jae Heung; IN Han, Jong Gwon

PΑ Cheil Jedang Corporation, S. Korea

Repub. Korean Kongkae Taeho Kongbo, No pp. given SO CODEN: KRXXA7

DTPatent

LΑ Korean

FAN.CNT 1

ΡI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2000040840 KR 1998-56576	A	20000705	KR 1998-56576	19981216

PRAI 19981216 Decoyinine resistance of E. coli KD113 (KFCC-11067) producing more 5'-Guanosine monophosphate (GMP) from xanthosine monophosphate (XMP) is provided. The E. coli KD113 (KFCC-11067) has XMP aminase showing no inhibitory effect by high concn. of GMP and increment of specific enzyme activity. Wild type E. coli W3110 is cultivated in LB medium at 37 .degree.C for 16 h and cells are harvested by centrifugation. Cells treated with nitrosoguanidine and are then spread on minimal medium plates contg. 0-3.0 mg/mL of decoyinine, 0-3.0 mg/mL of psicofuranine or 0-3.0 mg/mL of mercaptopurine. Mutants were selected during incubation for 1-5 days at 37 .degree.C. Specific enzyme activity of XMP aminase of mutant KD113 is 3-7 times higher compared with the wild type. Cells were sonicated and the supernatant was used to prep. GMP from XMP. The enzyme from the decoyinine resistant mutant also produced more GMP compared with a psicofuranine resistant mutant.

IT 1874-54-0, Psicofuranine

RL: BSU (Biological study, unclassified); BIOL (Biological study) (improved guanosine monophosphate by a decoyinine resistant escherichia coli mutant)

1874-54-0 CAPLUS RN

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

L3 ANSWER 10 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2002:114390 CAPLUS

DN 136:279645

TI Ribose-Modified Nucleosides as Ligands for Adenosine Receptors: Synthesis, Conformational Analysis, and Biological Evaluation of 1'-C-Methyl Adenosine Analogues

AU Cappellacci, Loredana; Barboni, Grazia; Palmieri, Micaela; Pasqualini, Michela; Grifantini, Mario; Costa, Barbara; Martini, Claudia; Franchetti, Palmarisa

CS Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, 62032, Italy

SO Journal of Medicinal Chemistry (2002), 45(6), 1196-1202 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB

1'-C-Me analogs of adenosine and selective adenosine Al receptor agonists, such as N-[(1R)-1-methyl-2-phenylethyl]adenosine ((R)-PIA) and N6-cyclopentyladenosine, were synthesized to further investigate the domain that binds the ribose moiety. Binding affinities of these new compds. at A1 and A2A receptors in rat brain membranes and at A3 in rat testis membranes were detd. and compared. It was found that the 1'-C-Me modification in adenosine resulted in a decrease of affinity, particularly at A1 and A2A receptors. When this modification was combined with N6 substitutions with groups that induce high potency and selectivity at A1 receptors, the high affinity was in part restored and the selectivity was increased. The most potent compd. proved to be the 1'-C-Me analog of (R)-PIA with a Ki of 23 nM for the displacement of [3H]CHA binding from rat brain A1 receptors and a >435-fold selectivity over A2A receptors. In functional assays, these compds. inhibited forskolin-stimulated adenylate cyclase with IC50 values ranging from 0.065 to 3.4 .mu.M, acting as full agonists. Conformational anal. based on vicinal proton-proton J-coupling consts. and mol. mechanics calcns. using the MM2 force field proved that the Me group on C1' in adenosine has a pronounced impact on the furanose conformation by driving its conformational equil. toward the north, .gamma.+, syn form.

IT 16848-12-7P 406479-41-2P 406479-42-3P 406479-43-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(ribosemodified nucleosides as ligands for adenosine receptors synthesis conformational anal. and biol. evaluation of Me adenosine analogs)

RN 16848-12-7 CAPLUS

CN Adenosine, 1'-C-methyl- (9CI) (CA INDEX NAME)

RN406479-41-2 CAPLUS Adenosine, N-cyclopentyl-1'-C-methyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN406479-42-3 CAPLUS Adenosine, 1'-C-methyl-N-[(1R)-1-methyl-2-phenylethyl]- (9CI) (CA INDEX CNNAME) ---

Absolute stereochemistry.

RN406479-43-4 CAPLUS Adenosine, 1'-C-methyl-N-[(1S)-1-methyl-2-phenylethyl]- (9CI) (CA INDEX CN

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3
         ANSWER 11 OF 201 CAPLUS COPYRIGHT 2003 ACS
         2001:886155 CAPLUS
   AN
   DN
         136:590
        Methods and compositions using modified nucleosides for treating
   TT
        Sommadossi, Jean-Pierre; Lacolla, Paolo
   IN
        Novirio Pharmaceuticals Limited, Cayman I.; Universita Degli Studi Di
   PΑ
        PCT Int. Appl., 302 pp.
  SO
        CODEN: PIXXD2
  DT
        Patent
  LA
        English
  FAN.CNT 1
        PATENT NO.
                          KIND DATE
        -----
                                                 APPLICATION NO. DATE
                                -----
       WO 2001092282 A2 -20011206
                                                 WO 2001092282
                                                 WO 2001-US16687 20010523
                          A3 20020502
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
               UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      EP 1294735
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
      US 2003060400
                         A1 20030327
     NO 2002005600
                                               US 2001-863816
PRAI US 2000-207674P
                         Α
                               20030117
                                                                  20010523
                                               NO 2002-5600
                         Ъ
                               20000526
                                                                  20021121
     US 2001-283276P
                         Р
                               20010411
     WO 2001-US16687
                         W
OS
                              20010523
     MARPAT 136:590
```

A method and compn. are provided for treating a host infected with AB flavivirus or pestivirus, comprising administering an effective amt. of a 1', 2' or 3'-modified nucleoside or a pharmaceutically acceptable salt or prodrug thereof. ΙT 16848-12-7

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nucleoside derivs. for treating flaviviruses and pestiviruses)

RN 16848-12-7 CAPLUS Adenosine, l'-C-methyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

IT34441-68-4 38946-83-7 38946-84-8 54401-19-3 374750-31-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(nucleoside derivs. for treating flaviviruses and pestiviruses) 34441-68-4 CAPLUS

RNCN

Uridine, 5-methyl-1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

38946-83-7 CAPLUS RNUridine, 1'-C-methyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN38946-84-8 CAPLUS Cytidine, 1'-C-methyl- (9CI) CN(CA INDEX NAME)

09567863

RN54401-19-3 CAPLUS

Guanosine, 1'-C-methyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN374750-31-9 CAPLUS

CNInosine, 1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- ANSWER 12 OF 201 CAPLUS COPYRIGHT 2003 ACS L3
- AN 2001:868467 CAPLUS
- DN 136:6296
- Preparation of antiviral nucleosides and methods for treating hepatitis C ΤI IN
- Sommadossi, Jean-Pierre; Lacolla, Paulo
- Novirio Pharmaceuticals Limited, Cayman I.; Universita degli Studi di PΑ
- PCT Int. Appl., 296 pp. SO CODEN: PIXXD2
- DTPatent
- LΑ English
- FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

```
PΙ
     WO 2001090121
                       A2
                             20011129
                                            WO 2001-US16671 20010523
     WO 2001090121
                       Α3
                             20020502
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001074906
                       Α5
                            20011203
                                           AU 2001-74906
                                                             20010523
     US 2003050229
                       A1
                            20030313
                                           US 2001-864078
                                                             20010523
     EP 1292603
                       A2
                            20030319
                                           EP 2001-941564
                                                             20010523
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     NO 2002005627
                       A
                            20030106
                                           NO 2002-5627
                                                             20021122
PRAI US 2000-206585P
                       Ρ
                            20000523
     WO 2001-US16671
                       W
                            20010523
os
     MARPAT 136:6296
GI
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A method and compn. for treating a host infected with hepatitis C AB comprising administering an effective hepatitis C treatment amt. of a described 1'-, 2'- or 3'-modified nucleosides I, wherein : R1-R3 and R are independently H, phosphate (including mono, di- or triphosphate and a stabilized phosphate prodrug); acyl; alkyl; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl and benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given herein; a lipid, including a phospholipid; an amino acid; a carbohydrate; a peptide; a cholesterol; or other pharmaceutically acceptable leaving group which when administered in vivo is capable of providing a compd. wherein R1-R3 are independently H or phosphate; Y is hydrogen, bromo, chloro, fluoro, iodo, OR4, NR4R5 or SR4; X1 and X2 are independently selected from the group consisting of H, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO-alkoxyalkyl, chloro, bromo, fluoro, iodo, OR4, NR4R5 or SR4; and R4 and R5 are independently hydrogen, acyl, alkyl.or a pharmaceutically acceptable salt or prodrug thereof, is provided. Thus, I (R1-R3 = X1 = X2)= H, Y = NH2) was prepd. and tested in Cynomolgus monkeys as antiviral agent. Oral bioavailability in monkeys, bone human bone marrow toxicity (IC50 > 10 .mu.M), and mitochondrial toxicity, were reported . 16848-12-7P 34441-68-4P 38946-83-7P IT

Ι

## 38946-84-8P 54401-19-3P 374750-31-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antiviral nucleosides and methods for treating hepatitis C virus)

RN 16848-12-7 CAPLUS

CN Adenosine, 1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 34441-68-4 CAPLUS
CN Uridine 5-methyl-11 C methyl

CN Uridine, 5-methyl-1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-83-7 CAPLUS

CN Uridine, 1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 38946-84-8 CAPLUS

CN Cytidine, 1'-C-methyl- (9CI) (CA INDEX NAME)

## 09567863

Absolute stereochemistry.

RN 54401-19-3 CAPLUS

CN Guanosine, 1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 374750-31-9 CAPLUS

CN Inosine, 1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute-stereochemistry.

L3 ANSWER 13 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2001:519738 CAPLUS

DN 135:257415

Novel Bicyclic Nucleoside Analogue (18,58,68)-6- Hydroxy-5-hydroxymethyl-1-(uracil-1-yl)-3,8-dioxabicyclo[3.2.1]octane: Synthesis and Incorporation into Oligodeoxynucleotides

AU Kvrno, Lisbet; Wengel, Jesper

CS Center for Synthetic Bioorganic Chemistry Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.

SO Journal of Organic Chemistry (2001), 66(16), 5498-5503 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

## 09567863

DT Journal LA English OS CASREACT 135:257415 GI

HO 
$$CH_2$$
 O  $CH_2$  OH

Ι

The novel bicyclic nucleoside (1S,5S,6S)-6-hydroxy-5-hydroxymethyl-1-(uracil-1-yl)-3,8-dioxabicyclo[3.2.1]octane [2'-deoxy-1'-C,4'-C-(2-oxapropano)uridine] I, expected to be restricted into an O4'-endo furanose conformation, was synthesized from the known 1-(3'-deoxy-.beta.-D-psicofuranosyl)uracil. The phosphoramidite deriv. of I was successfully incorporated into oligodeoxynucleotides using std. methods, and thermal denaturation studies showed moderate decreases in duplex stabilities of -2.1 and -1.5 .degree.C per modification toward complementary DNA and RNA, resp.

IT 55697-37-5

RN

RL: RCT (Reactant); RACT (Reactant or reagent)
-----(prepn.-and-oligodeoxynucleotide incorporation of novel bicyclic
nucleoside analog (1S,5S,6S)-6- hydroxy-5-hydroxymethyl-1-(uracilyl)3,8-dioxabicyclo[3.2.1]octane)

55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 175355-17-6P 361344-44-7P 361344-45-8P 361344-46-9P 361344-47-0P 361344-48-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and oligodeoxynucleotide incorporation of novel bicyclic nucleoside analog (15,55,65)-6- hydroxy-5-hydroxymethyl-1-(uracilyl)-3,8-dioxabicyclo[3.2.1]octane)

RN 175355-17-6 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361344-44-7 CAPLUS

CN Uridine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C[(phenylmethoxy)methyl]-3'-0-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361344-45-8 CAPLUS

CN Uridine, 2'-deoxy-1'-C-[(phenylmethoxy)methyl]-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361344-46-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2S,4S)-tetrahydro-5,5-bis(hydroxymethyl)-4-

(phenylmethoxy)-2-[(phenylmethoxy)methyl]-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 361344-47-0 CAPLUS

CN Uridine, 2'-deoxy-4'-C-[[(methylsulfonyl)oxy]methyl]-1'-C[(phenylmethoxy)methyl]-3'-O-(phenylmethyl)-, 5'-methanesulfonate (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 361344-48-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(hydroxymethyl)-4'-C-[[(methylsulfonyl)oxy]methyl]-3'-O-(phenylmethyl)-, 5'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 361344-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oligodeoxynucleotide incorporation of novel bicyclic
nucleoside analog (1S,5S,6S)-6- hydroxy-5-hydroxymethyl-1-(uracilyl)3,8-45500 (Synthetic preparation); PREP (Preparation)

RN 361344-55-0 CAPLUS

Uridine, 2'-deoxy-1'-C-(hydroxymethyl)-4'-C-[[(methylsulfonyl)oxy]methyl]-, 5'-methanesulfonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 29 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 201 CAPLUS COPYRIGHT 2003 ACS

ΑN 2001:481502 CAPLUS

DN 135:227197

Synthesis of a Novel Bicyclic Nucleoside Restricted to an S-Type ΤI Conformation and Initial Evaluation of Its Hybridization Properties When Incorporated into Oligodeoxynucleotides

ΑU Kvrno, Lisbet; Wightman, Richard H.; Wengel, Jesper

Center for Synthetic Bioorganic Chemistry Department of Chemistry, CS University of Copenhagen, Copenhagen, DK-2100, Den.

SO Journal of Organic Chemistry (2001), 66(15), 5106-5112 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DTJournal

LA ---English---

OS CASREACT 135:227197

The phosphoramidite (1S,3R,4S)-3-(2-cyanoethoxy(diisopropylamino)phosphino AB xymethyl) -5-N-(4-monomethoxytrityl) -1-(uracil-1-yl) -5-aza-2oxabicyclo[2.2.1]heptane of a novel bicyclic nucleoside structure was synthesized from the known 1-(3'-deoxy-.beta.-D-psicofuranosyl)uracil. Conformational anal. of its structure verified its expected S-type furanose conformation, and the secondary amino group in the 4'-position allowed for incorporation into oligonucleotides using 5'.fwdarw.3' directed oligonucleotide synthesis as previously described for phosphoramidates. Thermal denaturation studies showed rather large decreases in duplex stabilities of -4.3 and -2.7 .degree.C per modification toward complementary DNA and RNA, resp.

IT 55697-37-5

RL: RCT (Reactant); RACT (Reactant or reagent) (synthesis of a novel bicyclic nucleoside restricted to an S-type conformation and initial evaluation of its hybridization properties when incorporated into oligodeoxynucleotides)

55697-37-5 CAPLUS RN

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)-CN(9CI) (CA INDEX NAME)

IT 358625-34-0P 358625-37-3P 358625-52-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of a novel bicyclic nucleoside restricted to an S-type conformation and initial evaluation of its hybridization properties when incorporated into oligodeoxynucleotides)

RN 358625-34-0 CAPLUS

CN Uridine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 358625-37-3 CAPLUS

Uridine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-methanesulfonate (9CI) (CA INDEX NAME)

RN 358625-52-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,6-bis-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy-.beta.-D-threo-2-hexulofuranosyl]-(9CI) (CA INDEX NAME)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 15 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 2001:436267 CAPLUS
- DN 135:211209
- TI Nucleosides and nucleotides. Part 205. An efficient method for the preparation of 1'.alpha.-branched-chain sugar pyrimidine ribonucleosides from uridine: the first conversion of a natural nucleoside into 1'-substituted ribonucleosides
- AU Kodama, Tetsuya; Shuto, Satoshi; Nomura, Makoto; Matsuda, Akira
- CS Graduate School of Pharmaceutical Sciences, Hokkaido University, Sapporo, 060-0812, Japan

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Chemistry--A European Journal (2001), 7(11), 2332-2340
SO
     CODEN: CEUJED; ISSN: 0947-6539
     Wiley-VCH Verlag GmbH
PΒ
DT
     Journal
LΑ
     English
OS
     CASREACT 135:211209
GI
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Ι

AB 1-[1-C-Phenylseleno-3,5-0-(1,1,3,3-tetraisopropyldisiloxane-1,3-diyl)-.beta.-D-ribopentofuranosyl]uracil was successfully synthesized by enolization of the 3',5'-0-TIPDS-2'-ketouridine, and was subjected to a radical reaction with a vinylsilyl tether-an efficient procedure for prepg. 1'.alpha.-branched-chain sugar pyrimidine nucleosides. Successive treatment of the 3-7,5-0-TIPDS-2--ketouridine with LiHMDS and PhSeCl in THF at < - 70.degree.C gave the desired 1'-phenylseleno products in 85% yield as an anomeric mixt. Highly stereoselective redn. at the 2'-carbonyl of the 1'.alpha.-product occurred from the .beta.-face by using NaBH4/CeCl3 in MeOH, and subsequent introduction of a dimethylvinylsilyl tether at the 2'-hydroxyl gave the radical reaction substrate 1-[1-C-phenylseleno-2-O-dimethylvinylsilyl-3,5-O-(1,1,3,3tetraisopropyldisiloxane-1,3-diyl)-.beta.-D-ribopentofuranosyl]uracil (I). The photochem. radical atom-transfer reaction of I by using a high-pressure mercury lamp proceeded effectively in benzene to give the exo-cyclized PhSe-transferred product, in which (PhSe)2 proved to be essential as an additive for radical atom-transfer cyclization reactions. Subsequent phenylseleno-group elimination gave the sugar-protected 1'.alpha.-vinyluridine. With this procedure, 1-(1-C-ethenyl-.beta.-Dribopentofuranosyl)uracil and 1-(1-C-ethenyl-.beta.-Dribopentofuranosyl) cytosine, designed to be potential antitumor agents, were synthesized. This study is the first example of functionalization at the anomeric 1'-position of a nucleoside by starting from a natural nucleoside to produce a ribo-type 1'-modified nucleoside. 357610-08-3P 357610-11-8P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 1'.alpha.-branched-chain pyrimidine ribonucleosides from uridine via enolization, stereoselective redn., and radical atom-transfer cyclization reactions)

RN 357610-08-3 CAPLUS CN

Uridine, 1'-C-ethenyl-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 357610-11-8 CAPLUS

CN Cytidine, N-acetyl-1'-C-ethenyl-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 357610-09-4P 357610-12-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 1'.alpha.-branched-chain pyrimidine ribonucleosides from uridine via enolization, stereoselective redn., and radical atom-transfer cyclization reactions)

RN 357610-09-4 CAPLUS

CN Uridine, 1'-C-ethenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 357610-12-9 CAPLUS

CN Cytidine, 1'-C-ethenyl- (9CI) (CA INDEX NAME)

RE.CNT 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2001:334725 CAPLUS

DN 135:89185

TI Oxygen-Dependent DNA Damage Amplification Involving 5,6-Dihydrothymidin-5-yl in a Structurally Minimal System

AU Tallman, Keri A.; Greenberg, Marc M.

CS Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA

SO Journal of the American Chemical Society (2001), 123(22), 5181-5187 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

5,6-Dihydrothymidin-5-yl (1) was independently generated in a dinucleotide AΒ from a Ph selenide precursor. Under free radical chain propagation conditions, the products resulting from hydrogen atom donation and radical-pair reaction are the major obsd. products in the absence of O2. The stereoselectivity of the trapping process is dependent on the structure of the hydrogen atom donor. No evidence for internucleotidyl hydrogen atom abstraction by 1 was detected. The tandem lesion resulting from hydrogen atom abstraction from the C1' position of the adjacent 2'-deoxyuridine by the peroxyl radical derived from 1 is obsd. under aerobic conditions. The structure of this product is confirmed by independent synthesis and its transformation into a second independently synthesized product. Internucleotidyl hydrogen atom abstraction is effected selectively by the 5S-diastereomer of the peroxyl radical. formation of the dinucleotide provides further support for the novel 02-dependent DNA damage amplification mechanism involving 1 reported previously (Greenberg, M. M.; et al. J. Am. Chem. Soc. 1997, 119, 1828). IT 210755-20-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxygen-dependent DNA damage amplification involving
5,6-dihydrothymidin-5-yl)

RN 210755-20-7 CAPLUS

CN Uridine, 2'-deoxy-5'-0-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-(2,2-dimethyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 349490-37-5 CAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)uridylyl-(3'.fwdarw.5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5,6-dihydro-5-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN349490-38-6 CAPLUS Thymidine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-(2,2-CNdimethyl-1-oxopropyl)uridylyl-(3'.fwdarw.5')-5,6-dihydro-5-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN349490-40-0 CAPLUS CN

Thymidine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-cyanoethyl)-2'deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)uridylyl-(3'.fwdarw.5')-3'-O-[(1,1dimethylethyl)dimethylsilyl]-5,6-dihydro- (9CI) (CA INDEX NAME)

RN 349490-41-1 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)uridylyl-(3'.fwdarw.5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5,6-dihydro-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 349490-42-2 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)uridylyl-(3'.fwdarw.5')-5,6-dihydro-, monosodium salt (9CI) (CA INDEX NAME)

Na

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2001:138891 CAPLUS

DN 135:57707

TI Conformation-specific cleavage of antisense oligonucleotide-RNA duplexes by RNase H

AU Pradeepkumar, Pushpangadan I.; Zamaratski, Edouard; Foldesi, Andras; Chattopadhyaya, Jyoti

CS Department of Bioorganic Chemistry, Biomedical Center, University of Uppsala, Uppsala, S-75123, Swed.

SO Journal of the Chemical Society, Perkin Transactions 2 (2001), (3), 402-408
CODEN: JCSPGI; ISSN: 1472-779X

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 135:57707

AΒ The North-form (3'-endo) constrained 1-(1',3'-O-anhydro-.beta.-Dpsicofuranosyl) thymine block, T, was systematically incorporated at various sites, one at a time, into a set of four antisense oligonucleotides (AONs). The hybrids of these AONs with a matched 15mer RNA target were subjected to the RNase H cleavage reaction, and compared with that of the native counterpart, in order to probe how far the local influence of a single North-locked sugar is transmitted in steering conformational changes in the neighboring nucleotides. It was found that the introduction of a single North-sugar locked T nucleotide in the AONs makes up to four of the neighboring nucleotides at the 5'-end of the modification site resistant to the RNase H cleavage reaction. This suggests that a stretch of 5-nucleotides, including the T nucleotide, in the AON strand adopts a North-type conformation, giving a local RNA/RNA type hybrid structure instead of a regular DNA/RNA type duplex structure. Although these 5-nucleotide regions were completely resistant to RNase H promoted hydrolysis, they could serve as the binding site for the enzyme. Interestingly, none of these local adaptations of the RNA/RNA type structure were observable by CD spectroscopy, showing it to be an unsuitable means of monitoring any subtle alteration of the local

structure. This work, therefore, constitutes an example of how the engineered conformation of a substrate can be used to exploit the stereochem. sensitivity of an enzyme to map local microscopic conformational changes. The other implication of this work is that it provides a new tool to gather local structural information, which may help to optimize the no. of constrained residues which need to be incorporated to induce the antisense strand to adopt either A- or B-type geometry in the hybrid duplex, with or without the loss of RNase H recognition and/or cleavage properties.

IT 344906-03-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(conformation-specific cleavage of antisense oligonucleotide-RNA duplexes by RNase H)

RN 344906-03-2 CAPLUS

CN Uridine, 5-methyl-1'-C-[[(methylsulfonyl)oxy]methyl]-, 5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 18 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 2001:16928 CAPLUS
- DN 134:178753
- TI Stereoselective total synthesis of zaragozic acid A based on an acetal [1,2] Wittig rearrangement
- AU Tomooka, Katsuhiko; Kikuchi, Makoto; Igawa, Kazunobu; Suzuki, Masaki; Keong, Ping-Huai; Nakai, Takeshi
- CS Department of Applied Chemistry, Graduate School of Science an dEngineering, Tokyo Institute of Technology, Meguro-ku, Tokyo, 152-8552, Japan
- SO Angewandte Chemie, International Edition (2000), 39(24), 4502-4505 CODEN: ACIEF5; ISSN: 1433-7851
- PB Wiley-VCH Verlag GmbH
- DT Journal
- LA English
- OS CASREACT 134:178753
- AB Zaragozic acid A was prepd. from L-arabinose via stereoselective Wittig rearrangement..
- IT 326494-33-1P 326494-38-6P 326494-39-7P 326494-42-2P 326494-43-3P 326494-44-4P
  - 326494-46-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective total synthesis of zaragozic acid a based on an acetal Wittig rearrangement)

RN 326494-33-1 CAPLUS

CN L-erythro-D-altro-Octonic acid, 4,7-anhydro-2,3-O-cyclopentylidene-5,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4-C-ethynyl-8-O-(phenylmethyl)-3-C-[(trimethylsilyl)ethynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 326494-38-6 CAPLUS

CN L-erythro-D-altro-Octonic acid, 4,7-anhydro-2,3-0-cyclopentylidene-5,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-4-C-ethenyl-8-O-(phenylmethyl)-3-C-[(trimethylsilyl)ethynyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 326494-39-7 CAPLUS

CN L-erythro-D-altro-Octonic acid, 4,7-anhydro-2,3-O-cyclopentylidene-3,4-bis-C-[(1,1-dimethylethoxy)carbonyl]-5,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-, 1,1-dimethylethyl ester, benzoate (9CI) (CA INDEX NAME)

RN 326494-42-2 CAPLUS

CN L-erythro-D-altro-Octonic acid, 4,7-anhydro-2,3-O-cyclopentylidene-4-C-[(1,1-dimethylethoxy)carbonyl]-5,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-3-C-ethenyl-8-O-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 326494-43-3 CAPLUS

CN L-erythro-D-altro-Octonic acid, 4,7-anhydro-2,3-O-cyclopentylidene-3,4-bis-C-[(1,1-dimethylethoxy)carbonyl]-5,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 326494-44-4 CAPLUS

CN L-erythro-D-altro-Non-1-enitol, 5,8-anhydro-3,4-O-cyclopentylidene-1,2-dideoxy-6,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-5-C-ethynyl-9-O-(phenylmethyl)-4-C-[(trimethylsilyl)ethynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 326494-46-6 CAPLUS

CN L-erythro-D-altro-Octonic acid, 4,7-anhydro-2,3-O-cyclopentylidene-4-C-[(1,1-dimethylethoxy)carbonyl]-5,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-3-C-ethynyl-8-O-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2000:632680 CAPLUS

DN 133:346055

TI Models of DNA C1' Radicals. Structural, Spectral, and Chemical Properties of the Thyminylmethyl Radical and the 2'-Deoxyuridin-1'-yl Radical

AU Chatgilialoglu, Chryssostomos; Ferreri, Carla; Bazzanini, Rita; Guerra, Maurizio; Choi, Seung-Yong; Emanuel, Calvin J.; Horner, John H.; Newcomb, Martin

CS Co.C.E.A., Consiglio Nazionale delle Ricerche, Bologna, I-40129, Italy SO Journal of the American Chemical Society (2000), 122(39), 9525-9533 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English-

The thyminylmethyl radical and the 2'-deoxyuridin-1'-yl radical were AΒ studied. The former radical was produced in laser flash photolysis (LFP) studies from two precursors derived from thyminylacetic acid, the N-hydroxypyridine-2-thione ester (PTOC ester), and the phenylselenyl ester. The thyminylmethyl radical has an absorbance in the range 315-340 The rate const. for its reaction with octadecanethiol in THF at ambient temp. detd. by LFP methods is (3.1 .+-. 0.6) .times. 107 M-1 s-1. The 2'-deoxyuridin-1'-yl radical was produced in bulk photolyses from both diastereomers of the corresponding C1' tert-Bu ketone, 1'-pivaloy1-2'-deoxyuridine, and in LFP studies from one diastereomer. Trapping of this C1' radical with 2-mercaptoethanol, cysteine, or glutathione gave both anomers of 2'-deoxyuridine; the product ratios were similar in each case and insensitive to precursor identity or thiol concns. Rate consts. for reactions of the 2'-deoxyuridin-1'-yl radical with thiols and metal ions were detd. by LFP methods; the resp. rate consts. for reactions with 2-mercaptoethanol, cysteine, glutathione, CuCl2, and FeCl3 in water at ambient temp. are (2.3 .+-. 0.5) .times. 106, (2.9 .+-. 0.4) .times. 106,  $(4.4 .+-. 0.\overline{3})$  .times. 106, (7.9 .+-. 0.3).times. 107, and ca. 1 .times. 108 M-1 s-1. The 2'-deoxyuridin-1'-yl radical was addressed computationally. The radical center is not planar, and an energy profile for interconversion of the two anomeric forms of the radical was produced. Computed vertical transitions for the thyminylmethyl radical and one anomer of the 2'-deoxyuridin-1'-yl radical are in good agreement with the exptl. measured UV-visible spectra. 173349-24-1P 306767-77-1P IT

RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT

(Reactant or reagent)

(MO calcns. and exptl. studies of structural, spectral, and chem. properties of thyminylmethyl radical and 2'-deoxyuridin-1'-yl radical as models of DNA C1' radicals)

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 306767-77-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(2R,4S,5R)-2-(2,2-dimethyl-1-oxopropyl)tetrahydro-4-hydroxy-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 306767-78-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(MO calcns. and exptl. studies of structural, spectral, and chem.
properties of thyminylmethyl radical and 2'-deoxyuridin-1'-yl radical
as models of DNA C1' radicals)

RN 306767-78-2 CAPLUS

CN D-erythro-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-, (3.xi.)- (9CI) (CA INDEX NAME)

IT 306767-80-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(MO calcns. and exptl. studies of structural, spectral, and chem. properties of thyminylmethyl radical and 2'-deoxyuridin-1'-yl radical as models of DNA C1' radicals)

RN 306767-80-6 CAPLUS

CN .alpha.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 92 THERE ARE 92 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 20 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 2000:605248 CAPLUS
- DN 133:362919
- TI Synthesis and anti-HIV-1 activity of novel bicyclic nucleoside analogues restricted to an S-type conformation
- AU Kvrno, Lisbet; Nielsen, Claus; Wightman, Richard H.
- CS Department of Chemistry, Heriot-Watt University, Riccarton, Edinburgh, EH14 4AS, UK
- SO Perkin 1 (2000), (17), 2903-2906 CODEN: PERKF9
- PB Royal Society of Chemistry
- DT Journal
- LA English
- OS CASREACT 133:362919

GΙ

Ι

AB (1S,3R,4S)-3-Hydroxymethyl-1-(uracil-1-yl)-2,5-dioxabicyclo[2.2.1]heptane and the corresponding cytosine deriv., nucleoside analogs with a novel bicyclic nucleoside structure I (where B = base), were synthesized in a few steps from the known 1-(3'-deoxy-.beta.-D-psicofuranosyl)uracil. NOE expts. verified the bicyclic nucleosides to be restricted to the expected S-type furanose conformation while the nucleobase is in an anti-conformation. Both nucleosides proved to be devoid of anti-HIV activity in MT-4 cells, which further supports the hypothesis that conformational flexibility of the furanose ring in a nucleoside analog is necessary to obtain both intracellular 5'-triphosphorylation and inhibition of HIV-1 reverse transcriptase.

IT 55697-37-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and anti-HIV activity of novel bicyclic nucleoside analogs restricted to an S-type conformation)

RN 55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 175355-17-6P 307306-29-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and anti-HIV activity of novel bicyclic nucleoside analogs restricted to an S-type conformation)

RN 175355-17-6 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 307306-29-2 CAPLUS

CN Uridine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[[[(4-methylphenyl)sulfonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 2000:25369 CAPLUS

DN 133:115693

TI Plasmodium falciparum: Isolation and Characterisation of a Gene Encoding Protozoan GMP Synthase

AU McConkey, Glenn A.

CS Department of Biology, University of Leeds, Leeds, LS2 9JT, UK

SO Experimental Parasitology (2000), 94(1), 23-32 CODEN: EXPAAA; ISSN: 0014-4894

PB Academic Press

DT Journal

LA English

AB The final step in guanylate nucleotide biosynthesis is catalyzed by GMP synthase. This paper presents the first isolation of a gene encoding a protozoan GMP synthase. The deduced amino acid sequence from Plasmodium falciparum shares 40% identity with yeast GMP synthase and contains motifs conserved in catalysis. Expression of the gene is regulated through the parasite's development in human red blood cells with maximal expression during the point of DNA replication. Psicofuranine, which inhibits GMP synthase, interrupts parasite growth, supporting the role of this enzyme. These findings will aid development of inhibitors of purine salvage in

malaria parasites. (c) 2000 Academic Press.

IT 1874-54-0, Psicofuranine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(gene encoding protozoan GMP synthase in Plasmodium falciparum - effect of inhibition of GMP synthase on parasite growth)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 201 CAPLUS COPYRIGHT 2003 ACS

ΑN 1999:257525 CAPLUS

DN 131:84398

ΤI Kinetics and Stereoselectivity of Thiol Trapping of Deoxyuridin-1'-yl in Biopolymers and Their Relationship to the Formation of Premutagenic .alpha.-Deoxynucleotides

ΑU Hwang, Jae-Taeg; Greenberg, Marc M.

Department of Chemistry, Colorado State University, Fort Collins, CO, CS -8-0-5-2-3-, USA-----

SO Journal of the American Chemical Society (1999), 121(18), 4311-4315 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LΑ English

.alpha.-Deoxynucleotides are potentially deleterious lesions when produced AΒ in DNA. They are presumably formed in part via misrepair of the resp. C1'-nucleotide radicals by thiols. However, the selectivity and extent to which these lesions are formed via this pathway has not been ascertained. Using the ability to independently generate deoxyuridin-1'-yl (4) at a defined site in a biopolymer, we have detd. that thiol trapping in duplex DNA occurs with high stereoselectivity from the .alpha.-face, resulting in restoration of the naturally occurring .beta.-deoxynucleotide. The obsd. stereoselectivity of thiol trapping in duplex DNA suggests that 4 is intrahelical. The rate const. for hydrogen atom donation to 4 is reduced 2-3-fold in double-stranded DNA compared to single-stranded DNA. This decrease is attributed to the relative inaccessibility of the C1'-position in duplex DNA. The combination of these two properties of 4 indicates that, at 02 concns. present in aerated water, .alpha.-deoxynucleotide formation should constitute a minor component of the reactivity of Cl'-radicals. Accordingly, the chem. biol. of other lesions derived from formal damage at C1'-position could be significant.

IT 167023-13-4P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of photolabile ketone to produce deoxyuridin-1'-yl radical)

RN 167023-13-4 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 173349-24-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of photolabile ketone to produce deoxyuridin-1'-yl radical)

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 153959-67-2

RL: RCT (Reactant); RACT (Reactant or reagent) (reactant; prepn. of photolabile ketone to produce deoxyuridin-1'-yl radical)

RN 153959-67-2 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1999:223751 CAPLUS

DN 130:282296

TI Anionically induced formation of anomeric spiro nucleosides from 1'-C-Cyano-2'-deoxyuridine

AU Chatgilialoglu, Chryssostomos; Ferreri, Carla; Gimisis, Thanasis

CS I.Co.C.E.A., Consiglio Nazionale delle Ricerche, Bologna, 40129, Italy

SO Tetrahedron Letters (1999), 40(14), 2837-2840 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

GΙ

AB The reaction of the 1'-C-cyano-2'-deoxyuridine with organo-lithium reagents can be favorably tuned to give a new class of anomeric spiro nucleosides, e.g. I.

IT 167023-13-4

RL: RCT (Reactant); RACT (Reactant or reagent)
 (anionically induced formation of anomeric spiro nucleosides from
 cyanodeoxyuridine)

RN 167023-13-4 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

IT 210640-60-1P 222737-90-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(anionically induced formation of anomeric spiro nucleosides from cyanodeoxyuridine)

RN 210640-60-1 CAPLUS

CN Uridine, 2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222737-90-8 CAPLUS

CN Uridine, 1'-C-acetyl-2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 173349-24-1P 222737-91-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(anionically induced formation of anomeric spiro nucleosides from cyanodeoxyuridine)

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 222737-91-9 CAPLUS

CN Uridine, 1'-C-acetyl-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1999:83401 CAPLUS

DN 130:196906

TI The PdCl2/R3SiH system for the silylation of nucleosides

AU Ferreri, Carla; Costantino, Cristina; Romeo, Roberto; Chatgilialoglu, Chryssostomos

CS I.Co.C.E.A., Consiglio Nazionale delle Ricerche, Bologna, 40129, Italy

SO Tetrahedron Letters (1999), 40(6), 1197-1200 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB Convenient syntheses of TIPDS-Cl2 and TBDMS-Br from the corresponding hydrides were obtained by using catalytic PdCl2 and CCl4 or CH2Br2, resp. These systems can be successfully applied in tandem procedures for improved silylation of nucleosides.

IT 55697-37-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(use of the PdCl2/R3SiH system for silylation of nucleosides)

RN 55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)-(9CI) (CA INDEX NAME)

# RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1998:760830 CAPLUS

DN 130:110550

Synthesis and hybridization property of an oligonucleotide analog containing a 1',3'-di-O-methylene-.alpha.-D-fructose backbone

AU Zou, Ruiming; Matteucci, Mark D.

CS Gilead Sciences, Inc., Foster City, CA, 94404, USA

SO Bioorganic & Medicinal Chemistry Letters (1998), 8(21), 3049-3052 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB Hydrogen phosphonate monomers of T (thymine) and Cm (5-methylcytosine) bearing a 1',3'-di-O-methylene-.alpha.-D-fructose sugar moiety were synthesized and incorporated into an oligonucleotide. Hybridization studies by thermal denaturation expt. indicated that this oligonucleotide did not form a duplex with the complementary RNA target.

IT 219537-76-5P 219537-77-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and hybridization property of an oligodeoxyribonucleotide analog contg. a methylene-fructose backbone)

RN 219537-76-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-(1,3,4,6-tetra-O-benzoyl-.alpha.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

RN 219537-77-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(4,6-di-O-benzoyl-.alpha.-D-fructofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 26 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:441960 CAPLUS
- DN 129:109311
- TI Preparation of nucleoside uronamides as A3 adenosine receptor agonists
- IN Jacobson, Kenneth A.; Gallo-Rodriguez, Carola; Van Galen, Philip J. M.; Von Lubitz, Dag K. J. E.; Jeong, Heaok Kim
- PA United States Dept. of Health and Human Services, USA
- SO U.S., 54 pp., Cont.-in-part of U. S. Ser. No. 163,324, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5773423	A	19980630	US 1994-274628	19940713
	US 5688774	Α	19971118	US 1995-396111	19950228
PRA	AI US 1993-91109	B2	19930713		
	US 1993-163324	B2	19931206		
	US 1994-274628	A2	19940713		
os	MARPAT 129:10931	1			
GT					

Ι

AΒ The present invention provides N6-benzyladenosine-5'-N-uronamide and related substituted compds. I (R1 = amide; R2 = halo, amino, alkenyl, alkynyl, thio, alkylthio; R3 = S-1-phenylethyl, Bn, phenylethyl), particularly those contg. substituents on the benzyl and/or uronamide groups, and modified xanthine ribosides, as well as pharmaceutical compns. contg. such compds. The present invention also provides a method of selectively activating an A3 adenosine receptor in a mammal, which method comprises acutely or chronically administering to a mammal in need of selective activation of its A3 adenosine receptor a therapeutically effective amt. of a compd. which binds with the A3 receptor so as to stimulate an A3 receptor-dependent response. Thus, N6-(3iodobenzyl) adenosine was prepd. tested for its affinity in binding at rat brain A1, A2, A3 adenosine receptors (Ki = 9.5-220.0 nM). 1874-54-0P, 9-.beta.-D-Psicofuranosyladenine IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nucleoside uronamides as A3 adenosine receptor agonists)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1998:391706 CAPLUS

DN 129:145914

TI DNA damage induced via independent generation of the radical resulting from formal hydrogen atom abstraction from the C1'-position of a nucleotide

AU Tronche, Christopher; Goodman, Brian K.; Greenberg, Marc M.

CS Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA

SO Chemistry & Biology (1998), 5(5), 263-271 CODEN: CBOLE2; ISSN: 1074-5521

PB Current Biology Ltd.

DT Journal

LA English

AΒ

Deoxyribonucleotide radicals resulting from formal C1'-hydrogen atom abstraction are important reactive intermediates in a variety of DNA-damage processes. The reactivity of these radicals can be affected by the agents that generate them and the environment in which they are produced. As an initial step in detg. the factors that control the reactivity of these important radical species, we developed a mild method for their generation at a defined site within a biopolymer. Irradn. of oligonucleotides contg. a photolabile nucleotide produced C1'-DNA radicals. In the absence of potential reactants other than 02, approx. 90% of the damage events involve formation of alk.-labile lesions, with the remainder resulting in direct strand breaks. The ratio of alk.-labile lesions to direct strand breaks (.apprx. 9:1) is independent of whether the radical is generated in single-stranded DNA or double-stranded DNA. Strand damage is almost completely quenched under anaerobic conditions in the presence of low thiol concns. Competition studies with O2 indicate that the trapping rate of C1'-DNA radicals by .beta.-mercaptoethanol is .apprx. 1.1 .times. 107 M-1s-1. The mild generation of the C1'-DNA radical in the absence of exogenous oxidants makes it possible to examine their intrinsic reactivity. In the absence of other reactants, the formation of direct strand breaks from C1'-radicals is, at most, a minor pathway. Competition studies between .beta.-mercaptoethanol and 02 indicate that significantly higher thiol concns. than those in vivo or some means of increasing the effective thiol concn. near DNA are needed for these reagents to prevent the formation of DNA lesions arising from the C1'-radical under aerobic conditions.

IT 173349-24-1

RL: PEP (Physical, engineering or chemical process); PROC (Process) (DNA damage induced via independent generation of the radical resulting from formal hydrogen atom abstraction from the C1'-position of a nucleotide)

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 210755-21-8P

RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(DNA damage induced via independent generation of the radical resulting from formal hydrogen atom abstraction from the C1'-position of a nucleotide)

RN 210755-21-8 CAPLUS

Thymidine, thymidylyl-(3'.fwdarw.5')-2'-deoxyguanylyl-(3'.fwdarw.5')-2'deoxycytidylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')-2'-deoxy-1'C-(2,2-dimethyl-1-oxopropyl)uridylyl-(3'.fwdarw.5')-thymidylyl(3'.fwdarw.5')-2'-deoxyguanylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-B

IT 210755-20-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(DNA damage induced via independent generation of the radical resulting from formal hydrogen atom abstraction from the C1'-position of a nucleotide)

RN 210755-20-7 CAPLUS

CN Uridine, 2'-deoxy-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-(2,2-dimethyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 28 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:362975 CAPLUS '
- DN 129:136411
- TI Fate of the C-1' peroxyl radical in the 2'-deoxyuridine system
- AU Chatgilialoglu, Chryssostomos; Gimisis, Thanasis
- CS Consiglio Nazionale delle Ricerche, I.Co.C.E.A., Bologna, 1-40129, Italy
- SO Chemical Communications (Cambridge) (1998), (12), 1249-1250 CODEN: CHCOFS; ISSN: 1359-7345
- PB Royal Society of Chemistry
- DT Journal

LA English

AB The mechanism of 2-deoxyribonolactone formation from the reaction of photogenerated 2'-deoxyuridin-1'-yl radical with mol. oxygen in water has been investigated.

IT 153959-67-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (fate of the C-1' peroxyl radical in the 2'-deoxyuridine system)

RN 153959-67-2 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 167023-13-4P 173349-24-1P 210640-60-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(fate of the C-1' peroxyl radical in the 2'-deoxyuridine system)

RN 167023-13-4 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 210640-60-1 CAPLUS

CN Uridine, 2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 ANSWER 29 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1998:348067 CAPLUS

DN 129:95678

TI Spectra and structure of the 2'-deoxyuridin-1'-yl radical

AU Chatgilialoglu, Chryssostomos; Gimisis, Thanasis; Guerra, Maurizio; Ferreri, Carla; Emanuel, Calvin J.; Horner, John H.; Newcomb, Martin; Lucarini, Marco; Pedulli, Gian Franco

CS I.Co.C.E.A., Consiglio Nazionale delle Ricerche, Bologna, I-40129, Italy

SO Tetrahedron Letters (1998), 39(23), 3947-3950 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB The title C-1' radical, obtained by photolysis of the corresponding tert-Bu ketone in water, was studied spectroscopically by EPR and laser flash photolysis methods and computationally.

IT 173349-24-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(spectra and structure of the deoxyuridinyl radical formed by
photolysis of acyldeoxyuridine)

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1998:302708 CAPLUS

DN 129:67967

TI Synthesis of 1'-phenazine-tethered psicofuranosyl oligonucleotides: the thermal stability and fluorescence properties of their duplexes and triplexes

AU Ossipov, D.; Chattopadhyaya, J.

CS Department of Bioorganic Chemistry, Biomedical Center, University of Uppsala, Swed.

SO Tetrahedron (1998), 54(21), 5667-5682 CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

The synthesis of modified oligonucleotides (ODNs), tethered with phenazine AΒ (pzn) at C1' of 1-(3'-deoxypsicofuranosyl)uracil, the thermal stability, and fluorescence properties of their duplexes and triplexes are described. No triplex was found to have formed with modified ODNs with pzn attached at 3'-or at the middle of the strand at neutral pH (7.3), but triplex formation was obsd. at acidic pH (6.0) although they were less stable than the unmodified parent triplex. The same trend was obsd. for duplexes. The fluorescence intensity of pzn in the modified triplexes was enhanced and blue-shifted by .apprx.13 nm relative to the single strand. contrast, the changes in fluorescence intensities of pzn in the modified duplexes were relatively less compared to the triplexes. The fluorescence intensity increased proportionally as the thermal stabilities of the triplexes increased. A comparison of the fluorescent intensity changes (.DELTA.F) shows that the fluorophore in duplexes (.DELTA.F .apprxeq.-1.2 to +1.5) experiences relatively minor change in the microenvironment compared to that of the triplexes (.DELTA.F .apprxeq.1.5 to 4.5). Nevertheless, in both cases the phenazine residue most probably interacts with the neighboring nucleobases as a weak exterior binder.

IT 55697-37-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 1'-phenazine-tethered psicofuranosyl
oligodeoxyribonucleotides: the thermal stability and fluorescence
properties of their duplexes and triplexes)

RN 55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)-(9CI) (CA INDEX NAME)

CN

(CA INDEX NAME)

phenazinylamino)ethoxy]phosphinyl]oxy]methyl]- (9CI)
Absolute stereochemistry.

Uridine, 2'-deoxy-1'-C-[[[methoxy[2-(methyl-2-

RN 208336-17-8 CAPLUS
CN Uridine, 1'-C-[[[(2-cyanoethoxy)[2-(methyl-2-phenazinylamino)ethoxy]phosph
inyl]oxy]methyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 208336-18-9 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C[[[methoxy[2-(methyl-2-phenazinylamino)ethoxy]phosphinyl]oxy]methyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 208336-19-0 CAPLUS

Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[[(2-cyanoethoxy)[2-(methyl-2-phenazinylamino)ethoxy]phosphinyl]oxy]methyl]-2'-deoxy- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 208336-20-3 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C[[methoxy[2-(methyl-2-phenazinylamino)ethoxy]phosphinyl]oxy]methyl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

RN 208336-21-4 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[[(2-cyanoethoxy)[2-(methyl-2-phenazinylamino)ethoxy]phosphinyl]oxy]methyl]-2'-deoxy-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1998:275464 CAPLUS

DN 129:37630

TI Release of superoxide from nucleoside peroxyl radicals, a double-edged sword?

AU Tallman, Keri A.; Tronche, Christopher; Yoo, Dong Jin; Greenberg, Marc M.

CS Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA

SO Journal of the American Chemical Society (1998), 120(20), 4903-4909 CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AΒ 5,6-Dihydrothymidin-5-yl (1) and 2'-deoxyuridin-1'-yl (3) were independently generated in soln. under aerobic conditions. The release of superoxide (O2.bul.-) from the resp. peroxyl radicals derived from 1 and 3 was detd. spectrophotometrically. Competition studies enable one to est. that the rate const. for elimination of O2.bul. - from the peroxyl radical (4) derived from 3 is .apprx.1 s-1. This process is competitive with the anticipated rate of trapping of 4 in DNA by glutathione. Relative rate studies indicate that O2.bul.- generation resulting from the formation of 1 under aerobic conditions competes effectively with trapping of the peroxyl radical by Bu3SnH. Superoxide elimination from the peroxyl radical of 1 (2) restores the damaged nucleoside to its unaltered form, implying that this reactive intermediate has a naturally occurring detoxification pathway available to it. However, the freely diffusible superoxide can react further to generate other reactive species capable of damaging nucleic acids, suggesting that the elimination of O2.bul. - from 2 is a potential double-edged sword.

IT 173349-24-1

RL: PEP (Physical, engineering or chemical process); PROC (Process) (release of superoxide from nucleoside peroxyl radicals)

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1997:292602 CAPLUS

DN 127:5269

TI Heterocyclic derivatives of sugars: an NMR study of the formation of 1-glycosyl-3,5-dimethyl-1H-pyrazoles from hydrazones

AU Kett, Warren C.; Batley, Michael; Redmond, John W.

CS School of Chemistry, Macquarie University, North Ryde, NSW 2109, Australia

Carbohydrate Research (1997), 299(3), 129-141 SO CODEN: CRBRAT; ISSN: 0008-6215

PB Elsevier

DTJournal

LA English

Hydrazones were prepd. by treatment of monosaccharides and disaccharides with hydrazine hydrate and converted in high yield to mixts. of 1-glycosyl-3,5-dimethyl-1H-pyrazoles by reaction with pentan-2,4-dione (acetylacetone). The isomeric products were sepd. by HPLC and characterized by NMR spectroscopy. This represents a new approach to the introduction of a heteroarom. label into sugars under nonacidic and nonreducing conditions and it is a process likely to be esp. useful for glycan hydrazones obtained from glycoproteins by hydrazinolysis or beta elimination in the presence of hydrazine.

190259-35-9P 190259-37-1P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(NMR study of formation of glycosyldimethylpyrazoles from hydrazones)

RN 190259-35-9 CAPLUS

1H-Pyrazole, 1-.alpha.-D-fructofuranosyl-3,5-dimethyl- (9CI) CN NAME)

Absolute stereochemistry.

RN 190259-37-1 CAPLUS

1H-Pyrazole, 1-.beta.-D-fructofuranosyl-3,5-dimethyl- (9CI) (CA INDEX CN NAME)

Absolute stereochemistry.

IT 190259-84-8P 190259-85-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (NMR study of formation of glycosyldimethylpyrazoles from hydrazones)

RN

190259-84-8 CAPLUS 1H-Pyrazole, 3,5-dimethyl-1-(1,3,4,6-tetra-O-acetyl-.alpha.-D-CNfructofuranosyl) - (9CI) (CA INDEX NAME)

RN 190259-85-9 CAPLUS

CN 1H-Pyrazole, 3,5-dimethyl-1-(1,3,4,6-tetra-O-acetyl-.beta.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 33 OF 201 CAPLUS COPYRIGHT 2003 ACS

Ι

AN 1997:187755 CAPLUS

DN 126:293548

TI - C1' acylated derivatives of 2'-deoxyuridine. Photolabile precursors of 2'-deoxyuridin-1'-yl

AU Greenberg, Marc M.; Yoo, Dong Jin; Goodman, Brian K.

CS Dep. Chem., Colorado State Univ., Ft. Collins, CO, 80523, USA

SO Nucleosides & Nucleotides (1997), 16(1 & 2), 33-40 CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker

DT Journal

LA English

GΙ

AB C1' acylated derivs. of 2'-deoxyuridine I (R = t-Bu, Ph, i-Pr) were

synthesized from 1-[3-deoxy-.beta.-D-psicofuranosyl]uracil. The acyl group is introduced via the C1' aldehyde. Following nucleophilic addn., the ketones I are obtained via periodinane oxidn. and desilylation with NH4F.

IT 55697-37-5

RN 55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 173349-24-1P 189065-31-4P 189065-34-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of C1' acylated derivs. of 2'-deoxyuridine)

RN 173349-24-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 189065-31-4 CAPLUS

CN Uridine, 1'-C-benzoyl-2'-deoxy- (9CI) (CA INDEX NAME)

CN Uridine, 2'-deoxy-1'-C-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

L3 ANSWER 34 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1996:702838 CAPLUS

DN 126:19156

TI Synthesis of 1-(1'-cyano-2',3',5'-tri-O-benzoyl-.beta.-D-ribofuranosyl)thymine by ultrasound promotion

AU Chen, Guo-Rong; Lou, Zhen; Xie, Yu-Yuan

CS Inst. Fine Chem., East China Univ. Sci. Technol., Shanghai, 200237, Peop. Rep. China

SO Youji Huaxue (1996), 16(5), 459-461 CODEN: YCHHDX; ISSN: 0253-2786

PB Kexue

DT Journal

LA Chinese

AB The title compd. was prepd. in 96-100% yield by reaction of 1'-C-cyano-1'-bromo-2',3',5'-tri-O-benzoyl-.beta.-D-ribofuranose with 5-methyl-2,4-bis[(trimethylsilyl)oxy]pyrimidine in nitromethane in the presence of Hg(CN)2 under ultrasound promotion. The reaction time was significantly shortened and the yield was significantly improved in comparison with the traditional method.

IT 152039-42-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of 1-(1'-cyano-2',3',5'-tri-O-benzoyl-.beta.-D-ribofuranosyl)thymine by ultrasound promotion)

RN 152039-42-4 CAPLUS

CN Uridine, 1'-C-cyano-5-methyl-, 2',3',5'-tribenzoate (9CI) (CA INDEX NAME)

AN 1996:164299 CAPLUS

DN 124:261600

TI Building Blocks for Ribozyme Mimics: Conjugates of Terpyridine and Bipyridine with Nucleosides

AU Bashkin, James K.; Xie, Jin; Daniher, Andrew T.; Sampath, UmaShanker; Kao, Jeffrey L.-F.

CS Department of Chemistry, Washington University, St. Louis, MO, 63130-4899, USA

SO Journal of Organic Chemistry (1996), 61(7), 2314-21 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal LA English

GΙ

DMTO 
$$R$$
 $R$ 
 $R$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 

AB The synthesis and characterization of four modified nucleoside phosphoramidite reagents, e.g. I [R = R2, R1 = H, X = CH2 (II); R = H, R1 = R2, X = O (III)] are reported. These modified nucleosides are building blocks for ribozyme mimics. They are designed to deliver hydrolytically active metal complexes across either the major groove II or the minor groove III of an RNA/DNA duplex.

IT 55697-37-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis of terpyridine and bipyridine nucleoside phosphoramidites as
building blocks for ribozyme mimics)

RN 55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)(9CI) (CA INDEX NAME)

IT 175355-17-6P 175355-18-7P 175355-20-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of terpyridine and bipyridine nucleoside phosphoramidites as building blocks for ribozyme mimics)

RN 175355-17-6 CAPLUS

CN Uridine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175355-18-7 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[[[[[3-([2,2':6',2''-terpyridin]-4'-ylthio)propyl]amino]carbonyl]oxy]methyl]-(9CI) (CA INDEX NAME)

PAGE 1-A

Absolute stereochemistry.

MeO

NН

S

НО

RN 175355-20-1 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[[[[[3-([2,2':6',2''-terpyridin]-4'-ylthio)propyl]amino]carbonyl]oxy]methyl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

IT 175355-19-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of terpyridine and bipyridine nucleoside phosphoramidites as building blocks for ribozyme mimics)

RN 175355-19-8 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[[[[[3-([2,2':6',2''-terpyridin]-4'-ylthio)propyl]amino]carbonyl]oxy]methyl]-,
3'-[[3-([2,2':6',2''-terpyridin]-4'-ylthio)propyl]carbamate] (9CI) (CA INDEX NAME)

PAGE 2-A

AN 1996:109074 CAPLUS

DN 124:290141

TI Synthesis and biological evaluation of 1'-C-cyano-pyrimidine nucleosides

AU Yoshimura, Yuichi; Kano, Fumitaka; Miyazaki, Shuichi; Ashida, Noriyuki; Sakata, Shinji

CS Research & Development Division, Yamasa Corporation, Chiba, 288, Japan

SO Nucleosides & Nucleotides (1996), 15(1-3), 305-24 CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker

DT Journal

LA English

GΙ

AB Title compds. I [B = uracilyl, cytidyl, 5-iodouracilyl, thymidyl, R = H, Br; B = cytidyl, R = OH] were synthesized from O2,2'-cyclouridine. Incorporation of the cyano group at the anomeric position was achieved by treatment of 1',2'-unsatd. uridine with NBS in the presence of pivalic acid followed by Me3SiCN and stannic chloride. I [B = cytidyl, R1 = H,

Br, OH] have antineoplastic and I [B = cytidyl, thymidyl, R1 = H] have antiviral activity.

IT 153959-84-3P 167023-08-7P 175471-23-5P 175471-24-6P 175471-28-0P 175471-30-4P 175471-33-7P 175471-35-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and virucidal and antitumor activity of 1'-C-cyano-pyrimidine nucleosides)

RN 153959-84-3 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-08-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175471-23-5 CAPLUS

CN Cytidine, 1'-C-cyano-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175471-24-6 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 2-(4-amino-2-oxo-1(2H)-

pyrimidinyl)-3-bromo-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175471-28-0 CAPLUS CN Uridine, 1'-C-cyano-2'-deoxy-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175471-30-4 CAPLUS

CN Thymidine, 1--C-cyano- (9CI) (CA-INDEX NAME)

Absolute stereochemistry.

RN 175471-33-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 175471-35-9 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 153959-67-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and virucidal and antitumor activity of 1'-C-cyano-pyrimidine
 nucleosides)

RN- 153959-67-2 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 167023-13-4P 167023-14-5P 167023-15-6P 167023-16-7P 167023-17-8P 167023-19-0P 167023-20-3P 167023-22-5P 167023-23-6P 167023-24-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and virucidal and antitumor activity of 1'-C-cyano-pyrimidine

nucleosides)

RN 167023-13-4 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-14-5 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-15-6 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

RN 167023-16-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-17-8 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

RN 167023-19-0 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-2,3-dideoxy-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-20-3 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosonamide, 2-deoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 4,6-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-22-5 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosonamide, 2-deoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 4,6-dibenzoate 3-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-23-6 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 2-deoxy-2-(3,4-dihydro-2,4-

dioxo-1(2H)-pyrimidinyl)-, 4,6-dibenzoate 3-acetate (9CI) (CA INDEX NAME)
Absolute stereochemistry.

RN 167023-24-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-2-deoxy-, 4,6-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175471-25-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)-4-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175471-26-8 CAPLUS

CN Uridine, 1'-C-cyano-2'-deoxy-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175471-27-9 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 175471-29-1 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-4-0-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 37 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1996:84635 CAPLUS

DN 124:261500

TI Synthesis of D-Fructofuranosides Using Thio Glycosides as Glycosyl Donors

AU Krog-Jensen, Christian; Oscarson, Stefan

CS Department of Organic Chemistry, Stockholm University, Stockholm, S-106 91, Swed.

SO Journal of Organic Chemistry (1996), 61(4), 1234-8 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 124:261500

GI

Benzylated and benzoylated Et thio glycosides of D-fructofuranose have been synthesized and tested as glycosyl donors in couplings to various primary and secondary carbohydrate acceptors. Treatment of 2-O-acetyl-1,3,4,6-tetra-O-benzoyl-D-fructofuranose with Et mercaptan in a BF3.cntdot.etherate-promoted reaction gave the benzoylated Et 2-thio-.alpha.,.beta.-D-fructofuranosides, which after deacylation and benzylation afforded the benzylated derivs. These thiofructofuranosides, using dimethyl (methylthio) sulfonium triflate (DMTST) or N-iodosuccinimide as promoter, were excellent donors, which gave disaccharide coupling products, e.g. I, in quant. or almost quant. yields with all tested acceptors, yields rarely found in oligosaccharide synthesis. The benzoylated donors gave only .alpha.-linked fructofuranosides, due to participation of the 3-O-benzoyl group, whereas the benzylated donors gave .alpha./.beta.-mixts.

Ι

IT 174741-78-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of fructofuranoside disaccharides using thiofructofuranosides as glycosyl donors)

RN 174741-78-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[1,3,4,6-tetrakis-O-(phenylmethyl)-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3 ANSWER 38 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1996:34711 CAPLUS

DN 124:146717

TI Independent Generation and Reactivity of 2'-Deoxyurid-1'-yl

AU Goodman, Brian K.; Greenberg, Marc M.

CS Department of Chemistry, Colorado State University, Fort Collins, CO, 80523, USA

SO Journal of Organic Chemistry (1996), 61(1), 2-3 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

GI

AB 2'-Deoxyurid-1'-yl I (R = radical) (II) and the analogous radical of other nucleosides is produced in nucleic acids via a variety of oxidative stress mechanisms. The first independent generation of this reactive intermediates is reported. II is generated from a t-Bu ketone I (R = COCMe3) via Norrish type I photo-cleavage. Trapping expts. are carried out under aerobic and anaerobic conditions, with and without exogenous hydrogen atom donors. Trapping by 02 results in the formation of uracil and 2'-deoxyribonolactone in equal amts. Trapping of II by a hydrogen atom donor yields 2'-deoxyurine as a mixt. of epimers. Competition studies between O2 and .beta.-mercaptoethanol indicate that II reacts with the thiol with a rate const. of 3.7 .times. 106 M-1 s-1. This reaction is fast enough to compete with trapping by O2, indicating that .alpha.-nucleoside formation is a biol. relevant issue in vivo.

IT 55697-37-5

> RL: RCT (Reactant); RACT (Reactant or reagent) (formation and reactivity of deoxyuridyl radical)

RN---55697-37-5 -- CAPLUS --

2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)-CN (CA INDEX NAME)

Absolute stereochemistry.

TT173349-24-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(formation and reactivity of deoxyuridyl radical)

173349-24-1 CAPLUS RN

Uridine, 2'-deoxy-1'-C-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME) CN

L3 ANSWER 39 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN1995:761660 CAPLUS

DN123:199305

TI Preparation of 1'-C-substituted pyrimidine nucleosides and 2,2'-anhydronucleosides as antitumor agents

IN Haraguchi, Kazuhiro; Tanaka, Hiromichi; Myasaka, Sada; Yoshimura, Juichi; Kano, Fumitaka

PΑ Yamasa Shoyu Kk, Japan

SO Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

OS

GI

PATENT NO. KIND DATE APPLICATION NO. DATE --------- ----------PRAI JP 1993-225167 19930655 JP 1994-215293 19940817 CASREACT 123:199305; MARPAT 123:199305

# \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

1'-C-substituted pyrimidine nucleosides (I; R1 = H, halo, lower alkyl; R2 AB = lower alkyl, allyl, 2-arylallyl, alkynyl, acylmethyl, cycloalkanon-2-yl, cyano, CONH2; R3 = halo, H, OH, acyloxy; R4 = H, HO-protecting group; R6 = OH, NH2) and 1'-C-substituted pyrimidine 2,2'-nucleosides (II; R1, R2, R4 = same as above), which have biol. activities such as antitumor activity (no data), are prepd. by reacting 1',2'-didehydro-2'-deoxy-pyrimidine nucleosides (III; R1 = H, halo, lower alkyl; Z = silyl HO-protecting group) with an org. acid and a halogenating agent for acyloxylation and halogenation and reacting the resulting 1'-acyloxy pyrimidine nucleosides (IV; R1, Z = same as above; R3 = halo, H, OH, acyloxy; R5 = acyloxy) with an organometallic compd. to introduce a 1'-C substituent on the sugar moiety followed by optional removing HO-protecting group of the sugar HO groups or substituting with other protecting groups or amination at the 4-position of the base to give I. I are converted into II by treatment with a desilylating agent. Thus, 3.66 mL Et3N was added to a soln. of 2.67 g pivalic acid in Et20 and stirred for 30 min, followed by successively adding 2.38 g III (R1 = H, Z = Me3SiMe2) and 1.13 g N-bromosuccinimide, and the resulting mixt. was stirred at room temp. for 30 min to give 91% IV (R1 = H, R3 = Br, R5 = O2CCMe3, Z = Me3SiMe2) (V). Allyltrimethylsilane (627.8 .mu.L) was added to a soln. of 500 mg V in CH2Cl2 and cooled to -40.degree., followed by adding 1.03 mL 1M SiCl4 soln., and the mixt. was warmed to -20.degree. over 2 h to give 65% I (R1 = H, R2 = allyl, R3 = Br, R4 = Me3SiMe2, R6 = OH), which was stirred with Bu4NF in THF at room temp. to give II (R1 = H, R2 = ally1, R4 = H) and

then acetylated by Ac20 in pyridine to give 53.6% II (R1 = H, R2 = allyl, R4 = Ac). Similar coupling of V with 1-phenylallyltrimethylsilane, Me3SiCN, isopropenyloxytrimethylsilane, 1-(trimethylsiloxy)cyclopentene, and 1-phenyl-1-(trimethylsiloxy)ethylene in the presence of SnCl4 gave I (R2 = 1-phenylallyl, cyano, CH2COMe, cyclopentanon-2-yl, and CH2COPh; R1 = H, R3 = Br, R4 = Me3SiMe2, R6 = OH), resp. Alkylation of V with Me3Al, Et3Al, and phenylacetylene/BuLi gave I (R2 = Me, Et, and PhC.tplbond.C; R1 = H, R2 = allyl, R3 = Br, R4 = Me3SiMe2, R6 = OH), resp. IT153959-65-0P 153959-66-1P 153959-67-2P 153959-68-3P 153959-70-7P 153959-84-3P 158756-69-5P 162143-55-7P 162143-56-8P 162143-60-4P 167023-08-7P 167023-09-8P 167023-11-2P 167023-13-4P 167023-14-5P 167023-15-6P 167023-16-7P 167023-17-8P 167023-18-9P 167023-19-0P 167023-20-3P 167023-22-5P 167023-23-6P 167023-24-7P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of 1'-C-substituted pyrimidine nucleosides by acyloxylation and halogenation of didehydrodeoxy-pyrimidine nucleosides and 1'-C-substitution) RN153959-65-0 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy ]methyl]tetrahydro-1-(2-propenyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

RN 153959-66-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(1-phenyl-2-propenyl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-68-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-bromo-1,3,5-trideoxy-6,8-bis-0-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-arabino-2,4-octodiulo-4,7-furanosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-70-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-2,4-dideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-C-phenyl-.beta.-D-arabino-heptos-3-ulo-3,6-furanosyl]- (9CI) (CA INDEX NAME)

RN 153959-84-3 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158756-69-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(6,8-di-O-benzoyl-1,2,3-trideoxy-.beta.-D-arabino-oct-1-en-4-ulo-4,7-furanosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162143-55-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-1,3-dideoxy-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 162143-56-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-arabino-3-heptulofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 162143-60-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-phenyl-.beta.-D-arabino-hept-1-yn-3-ulofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 167023-08-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 167023-09-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-(benzoyloxy)-5-[(benzoyloxy)methyl]-3-bromotetrahydro-2-(2-propenyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-11-2 CAPLUS

CN .beta.-D-arabino-Oct-1-en-4-ulo-4,7-furanose, 1,2,3,4-tetradeoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 5-acetate 6,8-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-13-4 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

RN 167023-14-5 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-15-6 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-5-iodo-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-16-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-

dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-17-8 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-0-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-18-9 CAPLUS

CN

.beta.-D-arabino-2-Hexulofuranosononitrile, 2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-3-bromo-2,3-dideoxy-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

RN 167023-19-0 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-2,3-dideoxy-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-20-3 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosonamide, 2-deoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 4,6-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-22-5 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosonamide, 2-deoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 4,6-dibenzoate 3-acetate (9CI) (CA INDEX NAME)

RN 167023-23-6 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 2-deoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, 4,6-dibenzoate 3-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-24-7 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 2-(4-amino-2-oxo-1(2H)-pyrimidinyl)-2-deoxy-, 4,6-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 40 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1995:680825 CAPLUS

DN 123:70225

TI Silver halide photographic material and image formation

IN Sanpei, Takeshi

PA Konishiroku Photo Ind, Japan

SO Jpn. Kokai Tokkyo Koho, 51 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 07104426	A2	19950421	JP 1993-250708	19931006
	JP 3362291	B2	20030107		
PRAI	JP 1993-250708		19931006		

OS MARPAT 123:70225

AB In the title photog. material having .gtoreq.1 Ag halide emulsion layer and/or its adjacent layer contg. a hydrazine deriv. on 1 side of a support and .gtoreq.1 hydrophilic colloid layer on the other side of the support, the hydrophilic colloid layer contains a .gtoreq.1 nucleating accelerator. Image formation is also claimed. The photog. material is stable and free of fog and black spots.

IT 1874-54-0

RL: DEV (Device component use); USES (Uses) (contained in photog. material free of fog and black spot)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3--- ANSWER 41 OF 201- CAPLUS COPYRIGHT 2003 ACS

AN 1995:631009 CAPLUS

DN 123:257215

TI Stereoselective synthesis of 1'-C-branched uracil nucleosides from uridine

AU Haraguchi, Kazuhiro; Itoh, Yoshiharu; Tanaka, Hiromichi; Miyasaka, Tadashi

CS School Pharmaceutical Sciences, Showa University, Tokyo, 142, Japan

SO Nucleosides & Nucleotides (1995), 14(3-5), 417-20

Ι

CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker

DT Journal

LA English

OS CASREACT 123:257215

GI

AB Stereoselective electrophilic addn. (bromo-pivaloyloxylation) to 1-[3,5-bis-O-(tert-butyldimethylsilyl)-2-deoxy-D-erythro-pent-1-enofuranosyl]uracil gave the corresponding nucleosides, e.g. I (R = OPiv), when combined with nucleophilic substitution using organo-silicon or organo-aluminum reagents, provides a new and highly divergent C-C bond forming method at the anomeric position to give I (R = CH2CH=CH2).

IT 153959-65-0P

I

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective synthesis of branched uracil nucleosides from uridine)

RN 153959-65-0 CAPLUS CN 2.4(1H.3H)-Pyrimidin

2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-1-(2-propenyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 153959-67-2P 153959-68-3P 153959-70-7P
 162143-55-7P 162143-56-8P 162143-57-9P
 162143-60-4P 162143-61-5P 162143-62-6P
 162240-60-0P 162240-61-1P 167023-09-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (stereoselective synthesis of branched uracil nucleosides from uridine)
RN 153959-67-2 CAPLUS
CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

RN 153959-68-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-bromo-1,3,5-trideoxy-6,8-bis-0-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-arabino-2,4-octodiulo-4,7-furanosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-70-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-2,4-dideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-C-phenyl-.beta.-D-arabino-heptos-3-ulo-3,6-furanosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162143-55-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-1,3-dideoxy-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 162143-56-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-arabino-3-heptulofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 162143-57-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(2-methylpropyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162143-60-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-phenyl-.beta.-D-arabino-hept-1-yn-3-ulofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN ---162143-61-5- CAPLUS----

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-(1-hexynyl)tetrahydro-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162143-62-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-(trimethylsilyl)-.beta.-D-arabino-hept-1-yn-3-ulofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 162240-60-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(1-phenyl-2-propenyl)-2-furanyl]-,
[2R-[2.alpha.,2(R\*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162240-61-1 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(1-phenyl-2-propenyl)-2-furanyl]-,
[2R-[2.alpha.,2(S\*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167023-09-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-(benzoyloxy)-5-[(benzoyloxy)methyl]-3-bromotetrahydro-2-(2-propenyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

GI

L3 ANSWER 42 OF 201 CAPLUS COPYRIGHT 2003 ACS AN 1995:630985 CAPLUS DN123:257203 ΤI Synthesis of analogs of 3'-deoxypsicothymidine ΑU Hovinen, Jari; Azhayev, Alex; Guzaev, Andrei; Loennberg, Harri Department Chemistry, University Turku, Turku, FIN-20500, Finland CS SO Nucleosides & Nucleotides (1995), 14(3-5), 329-32 CODEN: NUNUD5; ISSN: 0732-8311 PΒ Dekker DT Journal LΑ English

HO OCH20 (CH2) 5NHCOCF3 I

IT 168639-96-1P 168639-97-2P 168639-98-3P 168640-00-4P 168640-01-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of analogs of deoxypsicothymidine)

RN 168639-96-1 CAPLUS

CN Thymidine, 1'-C-[(benzoyloxy)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168639-97-2 CAPLUS

CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168639-98-3 CAPLUS

CN Thymidine, 3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1'-C-[[(methylthio)methoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168640-00-4 CAPLUS

CN Thymidine, 1'-C-(hydroxymethyl)-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168640-01-5 CAPLUS

CN Thymidine, 1'-C-[(benzoyloxy)methyl]-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 153184-85-1P 153184-86-2P 168639-95-0P

168639-99-4P 168640-02-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of analogs of deoxypsicothymidine)

RN 153184-85-1 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153184-86-2 CAPLUS

CN Thymidine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168639-95-0 CAPLUS

CN Thymidine, 1'-C-(hydroxymethyl)-, 5'-benzoate (9CI) (CA INDEX NAME)

RN 168639-99-4 CAPLUS

CN Thymidine, 1'-C-[[[[5-[(trifluoroacetyl)amino]pentyl]oxy]methoxy]methyl](9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168640-02-6 CAPLUS

CN Thymidine 5'-(tetrahydrogen triphosphate), 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L3 ANSWER 43 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1995:609486 CAPLUS
- DN 123:314373
- TI Radical-initiated 1,2-acyloxy migration which generates a nucleoside anomeric radical
- AU Itoh, Yoshiharu; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Matsumoto, Kouichiro; Nakamura, Kazuo T.; Miyasaka, Tadashi
- CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan
- SO Tetrahedron Letters (1995), 36(22), 3867-70 CODEN: TELEAY; ISSN: 0040-4039
- PB Elsevier
- DT Journal
- LA English
- OS CASREACT 123:314373

GΙ

AB Face-selectivity of bromo-pivaloyloxylation to 1',2'-unsatd. uridine can be altered by changing the 3',5'-O-protecting group. The resulting 2'-bromo-1'-pivaloyloxylated adduct, upon being reacted under radical conditions, undergoes 1,2-acyloxy migration to generate a nucleoside anomeric radical which was allowed to react with Bu3SnH or allyltributyltin to give the corresponding nucleosides, e.g. I. Factors governing stereochem. and efficacy of this migration are also discussed.

IT 170033-93-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (radical-initiated acyloxy migration which generates a nucleoside anomeric radical)

RN 170033-93-9 CAPLUS

CN

2,4(1H,3H)-Pyrimidinedione, 1-[1,2,3-trideoxy-6,8-bis-0-[(1,1-dimethylethyl)dimethylsilyl]-5-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-arabino-oct-1-en-4-ulo-4,7-furanosyl]- (9CI) (CA INDEX NAME)

- L3 ANSWER 44 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1995:563174 CAPLUS
- DN 123:340613
- $\ensuremath{\mathsf{TI}}$  Looped oligonucleotides form stable hybrid complexes with a single-stranded DNA
- AU Azhayeva, Elena; Azhayev, Alex; Guzaev, Andrei; Hovinen, Jari; Loonnberg, Harri

CS Dep. Chem., Univ. Turku, Turku, FIN-20500, Finland SO

Nucleic Acids Research (1995), 23(7), 1170-6

CODEN: NARHAD; ISSN: 0305-1048

Oxford University Press PΒ

DT Journal LA English

Several new branched, circular, and looped oligonucleotides were AΒ synthesized. 3'-Deoxypsicothymidine was employed to create the site of branching when required. The circular and looped structures were obtained by oxidative disulfide bond formation between mercaptoalkyl tether groups. All the oligonucleotides prepd. contained two T11 sequences, and the branched and looped oligomers an addnl. alternating CT sequence. Melting expts. revealed that the branched oligonucleotides form relatively weak hybrid (double/triple helix) complexes with the single-stranded oligodeoxyribonucleotide, showing a considerable destabilizing effect produced by the structure at the point of branching. The data obtained with looped oligonucleotides demonstrated considerable stabilization of the hybrid (double/triple helix) complexes with the complement. The data

reported may be useful in attempting to design new antisense or antigene oligonucleotides capable of forming selective and stable bimol. hybrid

IT 153184-89-5 153214-48-3

complexes with nucleic acids.

RL: RCT (Reactant); RACT (Reactant or reagent) (complexes of looped oligonucleotides with single-stranded DNA)

RN 153184-89-5 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[(1,4dioxopentyl)oxy]methyl]-, 3'-[2-cyanoethyl bis(1methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153214-48-3 CAPLUS

Thymidine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-, CN 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] 5'-(4-oxopentanoate) (9CI) (CA INDEX NAME)

L3 ANSWER 45 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1995:441033 CAPLUS

DN 123:9850

TI Synthesis of .alpha.-N-glycosides of 3-deoxy-D-glycero-D-galacto-2nonulosonic acid (KDN) using nucleobases and their photocycloaddition to 2,3-dimethyl-2-butene

AU Sun, Xue-Long; Haga, Naoki; Ogura, Haruo; Takayanagi, Hiroaki

CS Sch. Pharm. Sci., Kitasato Univ., Tokyo, 108, Japan

SO Chemical & Pharmaceutical Bulletin (1994), 42(11), 2352-6 CODEN: CPBTAL; ISSN: 0009-2363

PB Pharmaceutical Society of Japan

DT Journal

LA English

GΙ

AB .alpha.-N-glycosides of 3-deoxy-D-glycero-D-galacto-2-nonulosonic acid (KDN), e.g. cis-I (R = H, F, Me), having a nucleobase, such as uracil, thymine, 5-fluorouracil or cytosine, were synthesized. Their acetone-sensitized photocycloaddn. to 2,3-dimethyl-2-butene under near-UV irradn. gave a pair of diastereomers having a cyclobutane ring. The abs. configuration of the bridgehead carbon atoms in the products was identified by measurement of sp. rotation as well as 1H-NMR spectral anal.

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of glycosides of deoxyglycerogalactononulosonic acid using nucleobases and their photocycloaddn. to dimethylbutene)

RN 163627-83-6 CAPLUS CN

D-glycero-.alpha.-D-galacto-2-Nonulofuranosonic acid, 2,3-dideoxy-2-(6,7,7,8,8-pentamethyl-3,5-dioxo-2,4-diazabicyclo[4.2.0]oct-2-yl)-, methyl ester, 4,6,7,8,9-pentaacetate, (1S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 46 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1995:337446 CAPLUS

DN 122:240311

ΤI Divergent and Stereocontrolled Approach to the Synthesis of Uracil Nucleosides Branched at the Anomeric Position

ΑU Itoh, Yoshiharu; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Gen, Eisen; Miyasaka, Tadashi School of Pharmaceutical Sciences, Showa University, Tokyo, 142, Japan

CS

Journal of Organic Chemistry (1995), 60(3), 656-62 -SO--CODEN: JOCEAH; ISSN: 0022-3263

PΒ American Chemical Society

DT Journal

LAEnglish

Electrophilic addn. of NBS/pivalic acid (bromopivaloyloxylation) to AΒ 1-[3,5-bis-O-(tert-butyldimethylsily1)-2-deoxy-D-erythro-pent-1endofuranosyl]uracil, readily accessible from 02,2'-anhydrouridine. furnished 1-[2-bromo-3,5-bis-O-(tert-butyldimethylsilyl)-2-deoxy-1-(pivaloyloxy) - .beta. -D-arabinofuranosyl]uracil (I) stereoselectively. This compd. I, having a leaving group at the 1'-position as well as 2'-.beta.-Br that could exert anchimeric assistance, serves as versatile intermediate for the stereocontrolled synthesis of various types of 1'-C-branched derivs. through nucleophilic substitutions by the use of organosilicon and organoaluminum reagents. The whole sequence constitutes the first example of the conversion of a naturally-occurring nucleoside to the analogs branched at the anomeric position.

IT153959-65-0P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(divergent and stereocontrolled approach to the synthesis of uracil nucleosides branched at the anomeric position)

RN153959-65-0 CAPLUS CN

2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy ]methyl]tetrahydro-1-(2-propenyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} H \\ N \\ N \\ R \\ O \\ Bu-t \\ \\ H_2C \\ Br \\ Bu-t \\ \\ Me \\ \end{array}$$

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IT 153959-67-2P 153959-68-3P 153959-70-7P
158756-69-5P 162143-55-7P 162143-56-8P
162143-57-9P 162143-60-4P 162143-61-5P
162143-62-6P 162143-63-7P 162240-60-0P
162240-61-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
        (divergent and stereocontrolled approach to the synthesis of uracil nucleosides branched at the anomeric position)
RN 153959-67-2 CAPLUS
CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

RN 153959-70-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-2,4-dideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-C-phenyl-.beta.-D-arabino-heptos-3-ulo-3,6-furanosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 158756-69-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(6,8-di-O-benzoyl-1,2,3-trideoxy-.beta.-D-arabino-oct-1-en-4-ulo-4,7-furanosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162143-55-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-1,3-dideoxy-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*
RN 162143-56-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-.beta.-D-arabino-3-heptulofuranosyl]- (9CI)
 (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*
RN 162143-57-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(2-methylpropyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162143-60-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-0-[(1,1-dimethylethyl)dimethylsilyl]-1-phenyl-.beta.-D-arabino-hept-1-yn-3-ulofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 162143-61-5 CAPLUS

CN -2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-(1-hexynyl)tetrahydro-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162143-62-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-1,2,4-trideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-(trimethylsilyl)-.beta.-D-arabino-hept-1-yn-3-ulofuranosyl]- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*
RN 162143-63-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-propyl-2furanyl]-, [2R-(2.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162240-60-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy] -5-[[(1,1-dimethylethyl)dimethylsilyl]oxy] methyl]tetrahydro-2-(1-phenyl-2-propenyl)-2-furanyl]-,
[2R-[2.alpha.,2(R\*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 162240-61-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-2-(1-phenyl-2-propenyl)-2-furanyl]-, [2R-[2.alpha.,2(S\*),3.alpha.,4.beta.,5.alpha.]]- (9CI) (CA INDEX NAME)

L3 ANSWER 47 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:681072 CAPLUS

DN 121:281072

TI Synthesis and Primer Properties of Oligonucleotides Containing
3'-Deoxypsicothymidine Units, Labeled with Fluorescein at the 1'-Position
AU Guzaev, Andrei; Azhayeva, Elena: Hovinen, Jari: Azhayev, Alex: Longberg

AU Guzaev, Andrei; Azhayeva, Elena; Hovinen, Jari; Azhayev, Alex; Lonnberg, Harri

CS Department of Chemistry, University of Turku, Turku, FIN-20500, Finland

SO Bioconjugate Chemistry (1994), 5(6), 501-3 CODEN: BCCHES; ISSN: 1043-1802

DT Journal

LA English

AB Several analogs of the std. M13 sequencing primer that contain up to five 3'-deoxypsicothymidines, or one or two such units labeled with fluorescein at the 1'-position, have been prepd. All these oligonucleotides have been shown to prime the DNA-polymerase-catalyzed synthesis of DNA.

IT 153184-89-5P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and primer properties of oligonucleotides contg. 3'-deoxypsicothymidine units and labeled with fluorescein at

1'-position)

RN 153184-89-5 CAPLUS

CN Thymidine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[(1,4-dioxopentyl)oxy]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

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L3 ANSWER 48 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1994:668367 CAPLUS

DN 121:268367

TI A 1'-C-branched uracil nucleoside

AU Yamaguchi, Kentaro; Ito, Yoshiharu; Haraguchi, Kazuhiro; Tanaka, Hiromichi; Miyasaka, Tadashi

CS Sch. Pharma. Sci., Showa Univ., Tokyo, 142, Japan

SO Acta Crystallographica, Section C: Crystal Structure Communications (1994), C50(9), 1472-4
CODEN: ACSCEE; ISSN: 0108-2701

DT Journal

LA English

AB 1-(1'-Allyl-3',5'-di-O-benzoyl-.beta.-D-arabinofuranosyl)-2,4(1H,3H)-pyrimidinedione is orthorhombic, space group P212121, with a 10.618(1), b 21.954(1), c 10.611(1) .ANG.; Z = 4, dc = 1.322; R = 0.048, Rw = 0.047 for 2329 reflections. At. coordinates are given. The uracil nucleobase has .beta. orientation in this mol.

RN 158756-69-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(6,8-di-O-benzoyl-1,2,3-trideoxy-.beta.-D-arabino-oct-1-en-4-ulo-4,7-furanosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 49 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:558072 CAPLUS

DN 121:158072

TI Intramolecular hydrogen bonding in primary hydroxyl of thymine 1-(1-deoxy-.beta.-D-psicofuranosyl) nucleoside

AU Martin, Xavier; Moreno, Miquel; Lluch, Jose M.; Grouiller, Annie CS Dep. Quim., Univ. Autonoma de Barcelona, Barcelona, 08193, Spain

SO Tetrahedron (1994), 50(22), 6689-94 CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

AB A conformational anal. of 1-(1-deoxy-.beta.-D-psicofuranosyl) - thymine (I) and 1-(.beta.-D-ribofuranosyl) thymine has been performed by using the semiempirical AM1 methodol. A topol. anal. of the total charge d. and the Laplacian of both mols. is carried out in order to assess the presence of an intramol. hydrogen bond. It is concluded that a clear hydrogen bond exists in structure I in such a way that the primary alc. is exptl. found totally unreactive with any reagent in any conditions.

IT 34441-68-4

RL: PRP (Properties)

(conformation and intramol. hydrogen bond of)

RN 34441-68-4 CAPLUS

CN Uridine, 5-methyl-1'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 50 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:500054 CAPLUS

DN 121:100054

TI A binding site model and structure-activity relationships for the rat A3 adenosine receptor

AU van Galen, Philip J. M.; van Bergen, Andrew H.; Gallo-Rodriguez, Carola; Melman, Neli; Olah, Mark E.; Ijzerman, Ad P.; Stiles, Gary L.; Jacobson, Kenneth A.

CS Lab. Bioorganic Chem., Natl. Inst. Diabetes, Digestive and Kidney Diseases, Bethesda, MD, 20892, USA

SO Molecular Pharmacology (1994), 45(6), 1101-11 CODEN: MOPMA3; ISSN: 0026-895X

DT Journal

AB

LA English ---

A novel adenosine receptor, the A3 receptor, has recently been cloned. The authors have systematically investigated the hitherto largely unexplored structure-activity relationships (SARs) for binding at A3 receptors, using 125I-N6-2-(4-aminophenyl)ethyladenosine as a radioligand and membranes from Chinese hamster ovary cells stably transfected with the rat A3-cDNA. As is the case for A1 and A2a receptors, substitutions at the N6 and 5' positions of adenosine, the prototypic agonist ligand, may yield fairly potent compds. However, the highest affinity and A3 selectivity is found for N6,5'-disubstituted compds., in contrast to A2 and A2a receptors. Thus, N6-benzyladenosine-5'-N-ethylcarboxamide is highly potent (Ki, 6.8 nM) and moderately selective (13- and 14-fold vs. Al and A2a). The N6 region of the A3 receptor also appears to tolerate hydrophilic substitutions, in sharp contrast to the other subtypes. Potencies of N6,5'-disubstituted compds. in inhibition of adenylate cyclase via A3 receptors parallel their high affinity in the binding assay. None of the typical xanthine or nonxanthine (A1/A2) antagonists tested show any appreciable affinity for rat A3 receptors. 1,3-Dialkylxanthines did not antagonize the A3 agonist-induced inhibition of adenylate cyclase. A His residue in helix 6 that is absent in A3 receptors but present in A1/A2 receptors may be causal in this respect. In a mol. model for the rat A3 receptor, this mutation, together with an increased bulkiness of residues surrounding the ligand, make antagonist binding unfavorable when compared with a previously developed Al receptor model. Second, this A3 receptor model predicted similarities with A1 and A2 receptors in the binding requirements for the ribose moiety and that xanthine-7-ribosides would bind to rat A3 receptors. This hypothesis was supported exptl. by the moderate affinity (Ki, 6 .mu.M) of 7-riboside of

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1,3-dibutylxanthine, which appears to be a partial agonist at rat A3 receptors. The model presented here which is consistent with the detailed SAR found in this study, may serve to suggest future chem. modification, site-directed mutagenesis, and SAR studies to further define essential characteristics of the ligand-receptor interaction and to develop even more potent and selective A3 receptor ligands.

IT 1874-54-0

RL: BIOL (Biological study)

(adenosine Al and A2a and A3 receptors affinity for, mol. structure in relation to)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 51 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:483863 CAPLUS

DN 121:83863

TI Synthesis and properties of 3'-deoxypsiconucleosides: anomeric 1-(3-deoxy-D-erythro-2-hexulofuranosyl)thymines and 9-(3-deoxy-D-erythro-2-hexulofuranosyl)adenines

AU Azhayev, Alex; Guzaev, Andrei; Hovinen, Jari; Mattinen, Jorma; Sillanpaa, Reijo; Lonnberg, Harri

CS Dep. Chem., Univ. Turku, Turku, FIN-20500, Finland

SO Synthesis (1994), (4), 396-400 CODEN: SYNTBF; ISSN: 0039-7881

DT Journal LA English

OS CASREACT 121:83863

GΙ

Deoxypsiconucleosides I (B = adenine, thymine) were prepd. by tin(IV) chloride catalyzed N-glycosylation of trimethylsilylated thymine and N6-benzoyladenine with Me 3-deoxy-D-erythro-2-hexulofuranoside triacetate or tribenzoate, resp. These O-glycosides used as starting materials were obtained by deoxygenation of 1,2:4,5-di-O-isopropylidene-.beta.-D-fructopyranose and subsequent acid-catalyzed methanolysis of the resulting 3-deoxy deriv. The anomeric configuration of the nucleosides prepd. was assigned by a combination of X-ray crystallog. and 2D 1H NMR spectroscopy. The conformation and hydrolytic stability of these new nucleoside analogous are discussed.

IT 153184-84-0P 156357-62-9P 156357-63-0P 156357-64-1P

RN 153184-84-0 CAPLUS

CN Thymidine, 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 156357-62-9 CAPLUS

CN Adenosine, 2'-deoxy-1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 156357-63-0 CAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-.alpha.-D-erythro-2-hexulofuranosyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 156357-64-1 CAPLUS

-CN 2,4-(1H,3H)--Pyrimidinedione, 1-(3-deoxy-.alpha.-D-erythro-2-hexulofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

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L3
     ANSWER 52 OF 201 CAPLUS COPYRIGHT 2003 ACS
     1994:483848 CAPLUS
AN
DN
     121:83848
TI
     Synthesis of D-fructofuranosylpurine nucleosides
     Bouali, Abderrahime; Ewing, David F.; Mackenzie, Grahame
ΑU
CS
     Sch. Chem., Univ. Hull, Hull, HU6 7RX, UK
     Nucleosides & Nucleotides (1994), 13(1-3), 491-9
SO
     CODEN: NUNUD5; ISSN: 0732-8311
DT
     Journal
```

LA English
OS CASREACT 121:83848
GI

AB The Mitsunobu reaction has been applied to the formation of D-fructofuranosylpurine nucleosides, e.g. I. The use of O-benzyl protection results in a predominance of the .beta.-configuration in these novel compds. and both .alpha.- and .beta.-D-fructofuranosyladenine are obtained in stereochem. pure form.

IT 156457-07-7P 156457-08-8P 156457-09-9P 156457-10-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of D-fructofuranosylpurine nucleosides)

RN 156457-07-7 CAPLUS

CN 9H-Purine, 6-chloro-9-[1,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 156457-08-8 CAPLUS

CN 9H-Purine, 6-chloro-9-[1,3,4,6-tetrakis-O-(phenylmethyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

RN 156457-09-9 CAPLUS
CN 9H-Purin-6-amine, 9-[1,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 156457-10-2 CAPLUS
CN 9H-Purin-6-amine, 9-[1,3,4,6-tetrakis-O-(phenylmethyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 6936-84-1P 95403-90-0P 156457-06-6P 156457-11-3P 156457-12-4P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 6936-84-1 CAPLUS

CN 9H-Purin-6-amine, 9-.alpha.-D-fructofuranosyl- (9CI) (CA INDEX NAME)
Absolute stereochemistry.

RN 95403-90-0 CAPLUS CN 9H-Purin-6-amine, 9-.beta.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 156457-06-6 CAPLUS
CN 9H-Purin-6-amine, 9-(1,3,4,6-tetra-O-benzoyl-.alpha.-D-fructofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 156457-11-3 CAPLUS

CN 9H-Purine, 6-methoxy-9-[1,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

RN 156457-12-4 CAPLUS

CN 9H-Purine, 6-methoxy-9-[1,3,4,6-tetrakis-O-(phenylmethyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 53 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:409895 CAPLUS

DN 121:9895

TI Nucleosides and nucleotides. 121. Synthesis of oligonucleotides carrying linker groups at the 1'-position of sugar residues

AU Ono, Akira; Dan, Akihito; Matsuda, Akira

CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan

SO Bioconjugate Chemistry (1993), 4(6), 499-508 CODEN: BCCHES; ISSN: 1043-1802

DT Journal

LA English

GI

AB Novel 2'-deoxyuridine analogs, e.g. I, carrying aminoalkyl linkers at the 1'-position of the sugar residues were synthesized and incorporated into oligonucleotides, then intercalating groups such as an anthraquinone deriv. and a pyrene deriv. were attached to the amino groups. Duplexes consisting of the oligonucleotides carrying the liner groups and a complementary ribonucleotide were more stable than an unmodified parent duplex, but the duplexes consisting of the oligonucleotides and a complementary deoxyribonucleotide were less stable. The oligonucleotides carrying the linker groups were more resistant to nuclease P1 and venom phophosidesterase than an unmodified oligonucleotide. Furthermore, a duplex formed by the oligonucleotide analog and the complementary ribonucleotide was a substrate for RNase H.

IT 152773-17-6P

CN

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and incorporation of, into oligodeoxyribonucleotides)

RN 152773-17-6 CAPLUS

Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[14-(9H-fluoren-9-yl)-3,12-dioxo-2,13-dioxa-4,11-diazatetradec-1-yl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

PAGE 1-B

IT 55697-36-4P 150880-79-8P 150880-80-1P
 152773-13-2P 152773-14-3P 152773-15-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reaction of, in synthesis of olidodeoxyribonucleotide duplexes)
RN 55697-36-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 150880-79-8 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]- (9CI) (CA INDEX NAME)

RN 150880-80-1 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

RN 152773-13-2 CAPLUS CN Uridine, 2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 152773-14-3 CAPLUS CN Uridine, 2'-deoxy-1'-C-[14-(9H-fluoren-9-y1)-3,12-dioxo-2,13-dioxa-4,11-diazatetradec-1-y1]- (9CI) (CA INDEX NAME)

HN N S O (CH2) 
$$\frac{H}{6}$$
 N O OH

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[14-(9H-fluoren-9-yl)-3,12-dioxo-2,13-dioxa-4,11-diazatetradec-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 145396-32-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in synthesis of oligodeoxyribonucleotide duplexes)

RN 145396-32-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-.beta.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 150880-73-2P 150880-74-3P 150880-75-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in synthesis of oligodeoxyribonucleotides duplexes)

RN 150880-73-2 CAPLUS

CN Uridine, 1'-C-[[[[(4-aminobutyl)amino]carbonyl]oxy]methyl]-2'-deoxy- (9CI) (CA INDEX NAME)

RN 150880-74-3 CAPLUS

CN Uridine, 1'-C-[[[[[4-(acetylamino)butyl]amino]carbonyl]oxy]methyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 150880-75-4 CAPLUS

CN Uridine, 2'-deoxy-1'-C-[[[[[4-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]butyl]amino]carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

- L3 ANSWER 54 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1994:324142 CAPLUS
- DN 120:324142
- TI Preparation of (di)deoxyfructonucleosides and (di)deoxyfructonucleotides
- IN Sabesan, Subramaniam; Trainor, George L.
- PA du Pont de Nemours, E. I., and Co., USA
- SO U.S., 11 pp.
  - CODEN: USXXAM
- DT Patent

English LA FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ---------------PΙ US 5276143 19940104 Α US 1990-631567 19901221 WO 9501986 A1 19950119 WO 1993-US6365 19930709 W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 9347704 **A**1 19950206 AU 1993-47704 19930709 EP 707590 Α1 19960424 EP 1993-918150 19930709 EP 707590 В1 19970129 R: DE, FR, GB, IT JP 08512317 T219961224 JP 1993-504007 19930709 PRAI US 1990-631567 19901221 WO 1993-US6365 19930709 GI

$$R^{10}$$
 $R^{2}$ 
 $R^{10}$ 
 $R^{2}$ 
 $R^{10}$ 
 $R^{2}$ 
 $R^{2}$ 

AB (Di)deoxyfructonucleotides and -nucleosides [I; R1 = H3P2O3, H3P2O6, H4P3O9, H; B = naturally occurring or synthetically modified nucleic acid base, inosine or deazaadenosine; R2 = OR3, N3, Y-Biotinyl, NHCO(CH2)nY-Biotinyl; wherein R3 = H, C1-5 alkyl, PhCH2, C1-5 acyl, (un) substituted Photog. coupler; Y = NH, O; n = 1-10; A = H, OH; provided that when A = OH, R1 = R2 .noteq. H] are prepd. These nucleotides are used as propagators and terminators in DNA polymerase extension reactions for sequencing DNA. Thus, desilylation of a dideoxyfructofuranoside (II; R1 = Bz, R2 = OSiMe2CMe3) (prepn. given) by Bu4NF in THF followed by chlorination with SO2Cl2 and imidazole in DMF and reaction with NaN3 in DMF at 70.degree. for 1 h gave II (R1 = Bz, R2 = N3). Coupling of the latter compd. with 2,4-di-O-trimethylsilylthymine in the presence of trimethylsilyl triflate in MeNO2-CH2Cl2 at -5.degree. gave, after debenzoylation with MeONa in MeOH, I (R1 = A = H, R2 = N3, B = 1-thyminyl) which was stirred with cytosine and POCl3 in (MeO) 3P(O) and then treated with a soln. of tris(tributylammonium) pyrophosphate in DMF to give I (R1 = H4P3O9, R2 = N3, A = H, B = 1-thyminyl). Hydrogenation of the latter compd. over 10% Pd-C in water and condensation of the resulting amine with sulfosuccinimidyl 6-(biotinamido)hexanoate Na salt in 1.0 M aq. triethylammonium bicarbonate (pH 7.6) gave a biotin-contg. dideoxyfructonucleotide I [R1 = H4P3O9, R2 = 6-(biotinamido)hexanamido, A = H, B = 1-thyminyl] (III). In one example, III was used as a terminator in a Taq polymerase DNA chain extension reaction. 155188-85-5P 155188-92-4P

ΙT

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for substrates of DNA polymerase extension reactions in DNA sequencing)

155188-85-5 CAPLUS RN

CN Thymidine, 1'-C-(azidomethyl)- (9CI) (CA INDEX NAME)

RN 155188-92-4 CAPLUS

CN Thymidine, 1'-C-(azidomethyl)-, 3',5'-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 55 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:245667 CAPLUS

DN 120:245667

TI Anomeric manipulation of nucleosides: stereospecific entry to 1'-C-branched uracil nucleosides

I

AU Haraguchi, Kazuhiro; Itoh, Yoshiharu; Tanaka, Hiromichi; Yamaguchi, Kentaro; Miyasaka, Tadashi

CS Sch. Pharm. Sci., Showa Univ., Tokyo, 142, Japan

SO Tetrahedron Letters (1993), 34(43), 6913-16 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 120:245667

GI

AB Uracil nucleosides, e.g. I (R = CH2CH:CH2, CN, CH2Ac, CH2Bz), variously branched at the anomeric position have been synthesized through stereoselective bromo-pivaloyloxylation of a 1',2'-unsatd. deriv. and successive SnCl4-promoted nucleophilic substitution with organosilicon reagents. This constitutes the first example of C-C bond formation at the anomeric position of nucleoside.

Ι

2,4(1H,3H)-Pyrimidinedione, 1-[3-bromo-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]tetrahydro-1-(2-propenyl)-2-furanyl]-, [2R-(2.alpha.,3.alpha.,4.beta.,5.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-67-2 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 3-bromo-2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-4,6-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 153959-70-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4-bromo-2,4-dideoxy-5,7-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-1-C-phenyl-.beta.-D-arabino-heptos-3-ulo-3,6-furanosyl]- (9CI) (CA INDEX NAME)

RN 153959-71-8 CAPLUS CN Uridine, 1'-C-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-72-9 CAPLUS
CN Uridine, 1'-C-(1-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

O 
$$\stackrel{\text{Ph}}{\overset{\text{Ph}}{\overset{\text{CH-CH}}{\overset{\text{CH}_2-\text{CH}_2}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}{\overset{\text{CH}_2-\text{OH}}{\overset{\text{CH}_2-\text{OH}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}}{\overset{\text{CH}_2-\text{OH}}}{\overset{CH}_2-\overset$$

RN 153959-73-0 CAPLUS CN Uridine, 1'-C-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-74-1 CAPLUS

CN Uridine, 1'-C-(2-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-76-3 CAPLUS

CN Uridine, 1'-C-(2-oxo-2-phenylethyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-77-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,2,3-trideoxy-.beta.-D-arabino-oct-1-en-4-ulo-4,7-furanosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-78-5 CAPLUS

CN .beta.-D-arabino-2-Hexulofuranosononitrile, 2-deoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 153959-79-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,3-dideoxy-.beta.-D-arabino-2,4-octodiulo-4,7-furanosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-81-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-1-C-phenyl-.beta.-D-arabino-heptos-3-ulo-3,6-furanosyl)- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

RN 153959-82-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-2-propenyl- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2$$
 $O$ 
 $H$ 
 $O$ 
 $CH_2 - OH$ 

OH

RN 153959-83-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[tetrahydro-4-hydroxy-5-(hydroxymethyl)-2-(1-phenyl-2-propenyl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 153959-84-3 CAPLUS

CN .beta.-D-erythro-2-Hexulofuranosononitrile, 2,3-dideoxy-2-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-85-4 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153959-87-6 CAPLUS

CN Uridine, 2'-deoxy-1'-C-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 154007-01-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[(3.xi.)-1,2,3-trideoxy-3-phenyl-.beta.-D-arabino-oct-1-en-4-ulofuranosyl]- (9CI) (CA INDEX NAME)

O 
$$\stackrel{\text{Ph}}{\overset{\text{Ph}}{\overset{\text{CH-}}{\overset{\text{CH}=}}}} CH_2$$
O  $\stackrel{\text{CH-}}{\overset{\text{CH}_2-}{\overset{\text{OH}}}} CH_2-OH$ 

L3 ANSWER 56 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:218372 CAPLUS

DN 120:218372

TI Analogs of oligonucleotides containing 3'-deoxy-.beta.-D-psicothymidine

AU Azhayev, Alex; Gouzaev, Andrei; Hovinen, Jari; Azhayeva, Elena; Lonnberg, Harri

CS Dep. Chem., Univ. Turku, Turku, 20500, Finland

SO Tetrahedron Letters (1993), 34(40), 6435-8

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

GΙ

AB Two different building blocks derived from psicothymidine I were prepd. and used in the synthesis of modified oligodeoxyribonucleotides. The stability of these psicothymidine-contg. oligodeoxyribonucleotides against nucleases was demonstrated.

IT 153184-85-1P 153184-86-2P 153184-87-3P

153184-88-4P 153184-89-5P 153184-92-0P

153214-48-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (intermediate in prepn. of psicothymidine-contg.

oligodeoxyribonucleotides)

RN 153184-85-1 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153184-86-2 CAPLUS

CN Thymidine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153184-87-3 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[(1,4-dioxopentyl)oxy]methyl]- (9CI) (CA INDEX NAME)

RN 153184-88-4 CAPLUS
CN Thymidine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-,
5'-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153184-89-5 CAPLUS

CN Thymidine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[(1,4-dioxopentyl)oxy]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

RN 153184-92-0 CAPLUS
CN Thymidine, thymidylyl-(3'.fwdarw.5')-2'-deoxycytidylyl-(3'.fwdarw.5')thymidylyl-(3'.fwdarw.5')-1'-[[[[(6-aminohexyl)oxy]hydroxyphosphinyl]oxy]m
ethyl]thymidylyl-(3'.fwdarw.5')-thymidylyl-(3'.fwdarw.5')-2'-deoxyguanylyl(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

PAGE 3-A

RN 153214-48-3 CAPLUS
CN Thymidine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] 5'-(4-oxopentanoate)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-B

\_0

RN 153184-93-1 CAPLUS

CN Thymidine, thymidylyl-(3'.fwdarw.5')-2'-deoxyadenylyl-(3'.fwdarw.5')thymidylyloxymethylene-(3'.fwdarw.1')-thymidylyl-(3'.fwdarw.5')-thymidylyl(3'.fwdarw.5')-2'-deoxyguanylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{OH} \\ \text{HO} \\ \text{O} \\ \text{R} \\ \text{R} \\ \text{O} \\ \text{O} \\ \text{P} \\ \text{S} \\ \text{O} \\ \text{O} \\ \text{P} \\ \text{S} \\ \text{O} \\ \text{P} \\ \text{O} \\ \text{R} \\ \text{S} \\ \text{O} \\ \text{O} \\ \text{P} \\$$

PAGE 1-B

PAGE 2-A

PAGE 2-B

IT 153184-84-0

RL: RCT (Reactant); RACT (Reactant or reagent) (reactant, in prepn. of psicothymidine-contg. oligodeoxyribonucleotides)

RN 153184-84-0 CAPLUS

CN Thymidine, 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 57 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:77585 CAPLUS

DN 120:77585 TI Synthesis and structure determination of the first 1'-C-cyano-.beta.-Dnucleosides AU Uteza, Valerie; Chen, Guo Rong; Le Quan Tuoi, Jeremie; Descotes, Gerard; Fenet, Bernard; Grouiller, Annie CS Lab. Chim. Org., Univ. Lyon I, Villeurbanne, 69622, Fr. SO Tetrahedron (1993), 49(38), 8579-88 CODEN: TETRAB; ISSN: 0040-4020 DT Journal English LA os CASREACT 120:77585 GΙ

AB Title nucleosides I and II were prepd. from cyano sugar III via photobromination and condensation with silylated thymine.

IT 152039-38-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (intermediate in prepn. of C-cyano-.beta.-D-nucleosides)

RN -- 152039-38-8--CAPLUS

CN Uridine, 1'-C-cyano-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 149228-60-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and partial silylation of)

RN149228-60-4 CAPLUS

Uridine, 1'-C-cyano-5-methyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L3 ANSWER 58 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1994:8893 CAPLUS

DN 120:8893

Conformational studies on some C1'-branched .beta.-D-nucleosides by ΤI proton-NMR spectroscopy and molecular mechanics calculations
Plavec, J.; Fabre-Buet, V.; Uteza, V.; Grouiller, A.; Chattopadhyaya, J.
Biomed. Cent., Univ. Uppsala, Uppsala, Swed.

ΑU

CS

Journal of Biochemical and Biophysical Methods (1993), 26(4), 317-34 SO CODEN: JBBMDG; ISSN: 0165-022X

DTJournal

English LΑ

GΙ

AB Conformation of nucleosides I (R = CH2OH, CN) by 1H NMR and mol. mechanics calcns. using the AMBER force field are described.

IT 149228-60-4 151327-23-0

RL: PRP (Properties)

(conformation and mol. mechanics of)

RN 149228-60-4 CAPLUS

CN Uridine, 1'-C-cyano-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 151327-23-0 CAPLUS

CN Uridine, 1'-C-(hydroxymethyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 59 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1993:650341 CAPLUS

DN 119:250341

Nucleosides and nucleotides. 118. Synthesis of oligodeoxyribonucleotides containing a novel 2'-deoxyuridine analog that carries an aminoalkyl

tether at 1'-position; stabilization of duplex formation by an intercalating group accommodated in the minor groove

AU Dan, Akihito; Yoshimura, Yuichi; Ono, Akira; Matsuda, Akira

CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan

SO Bioorganic & Medicinal Chemistry Letters (1993), 3(4), 615-18

CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

GΙ

AB A novel 2'-deoxyuridine analog I (R = H, Ac, R1) carrying an aminoalkyl tether at 1'-position of the sugar moiety was synthesized and incorporated into oligodeoxyribonucleotides, then an intercalating group was attached to the amino group. Duplexes, consisting of the oligodeoxyribonucleotides and a complementary strand, were more stable than a unmodified parent duplex.

IT 150880-73-2P 150880-74-3P 150880-75-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and incorporation of, into oligodeoxyribonucleotides)

RN 150880-73-2 CAPLUS

CN Uridine, 1'-C-[[[[(4-aminobutyl)amino]carbonyl]oxy]methyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 $(CH_2)_4$ 
 $H_1$ 
 $O$ 
 $S$ 
 $R$ 
 $OH$ 

RN 150880-74-3 CAPLUS

CN Uridine, 1'-C-[[[[[4-(acetylamino)butyl]amino]carbonyl]oxy]methyl]-2'-deoxy-(9CI) (CA INDEX NAME)

RN 150880-75-4 CAPLUS
CN Uridine, 2'-deoxy-1'-C-[[[[[4-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]butyl]amino]carbonyl]oxy]methyl]- (9CI) (CFINDEX NAME)

Absolute stereochemistry.

$$(CH_2)_4$$

$$H$$

$$O$$

$$N$$

$$H$$

$$O$$

$$N$$

$$S$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

$$O$$

IT 152218-66-1P

RL:-SPN-(Synthetic preparation); PREP (Preparation) (prepn. and partial intercalation of)

RN 152218-66-1 CAPLUS

CN Uridine, 2'-deoxy-1'-C-[[[[[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]amino]carbonyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 150880-79-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and phosphoramidation of)

RN 150880-79-8 CAPLUS

CN Uridine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 150880-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in synthesis of oligodeoxyribonucleotides)

RN 150880-80-1 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

IT 55697-36-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and sequential debenzoylation and silylation of)

RN 55697-36-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 60 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1993:496032 CAPLUS

DN 119:96032

TI Novel p-toluenesulfonylation and thionocarbonylation of unprotected thymine nucleosides

AU Grouiller, Annine; Buet, Veronique; Uteza, Valerie; Descotes, Gerard

CS Lab. Chim. Organ., Univ. Lyon I, Villeurbanne, F-69622, Fr.

SO Synlett (1993), (3), 221-2

CODEN: SYNLES; ISSN: 0936-5214

DT Journal

LA English

OS CASREACT 119:96032

GI

An ew procedure involving the use of both dibutyltin oxide and a quaternary ammonium salt along with p-toluenesulfonyl chloride or phenoxythiocarbonyl chloride leads in good yields to the desired monotosylate I (R = R2 = H, R1 = SO2C6H4Me-4) or to the 2',3'-O-cyclic thiocarbonate I (R = H, R1R2 = C:S) of thymine nucleosides without prior modification of any hydroxyl group. It is noteworthy that the 5-methyluridine (I; R - R2 = H) tosylation occurs regionselectively at the 2'-position, while the 3'-O-tosylate, i.e. I (R = Me, CN, R1 = H, R2 = SO2C6H4Me-4), is formed when 5-methyluridine is substituted on 1' by a Me (or cyano) group.

149228-62-6P, 1',5-Dimethyluridine 3'-tosylate 149228-63-7P, 1'-Cyano-5-methyluridine 3'-O-tosylate RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, regioselectivity in)

RN 149228-62-6 CAPLUS

CN Uridine, 5-methyl-1'-C-methyl-, 3'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 149228-63-7 CAPLUS
CN Uridine, 1'-C-cyano-5-methyl-, 3'-(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 149228-60-4 CAPLUS CN Uridine, 1'-C-cyano-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 61 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1993:124932 CAPLUS

DN 118:124932

L3

TI Structural studies on a psicofuranosyl nucleoside, a potential antiviral agent

AU Grouiller, A.; Faivre-Buet, V.; Chattopadhyaya, J.

CS Lab. Chim. Org. II, Univ. Lyon 1, Villeurbanne, 69622, Fr.

SO Journal de Pharmacie de Belgique (1992), 47(4), 381-3

CODEN: JPBEAJ; ISSN: 0047-2166

DT Journal

LA French

GI

AB Conformational anal. of a novel nucleoside analog I by 1H NMR studies and mol. mechanics MM2, is described. The aglycon is in anti conformation relative to the sugar moiety.

IT 34441-68-4
RL: PRP (Properties)
(conformation of)
RN 34441-68-4 CAPLUS

CN -- Uridine, 5-methyl-1+-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3
     ANSWER 62 OF 201 CAPLUS COPYRIGHT 2003 ACS
     1993:102382 CAPLUS
AN
DN
     118:102382
     Synthesis of thymine nucleosides derived from 1-deoxy-D-psicofuranose
TI
     Faivre-Buet, Veronique; Grouiller, Annie; Descotes, Gerard
ΑU
     Lab. Chim. Org. II, Univ. Lyon I, Villeurbanne, 69622, Fr.
CS
SO
     Nucleosides & Nucleotides (1992), 11(9), 1651-60
     CODEN: NUNUD5; ISSN: 0732-8311
DT
     Journal
```

LA English

AB The use of D-(+)-ribonic .gamma.-lactone as a chiral synthon leads to an efficient synthesis of 1-deoxy-D-psicofuranose. Condensation of its acetyl deriv. with silylated thymine, followed by deprotection affords an anomeric mixt. of ketosyl nucleoside I (predominantly the .beta.-anomer) in an improved overall yield of 49%.

IT 144080-58-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzylation of)

RN 144080-58-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-6-O-(phenylmethyl)-.beta.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Me 
$$\stackrel{\text{H}}{\underset{\text{HO}}{\bigvee}}$$
 O  $\stackrel{\text{CH}_2-\text{O-CH}_2-\text{Ph}}{\underset{\text{HO}}{\bigvee}}$ 

Ι

IT 34441-68-4P 145662-64-2P 145662-65-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 34441-68-4 CAPLUS

CN Uridine, 5-methyl-1'-C-methyl- (9CI) (CA INDEX NAME)

RN 145662-64-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-6-O-(phenylmethyl)-.alpha.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 145662-65-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1-deoxy-.alpha.-D-psicofuranosyl)-5-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 63 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1993:102369 CAPLUS

DN 118:102369

TI Nucleosides and nucleotides. 108. Synthesis and optical properties of syn-fixed carbon-bridged pyrimidine cyclonucleosides

AU Yoshimura, Yuichi; Otter, Brian A.; Ueda, Tohru; Matsuda, Akira

CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan

SO Chemical & Pharmaceutical Bulletin (1992), 40(7), 1761-9 CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

OS CASREACT 118:102369

GI

HOCH<sub>2</sub> O 
$$\times$$
 O  $\times$  O

AB A carbon-bridged cyclouridine I fixed in the syn-conformation, was synthesized from D-fructose via radical cyclization of the 1'-iodopropyl deriv. of 5-chlorouridine. Two addnl. carbon-units were introduced at the 1'-position of anhydrouridine II (X = 1,1,3,3-tetraisopropyldisiloxan-1,3-diyl) and inversion of the 2' hydroxyl group was achieved by sequential oxidn.-redn. reactions. These results suggest that the crit. region in which the CD Cotton effect changes from neg. to pos. is present in the syn region where I is located. Correlation of the magnitude and the direction of the sign of the CD Cotton effect and the torsion angle (.chi.) is also discussed.

IT 145427-38-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzoylation of)

RN 145427-38-9 CAPLUS

CN -2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 137273-01-9P 137273-02-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and redn. of)

RN 137273-01-9 CAPLUS

CN .beta.-D-arabino-Oct-2-en-4-ulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, ethyl ester, 5-acetate 6,8-dibenzoate, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 137273-02-0 CAPLUS

CN .beta.-D-arabino-Oct-2-en-4-ulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, ethyl ester, 5-acetate 6,8-dibenzoate, (2Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 137272-91-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and sequential deblocking and partial silylation of)

RN 137272-91-4 CAPLUS

CN .beta.-D-arabino-4-Octulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, ethyl ester, 5-acetate 6,8-dibenzoate (9CI) (CA INDEX NAME)

#### IT 145396-32-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and sequential oxidn. and stereoselective redn. of)

RN 145396-32-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-.beta.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 53263-33-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 53263-33-5 CAPLUS

CN Uridine, 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L3 ANSWER 64 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1992:592239 CAPLUS
- DN 117:192239
- TI Synthesis of 1'-deoxypsicofuranosyl-deoxynucleosides as potential anti-HIV agents
- AU Faivre-Buet, Veronique; Grouiller, Annie; Descotes, Gerard
- CS Lab. Chim. Org. II, Univ. Claude Bernard Lyon I, Villeurbanne, 69622, Fr.
- SO Nucleosides & Nucleotides (1992), 11(7), 1411-24 CODEN: NUNUD5; ISSN: 0732-8311
- DT Journal
- LA English

GI

AB Various routes to the 1-deoxypsicofuranosyl nucleoside analogs I (R = R2 = H, R1 = N3, H; R1R2 = bond), related to anti-HIV agents, are reported. Two routes afforded I (R = CH2Ph, R1 = N3, NH2, R2 = H; R1R2 = bond). Only I (R = CH2Ph, R1R2 = O; R1 = H, R2 = OH, H) were able to be deprotected. I are devoid of virucidal activity.

IT 144080-58-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (mesylation of)

RN 144080-58-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-6-0-(phenylmethyl)-.beta.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Ι

IT 144080-61-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and elimination of mesylate from)

RN 144080-61-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,3-dideoxy-4-O-(methylsulfonyl)-6-O-(phenylmethyl)-.beta.-D-erythro-2-hexulofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

IT 144080-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and reaction of, with thiochloroformate)

RN 144080-59-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-4-O-(methylsulfonyl)-6-O-(phenylmethyl)-.beta.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

IT 144080-60-4P 144080-71-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and redn. of)

RN 144080-60-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-4-O-(methylsulfonyl)-3-O-(phenoxythioxomethyl)-6-O-(phenylmethyl)-.beta.-D-psicofuranosyl]-5-methyl-(9CI) (CA INDEX NAME)

RN 144080-71-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-4-O-[(4-methylphenyl)sulfonyl]-6-O-(phenylmethyl)-.beta.-D-psicofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

L3 ANSWER 65 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1992:174648 CAPLUS

DN 116:174648

TI Synthesis of 9-(1-deoxy-4-phosphono-.beta.-D-psicofuranosyl)-1,9-dihydro-6H-purin-6-one as a potential transition state analog inhibitor of purine nucleoside phosphorylase.

AU Elliott, Robert D.; Niwas, Shri; Riordan, James M.; Montgomery, John A.; Secrist, John A., III

CS Kettering-Meyer Lab., South. Res. Inst., Birmingham, AL, 35255-5305, USA

SO Nucleosides & Nucleotides (1992), 11(1), 97-119

CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

LA English

GI

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB A fifteen-step synthesis of the proposed purine nucleoside phosphorylase (PNP) transition state analog inhibitor 9-(1-deoxy-1-phosphono-.beta.-D-psicofuranosyl)-1,9-dihydro-H-purine-6-one (I) is described starting with 1,2:4,5-diisopropylidene-.beta.-D-psicopyranose (II). Catalytic hydrogenation of 9-[3-O-benzyl-1-(dibenzyloxyphosphinyl)-1-deoxy-.beta.-D-psicofuranosyl]-6-benzyloxypurine (III) under basic conditions gave the unstable I which was found to have a half-life of 39 min at pH 7 and 81 min at pH 8. The low PNP inhibitory activity found for I (IC50 = 25 .mu.M at 50 mM phosphate concn.) may be due entirely to the presence of the decompn. product hypoxanthine which is itself an inhibitor (IC50 = 8.6 .mu.M).
- IT 139764-72-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and attempted Michaelis-Arbuzov reaction of)

RN 139764-72-0 CAPLUS

CN 6H-Purin-6-one, 9-(1-bromo-1-deoxy-.beta.-D-psicofuranosyl)-1,9-dihydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 139764-84-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and attempted deesterification of)

RN 139764-84-4 CAPLUS

CN 6H-Purin-6-one, 9-[1-deoxy-1-(diethoxyphosphinyl)-.beta.-D-psicofuranosyl]-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 139764-67-3P 139764-76-4P 139764-91-3P 139764-92-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and deacylation-benzyloxylation of)

RN 139764-67-3 CAPLUS

CN 9H-Purine, 9-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.alpha.-D-psicofuranosyl]-6-chloro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 139764-76-4 CAPLUS

CN 9H-Purine, 6-chloro-9-[1,4,6-tris-O-(4-methylbenzoyl)-3-O-(phenylmethyl)-.alpha.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

RN139764-91-3 CAPLUS

9H-Purine, 6-chloro-9-[1,4,6-tris-0-(4-methylbenzoyl)-3-0-(phenylmethyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

139764-92-4 CAPLUS
9H-Purine, 9-[1-bromo-1-deoxy-3,4,6-tris-O-(4-methylbenzoyl)-.beta.-D-psicofuranosyl]-6-chloro- (9CI) (CA INDEX NAME) CN

IT 139764-83-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenolysis of)

RN 139764-83-3 CAPLUS

CN 6H-Purin-6-one, 9-[1-deoxy-1-(diethoxyphosphinyl)-3-O-(phenylmethyl)-.beta.-D-psicofuranosyl]-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 139764-90-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and partial hydrogenolysis of)

RN 139764-90-2 CAPLUS

CN 9H-Purine, 9-[1-[bis(phenylmethoxy)phosphinyl]-1-deoxy-3-0-(phenylmethyl)-.beta.-D-psicofuranosyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

IT 139764-77-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and silylation of, with dichlorotetraisopropyldisiloxane)

RN 139764-77-5 CAPLUS

CN 9H-Purine, 6-(phenylmethoxy)-9-[3-0-(phenylmethyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 139764-68-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (prepn. and O-debenzylation of)

RN 139764-68-4 CAPLUS

CN 9H-Purine, 9-(1-bromo-1-deoxy-.beta.-D-psicofuranosyl)-6-(phenylmethoxy)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

# IT 140140-98-3P 140140-99-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as purine nucleoside phosphorylase inhibitor)

RN 140140-98-3 CAPLUS

CN 6H-Purin-6-one, 9-(1-deoxy-1-phosphono-.beta.-D-psicofuranosyl)-1,9-dihydro-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# ● NH3

RN 140140-99-4 CAPLUS

CN 6H-Purin-6-one, 9-[1-deoxy-1-[hydroxy(phenylmethoxy)phosphinyl]-.beta.-D-psicofuranosyl]-1,9-dihydro-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# ● NH3

- L3 ANSWER 66 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1992:84025 CAPLUS
- DN 116:84025
- TI Furanoside C-glycosides from an O-methyl pyranoside an unexpected .beta.-hydroxy-1,3-dithiane rearrangement
- AU Krohn, Karsten; Heins, Heidi
- CS Inst. Org. Chem., TU Braunschweig, Braunschweig, D-3300, Germany
- SO Journal of Carbohydrate Chemistry (1991), 10(5), 917-22
  - CODEN: JCACDM; ISSN: 0732-8303
- DT Journal

LA English

OS CASREACT 116:84025

GI

AB Acid-catalyzed thioacetalization of Me 3-deoxy-2-C-ethylribopyranoside proceeds abnormally giving rise, to the formation of cyclized and reduced products I and II.

IT 138688-45-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and oxidn. of)

RN 138688-45-6 CAPLUS

CN D-ribo-Hexose, 2,5-anhydro-3-deoxy-2-C-ethyl-6-O-(triphenylmethyl)-, cyclic 1,3-propanediyl dithioacetal (9CI) (CA INDEX NAME)

IT 138688-44-5P 138688-46-7P 138752-84-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 138688-44-5 CAPLUS

CN D-ribo-Hexose, 2,5-anhydro-3-deoxy-2-C-ethyl-, cyclic 1,3-propanediyl dithioacetal, diacetate (9CI) (CA INDEX NAME)

RN 138688-46-7 CAPLUS

CN D-ribo-Hexose, 2,5-anhydro-3-deoxy-2-C-ethyl-6-0-(triphenylmethyl)-, cyclic 1,3-propanediyl dithioacetal, S-oxide (9CI) (CA INDEX NAME)

RN138752-84-8 CAPLUS

D-ribo-Hexose, 2,5-anhydro-3-deoxy-2-C-ethyl-6-0-(triphenylmethyl)-, CNcyclic 1,3-propanediyl dithioacetal, S-oxide (9CI) (CA INDEX NAME)

ΙT 138688-43-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., acetylation, and tritylation of)

RN138688-43-4 CAPLUS

D-ribo-Hexose, 2,5-anhydro-3-deoxy-2-C-ethyl-, cyclic 1,3-propanediyl CN dithioacetal (9CI) (CA INDEX NAME)

L3 ANSWER 67 OF 201 CAPLUS COPYRIGHT 2003 ACS

1992:41962 CAPLUS AN

DN 116:41962

TIDeoxy nitrosugars. 17. Synthesis of ketose-derived nucleosides from 1-deoxy-1-nitroribose

Mahmood, Khalid; Vasella, Andrea; Bernet, Bruno ΑU

Org.-Chem. Inst., Univ. Zurich, Zurich, CH-8057, Switz. CS SO

Helvetica Chimica Acta (1991), 74(7), 1555-84

CODEN: HCACAV; ISSN: 0018-019X

DT Journal

English LA

GΙ

AB A new approach to the synthesis of purine and pyrimidinedione nucleosides, e.g. I (R = CH2OH, CH2CH2CN, CH:CHCO2H), from 1-deoxy-1-nitroribose, is described. The structure and conformation of these nucleosides are examd. The crystal structure of deoxynitropsicofuranose II (R1 = pivaloy1) was detd. by x-ray diffraction techniques.

IT 1874-54-0P 53263-33-5P 138348-81-9P

138348-82-0P 138348-87-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and conformation of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53263-33-5 CAPLUS

CN Uridine, 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138348-81-9 CAPLUS

CN .beta.-D-ribo-4-Octulofuranosononitrile, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 138348-82-0 CAPLUS

CN .alpha.-D-ribo-4-Octulofuranosononitrile, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138348-87-5 CAPLUS

CN .beta.-D-ribo-Oct-2-en-4-ulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

IT 138348-72-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deacylation of)

RN 138348-72-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[6-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

IT 138348-76-2P 138348-93-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of)

RN 138348-76-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,3,4-tri-O-acetyl-6-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138348-93-3 CAPLUS

CN Benzamide, N-[9-[1,3,4-tri-O-acetyl-6-O-(2,2-dimethyl-1-oxopropyl)-.beta.-D-psicofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 138348-85-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of)

RN 138348-85-3 CAPLUS

.beta.-D-ribo-Oct-2-en-4-ulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, methyl ester, 8-(2,2-dimethylpropanoate), (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 138348-75-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,3,4-tri-O-acetyl-6-O-(2,2-dimethyl-1-oxopropyl)-.alpha.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 138348-86-4 CAPLUS

CN .alpha.-D-ribo-Oct-2-en-4-ulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, methyl ester, 8-(2,2-dimethylpropanoate), (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 138348-92-2 CAPLUS

CN Benzamide, N-[9-[1,3,4-tri-O-acetyl-6-O-(2,2-dimethyl-1-oxopropyl)-.alpha.-

D-psicofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 68 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1992:41932 CAPLUS

DN 116:41932

TI Synthesis of 1-.beta.-D-fructofuranosylcytosine. Synthesis of a .beta.-D-fructofuranosyl nucleoside by the oxazolidine procedure

AU Tolman, Richard L.; Robins, Roland K.

CS Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA

SO Nucleic Acid Chem. (1991), Volume 4, 105-8. Editor(s): Townsend, Leroy B.; Tipson, R. Stuart. Publisher: Wiley, New York, N. Y. CODEN: 39GCA6

DT Conference

LA English

OS CASREACT 116:41932

GI

AB 1,6-Di-O-trityl-D-fructose was converted into aminofructofuranooxazoline I(R = CPh3) with NH2CN in the presence of NH3-MeOH. Treatment of I with cyanoacetylene causes ring closure to the anhydro nucleoside, which is ring-opened with base to give 1-(1,6-di-O-trityl-.beta.-D-fructofuranosyl)cytosine. Removal of the trityl groups with 98-100% HCO2H gives the title nucleoside II in good yield.

IT 136207-37-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. detritylation of, with formic acid)

RN 136207-37-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[1,6-bis-O-(triphenylmethyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 136315-00-9P

RN 136315-00-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L3 ANSWER 69 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1991:656529 CAPLUS
- DN 115:256529
- TI Synthesis of 6,1'-propanouridine, fixed in syn-conformation by a spiro-carbon bridge
- AU Yoshimura, Yuichi; Ueda, Tohru; Matsuda, Akira
- CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
- SO Tetrahedron Letters (1991), 32(35), 4549-52 CODEN: TELEAY; ISSN: 0040-4039
- DT Journal
- LA English
- OS CASREACT 115:256529

GΙ

AB 6,1'-Propanouridine (I), a carbon-bridged cyclouridine fixed in the syn-region of the glycosyl linkage, was synthesized from D-fructose. The correlation between the glycosyl torsional angle of I and other derivs., and the CD spectra of these C-cyclouridines are also discussed.

IT 137273-01-9P 137273-02-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and catalytic hydrogenation of)

RN 137273-01-9 CAPLUS

CN .beta.-D-arabino-Oct-2-en-4-ulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, ethyl ester, 5-acetate 6,8-dibenzoate, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 137273-02-0 CAPLUS

CN .beta.-D-arabino-Oct-2-en-4-ulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, ethyl ester, 5-acetate 6,8-dibenzoate, (2Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

IT 137272-91-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in synthesis of cyclic propanouridine)

RN 137272-91-4 CAPLUS

CN .beta.-D-arabino-4-Octulofuranosonic acid, 2,3,4-trideoxy-4-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-, ethyl ester, 5-acetate 6,8-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 70 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1991:632732 CAPLUS

DN 115:232732

TI Structural studies on 1-(1-deoxy-.beta.-D-psicofuranosyl)thymine

AU Plavec, J.; Buet, V.; Grouiller, A.; Koole, L.; Chattopadhyaya, J.

CS Biomed. Cent., Univ. Uppsala, Uppsala, S-751 23, Swed.

SO Tetrahedron (1991), 47(30), 5847-56

CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

GI

AB Conformational anal. of a novel nucleoside analog, 1-(1-deoxy-.beta.-Dpsicofuranosyl)thymine (I, R = Me)(II) is described. The structure of II differs from the natural ribonucleoside counterpart (I, R = H) (III) in that a Me group replaces H1'. Conformational anal. of II was based on the vicinal proton-proton J-coupling consts., which were measured at 500 MHz for different solvents, and at different sample temps. Although merely two J-coupling consts. are available for conformational anal. of the furanose ring in III, it can be concluded that a preference exists for a north-type puckered conformation. Mol. mechanics calcns. yield mol. structures that are in excellent agreement with the NMR data, both for compds. II and III. Thus, it can be safely concluded that the Me group on Cl' in II has a pronounced impact on the furanose conformation by driving its conformational equil. towards the north form. The north conformation of II appears to correspond with pseudo-euqatorial location of the Me group, which is sterically favored.

IT 34441-68-4

RL: RCT (Reactant); RACT (Reactant or reagent) (NMR, mol. mechanics, and conformation of)

RN 34441-68-4 CAPLUS

CN Uridine, 5-methyl-1'-C-methyl- (9CI) (CA INDEX NAME)

- L3 ANSWER 71 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1991:559632 CAPLUS
- DN 115:159632
- TI Synthesis of 1-.beta.-D-fructofuranosylcytosine. Synthesis of a .beta.-D-fructofuranosyl nucleoside by the oxazolidine procedure
- AU Tolman, Richard L.; Robins, Roland K.
- CS Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
- SO Nucleic Acid Chem. (1991), Volume 4, 105-8. Editor(s): Townsend, Leroy

RN

B.; Tipson, R. Stuart. Publisher: Wiley, New York, N. Y. CODEN: 39GCA6

DT Conference

LA English

OS CASREACT 115:159632

AB 1-.beta.-D-Fructofuranosylcytosine was prepd. from 1,6-di-O-trityl-D-fructose, via ring closure of fructofuranooxazoline I with cyanoacetylene.

IT 136207-37-9P

136207-37-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[1,6-bis-O-(triphenylmethyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

IT 136315-00-9P

RN 136315-00-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-fructofuranosyl- (9CI) (CA INDEX

### Absolute stereochemistry.

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L3 ANSWER 72 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1989:24205 CAPLUS

DN 110:24205

TI A novel stereospecific synthesis of 5-amino-1-.beta.-D-fructofuranosylimidazole-4-carboxamide

AU Grouiller, Annie; Mackenzie, Grahame; Najib, Boubker; Shaw, Gordon; Ewing, David

CS Inst. Natl. Sci. Appl. Lyon, Villeurbanne, 69621, Fr.

SO Journal of the Chemical Society, Chemical Communications (1988), (10), 671-2
CODEN: JCCCAT; ISSN: 0022-4936

DT Journal

LA English

Me<sub>3</sub>CMe<sub>2</sub>SiO

CASREACT 110:24205 os

GI

Me3CMe2SiOCH2 CH2OSiMe2CMe3

CONH<sub>2</sub> ROCH<sub>2</sub> HC CH2OR RO II

A .beta.-D-fructofuranose fused oxazolidine-2-thione was isolated as the AΒ silyl deriv. I, which when desulfurized and treated with .alpha.-amino-.alpha.-cyanoacetamide gave the silylated 1-.beta.-D-fructofuranosyl aminoimidazole II (R = SiMe2CMe3) which when deblocked with methanolic hydrogen chloride produced 5-amino-.beta.-Dfructofuranosylimidazole-4-carboxamide (II; R = H).

IT 117901-65-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and deprotection of)

RN117901-65-2 CAPLUS

CN

1H-Imidazole-4-carboxamide, 5-amino-1-[1,4,6-tris-0-[(1,1dimethylethyl)dimethylsilyl]-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ΙT 114987-22-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

114987-22-3 CAPLUS RN

1H-Imidazole-4-carboxamide, 5-amino-1-.beta.-D-fructofuranosyl- (9CI) CN INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 73 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1988:423283 CAPLUS

DN 109:23283

TI Synthesis of D-fructosyl-5-aminoimidazole nucleosides from oxazoline intermediates and D-fructosylamine

AU Grouiller, A.; Mackenzie, G.; Najib, B.; Shaw, G.; Pacheco, H.

CS Inst. Natl. Res. Sci. Appl., Villeurbanne, 69621, Fr.

Nucleic Acids Symposium Series (1987), 18 (Symp. Chem. Nucleic Acid Compon., 7th, 1987), 17-19
CODEN: NACSD8; ISSN: 0261-3166

DT Journal

LA English

OS CASREACT 109:23283

GΙ

AB A lecture. Tthe title nucleosides I and II were prepd.

IT 114987-22-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, from fructosylamine)

RN 114987-22-3 CAPLUS

CN 1H-Imidazole-4-carboxamide, 5-amino-1-.beta.-D-fructofuranosyl- (9CI) (CF INDEX NAME)

L3 ANSWER 74 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1988:18497 CAPLUS

DN 108:18497

TI Hydroxyl-induced free radicals in 3'-UMP and poly(U): spin-trapping and radical chromatography

AU Inanami, Osamu; Kuwabara, Mikinori; Sato, Fumiaki
CS Fac. Vet Med Hokkaido Univ. Sannama 060

CS Fac. Vet. Med., Hokkaido Univ., Sapporo, 060, Japan SO Radiation Research (1987) 112(1) 26 44

O Radiation Research (1987), 112(1), 36-44 CODEN: RAREAE; ISSN: 0033-7587

DT Journal

LA English

Characterization of OH-induced free radicals from 3'-UMP and poly(U) was AB performed by a method combining spin-trapping and radical chromatog. A N2O-satd. aq. soln. contg. 3'-UMP and 2-methyl-2-nitrosopropane as a spin-trap was x-irradiated. The spin adducts generated by the reactions of OH radical with 3'-UMP were sepd. by paired-ion HPLC and the sepd. spin adducts were identified by ESR spectroscopy. In the case of poly(U), the spin adducts were digested to oligonucleotides with RNase A and then sepd. and identified in the same manner as 3'-UMP. The free radicals obsd. for poly(U) were identical to those for 3'-UMP. The 5-yl radical and the 6-yl radical were identified as precursors of various oxidized products of the base moiety, and the 4'-yl radical and 5'-yl radical, formed by H abstraction at the C-4' and C-5' positions of the sugar mojeties, resp., were identified as precursors of strand breaks. The 1'-yl radical, produced by H abstraction at the C-1' position of the sugar moiety, was also identified. From the similarity of the free radicals of 3'-UMP and poly(U), it is suggested that the reactivities of OH radicals with nucleotides are identical to those in polynucleotides.

IT 111974-09-5

RL: FORM (Formation, nonpreparative)

(formation of, from poly(U) and UMP reaction with hydroxyl after x-ray radiolysis)

RN 111974-09-5 CAPLUS

CN 3'-Uridylic acid, 1'-C-[(1,1-dimethylethyl)oxyamino]- (9CI) (CA INDEX NAME)

O 
$$N-Bu-t$$
O  $CH_2-OH$ 
HO  $OPO_3H_2$ 

L3 ANSWER 75 OF 201 CAPLUS COPYRIGHT 2003 ACS AN 1987:541699 CAPLUS

DN 107:141699

TI Silver hydride, silver dimer, and silver oxide (AgH, Ag2, and Ag0) revisited: basis set extensions

AU Martin, Richard L.

CS Theor. Div., Los Alamos Natl. Lab., Los Alamos, NM, 87545, USA

Journal of Chemical Physics (1987), 86(9), 5027-31

CODEN: JCPSA6; ISSN: 0021-9606

DT Journal

LA English

An extended basis set was developed for Ag which significantly improved the agreement between theor. and exptl. spectroscopic parameters for AgH, AgO, and Ag2. The major improvement came about as a result of the improved treatment of electron correlation in the Ag d-shell upon the introduction of f-functions. Their inclusion produced very slight differences at the SCF level, but significant redns. in re and increases in .omega.e and De in the Moeller-Pleeest perturbation theory expansion. At the MP4 (SDTQ) level. typical results are 0.02 .ANG. too long for re, 4% too low for .omega.e, and 10 kcal too small for De. From a pragmatic standpoint, MP2 gave results very similar to this at a much reduced level of effort.

IT 13019-86-8, Adenine, 9-D-psicofuranosyl-

RL: PRP (Properties)

(spectroscopic consts. of, correlated wave function for silver in calcns. of)

RN 13019-86-8 CAPLUS

CN 9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 76 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1987:529994 CAPLUS

DN 107:129994

TI Menadione sensitized photooxidation of nucleic acid and protein constituents. An ESR and spin-trapping study

AU Krishna, C. Murali; Decarroz, C.; Wagner, J. R.; Cadet, J.; Riesz, P. CS Div. Cancer Treatment Natl Cancer Inst. Dathbald.

CS Div. Cancer Treatment, Natl. Cancer Inst., Bethesda, MD, 20892, USA SO Photochemistry and Photobiology (1987), 46(2), 175, 22

Photochemistry and Photobiology (1987), 46(2), 175-82 CODEN: PHCBAP; ISSN: 0031-8655

DT Journal

LA English

The menadione photosensitized reactions of nucleic acid and protein constituents were studied by ESR and spin trapping. Thymine, thymidine, cytosine, 2'-deoxycytidine, 5'-dCMP, uracil, and several N-acetylamino acids and dipeptides were investigated. Photolysis at 335 nm was carried out in air-satd. or Ar-satd. DMSO:H2O (1:1) contg. 10-3M menadione and 10-2M 2-methyl-2-nitrosopropane as the spin trap. The obsd. spin adducts were explained in terms of electron transfer from the substrate to the excited triplet state of menadione to form the radical cation of the

substrate and the anion radical of menadione which was also detected by  $\ensuremath{\mathsf{ESR}}$ .

IT 110231-43-1

RL: PRP (Properties)

(ESR of, from nucleic acid derivs. photolysis sensitization by menadione)

RN 110231-43-1 CAPLUS

CN Nitroxide, 1-C-(4-amino-2-oxo-1(2H)-pyrimidinyl)-2-deoxy-.alpha.-D-erythro-pentofuranosyl 1,1-dimethylethyl (9CI) (CA INDEX NAME)

L3 ANSWER 77 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1987:138738 CAPLUS

DN 106:138738

TI 1-Phosphonomethyl-.beta.-D-uridine derivatives

IN Tatsuoka, Toshio; Imao, Kayoko; Suzuki, Kenji

PA Suntory, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
_	JP 61275291 JP 1985-114750	A2	19861205 19850528	TD 1005 114550	
				JP 1985-114750	19850528

OS CASREACT 106:138738

GΙ

The title compds. [I; R = CH2P(O)(OR2)OR3 (R2, R3 = H, lower alkyl; R1, R4 = H, aralkyl; R5, R6 = H], useful as inhibitors of nucleic acid synthesis and thus useful as anticancer agents, virucides, central nervous system agents and agrochems., e.g., insecticides (no data), were prepd. Thus, a

soln. of aldehyde I (R = CHO, R1 = CPh3, R4 = H, R5 R6 = CHMe2) and its diastereoisomeric hemiacetals I [CH(OH)OMe, R1 - R6 same as above] and HP(O)(OMe)2 in DMF contg. Et3N was allowed to react at room temp. to give 83% I [R = CH(OH)PO(OMe)2, R1 = CPh3, R4 = H, R5 R6 = CHMe2] whose thiocarbonylation with 1,1'-thiocarbonyldiimidazole in ClCH2CH2Cl at b. temp. followed by deoxygenation with 1.1 equiv Bu3SnH and 1.1 equiv azobisisobutyronitrile in benzene at b. temp. and deprotection with 0.2 N HCl in MeOH gave I [R = CH2P(O)(OMe)2, R1 = R4-R6 = H].

IT 53263-33-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and acetonation of, by dimethoxypropane)

RN 53263-33-5 CAPLUS

CN Uridine, 1'-C-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 53263-34-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deacetylation of)

RN 53263-34-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,3,4,6-tetra-O-acetyl-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 107367-24-8P 107367-25-9P 107367-26-0P 107367-28-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as anticancer agent, virucide and insecticide)

RN 107367-24-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(dimethoxyphosphinyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

RN 107367-25-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(hydroxymethoxyphosphinyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 107367-26-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(dimethoxyphosphinyl)-6-0-(phenylmethyl)-.beta.-D-psicofuranosyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 107367-28-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(hydroxymethoxyphosphinyl)-6-0-(phenylmethyl)-.beta.-D-psicofuranosyl]-3-(phenylmethyl)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 107367-27-1 CMF C25 H29 N2 O9 P

# Absolute stereochemistry.

CM2

CRN 75-64-9  $\mathsf{CMF}$ C4 H11 N

$$\begin{array}{c} ^{\rm NH_2} \\ | \\ ^{\rm H_3C-C-CH_3} \\ | \\ ^{\rm CH_3} \end{array}$$

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ANSWER 78 OF 201 CAPLUS COPYRIGHT 2003 ACS
L3
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AN 1987:138737 CAPLUS

DN106:138737

TI 1',3'-Deoxy-1'-phospono-.beta.-D-fructofuranosyluracil
IN Tatsuoka, Toshio; Imao, Kayoko; Suzuki, Kenji

PΑ Suntory, Ltd., Japan

Jpn. Kokai Tokkyo Koho, 17 pp. so

CODEN: JKXXAF

 $\mathtt{DT}$ Patent

LA Japanese

FAN. CNT 1

TAM.CMI I				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			~	
PI JP 61275290 PRAI JP 1985-114749 OS CASREACT 106:138	A2 8737	19861205 19850528	JP 1985-114749	19850528
GI				

The title compds. [I; R = CH2P(O)(OR3)OR4(R3,R4 = H, alkyl); R1, R2 = H, AΒ acyl], useful as inhibitors of nucleic acid synthesis, and thus useful as anticancer agents, virucides, central nervous system agents, and insecticides (no data), were prepd. by reaction of I [R = CHO, CH(OH)OR5](R5 = lower alkyl)] with HP(O)(OR6)2 (R6 = lower alkyl) in the presence of a base followed by deoxygenation. Thus, a soln. of  $\hat{I}$  [R = CH(OH)OMe; R1R2 = Si(CHMe2)2OSi(CHMe2)2 (Q)] and (MeO)2PH in THF contg. Et3N was refluxed for 11 h under N to give 76% diastereoisomers I [R = CH(OH)P(O)(OMe)2, R1R2 = Q], whose thiocarbonylation with 1,1'-thiocarbonyldiimidazole in ClCH2CH2,cl followed by deoxygenation with 1 equiv Bu3SnH in benzene contg. 1.2 equiv azobisisobutyronitrile at 6 temp. and desilylation with Bu4NF in THF gave I [R = CH2P(O) (OMe)2, R1, R2 = H].

IT 105291-37-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and thiocarbonylation of, by Ph chlorothiocarbonate)

105291-37-0 CAPLUS RN

2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1-deoxy-1-CN---(dimethoxyphosphinyl) - .beta. -D-fructofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 105291-39-2P 105291-40-5P 107216-02-4P

107216-04-6P 107216-05-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as anticancer agent, virucide, or insecticide)

RN105291-39-2 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1,3-dideoxy-1-CN (dimethoxyphosphinyl) - .beta. -D-erythro-2-hexulofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-40-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,3-dideoxy-1-(dimethoxyphosphinyl)-.beta.-D-erythro-2-hexulofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

-RN - 107216-02-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-1-O-(hydroxymethoxyphosphinyl)-.beta.-D-erythro-2-hexulofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 107216-04-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1,3-dideoxy-1-(hydroxymethoxyphosphinyl)-.beta.-D-erythro-2-hexulofuranosyl]-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 107216-03-5 CMF C25 H25 N2 O10 P Absolute stereochemistry.

CM 2

CRN 75-64-9 CMF C4 H11 N

RN 107216-05-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1,3-dideoxy-1-(diethoxyphosphinyl)-.beta.-D-erythro-2-hexulofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 105291-38-1P 107216-06-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, in prepn. of dideoxyphosphonofructofuranosyluracil via deoxygenation)

RN 105291-38-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1-deoxy-1-(dimethoxyphosphinyl)-3-O-(phenoxythioxomethyl)-.beta.-D-fructofuranosyl]-(9CI) (CA INDEX NAME)

RN 107216-06-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1-deoxy-1-(diethoxyphosphinyl)-3-O-(phenoxythioxomethyl)-.beta.-D-fructofuranosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 79 OF 201 CAPLUS COPYRIGHT 2003 ACS L3 AN 1987:67632 CAPLUS DN 106:67632 1'-Deoxy-1'phosphono-.beta.-D-fructofuranosyluracils TΙ IN Tatsuoka, Toshio; Imao, Kayoko; Suzuki, Kenji PΑ Suntory, Ltd., Japan Jpn. Kokai Tokkyo Koho, 16 pp. SO CODEN: JKXXAF DTPatent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. \_ \_ \_ \_ PΙ JP 61205295 A2 19860911 JP 1985-45827 19850308 PRAI JP 1985-45827 19850308 CASREACT 106:67632 GI

R<sup>6</sup>OCH<sub>2</sub> O CHR<sup>4</sup>P(O) (OR<sup>2</sup>) OR<sup>3</sup>

The title compds. (I; R, R1 = H, alkanoyl; R2, R3 = H, alkyl), useful as antiviral and anticancer agents, were prepd. from anhydrouracil derivs. [II; R2, R3 = alkyl, R4 = OH, R5 R6 = (Me2CH)2SiOSi(CHMe2)2]. Thus, thiocarbonylation of II [R2 = R3 = Et, R4 = OH, R5R6 = (Me2CH)2SiOSi(CHMe2)2] with PhOC(S)Cl in ClCH2CH2Cl contg.

4-(dimethylamino)pyridine, redn. of the resulting II (R4 = OC(S)OPh) with Bu3SnH in benzene contg. azobisisobutyronitrile, desilylation of II (R4 = H) with 1 M Bu4NF in THF, and benzoylation of the resulting II (R2 = R3 = Et, R4 = R5 = R6 = H) with PhCOCN in MeCN contg. Et3N gave II ( R2 = R3 = Et, R4 = H, R5 = R6 = Bz). This was treated with 1 N HCl in aq. EtOH at room temp. overnight to give, after debenzoylation with MeONa/MeOH, I (R = R1 = H, R2 = R3 = Et). This at 100 mg/kg was active against mouse leukemia cells P388 in mice.

II

Absolute stereochemistry.

RN 105291-31-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(dimethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-32-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3,4,6-tri-O-benzoyl-1-deoxy-1-(diethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

RN 105291-33-6 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3,4,6-tri-O-acetyl-1-deoxy-1-(dimethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-34-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1-deoxy-1-phosphono-.beta.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-35-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(hydroxymethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

RN 105291-37-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-0-benzoyl-1-deoxy-1-(dimethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 106443-88-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-0-benzoyl-1-deoxy-1-(diethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

- L3 ANSWER 80 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1986:627212 CAPLUS
- DN 105:227212
- TI Phosphono nucleosides. 2. Synthesis of 1'-deoxy-1'-phosphono-1-.beta.-D-fructofuranosyluracil and 1',3'-dideoxy-1'-phosphono-1-.beta.-D-fructofuranosyluracil
- AU Tatsuoka, Toshio; Imao, Kayoko; Suzuki, Kenji
- CS Suntory Inst. Biomed. Res., Suntory Ltd., Osaka, 618, Japan
- SO Heterocycles (1986), 24(8), 2133-6 CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

OS CASREACT 105:227212

GI

# \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Phosphona nucleosides I (R = OH, R1 = R2 = H; R = OH, R1 = H, R2 = Me; R = H, R1 = R2 = Me) were prepd. in several steps from anhydrodeoxyphosphonofructofuranosyluracils II (R3 = Me, Et). For example, II (R3 = Et) on sequential anhydro-ring cleavage with HCl/EtOH, O-benzoylation with PhCOCN/Et3N/MeCN, and deprotection with Me3SiI/CH2Cl2 gave 2 (R = OH, R1 = R2 = H). Also prepd. was deoxyphosphononucleoside III [R4 = P(O) (OH) (OMe)] from III (R4 = OH).

IT 105291-31-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and acetylation of)

RN 105291-31-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(dimethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 105291-30-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and benzoylation of)

RN 105291-30-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(diethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

### IT 105291-39-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzylation of)

RN 105291-39-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-0-benzoyl-1,3-dideoxy-1-(dimethoxyphosphinyl)-.beta.-D-erythro-2-hexulofuranosyl]- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

## IT 105291-32-5P 105291-33-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN 105291-32-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3,4,6-tri-O-benzoyl-1-deoxy-1-(diethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-33-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3,4,6-tri-O-acetyl-1-deoxy-1-(dimethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

## IT 105291-37-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with Ph chlorothiocarbonate)

RN 105291-37-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1-deoxy-1-(dimethoxyphosphinyl)-.beta.-D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### IT 105291-38-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and redn. of)

RN 105291-38-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[4,6-di-O-benzoyl-1-deoxy-1-(dimethoxyphosphinyl)-3-O-(phenoxythioxomethyl)-.beta.-D-fructofuranosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-35-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[1-deoxy-1-(hydroxymethoxyphosphinyl)-.beta.D-fructofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-40-5 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,3-dideoxy-1-(dimethoxyphosphinyl)-.beta.D-erythro-2-hexulofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 105291-47-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,3-dideoxy-1-(hydroxymethoxyphosphinyl)-.beta.-D-erythro-2-hexulofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 55697-37-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(silylation of, with dichlorotetraisopropyldisiloxane)

RN 55697-37-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)(9CI) (CA INDEX NAME)

- L3 ANSWER 81 OF 201 CAPLUS COPYRIGHT 2003 ACS
- AN 1985:469796 CAPLUS
- DN 103:69796
- TI Production of the antibiotic psicofuranine by Micromonospora
- PA Kyowa Hakko Kogyo Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 5 pp.
- CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN.CNT 1

PΙ

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 60024195 A2 19850206 JP 1983-130547 19830718

PRAI JP 1983-130547 19830718

AB Psicofuranine (I) [1874-54-0] is produced from culture of M. echinospora psicofuraca MK230. The microorganism was shake-cultured at 28.degree. for 4 days on a medium contg. glucose 5, sol. starch 30, soybean flour 30, corn steep liquor 5, yeast ext. 5, and CaCO3 3 g/L. The culture filtrate (4 L) yielded 10 mg I.

IT 1874-54-0P

RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)

(manuf. of, with Micromonospora echinospora psicofuraca)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 82 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1984:630927 CAPLUS

DN 101:230927

TI Synthesis of D-psico- and D-fructofuranosyl nucleosides

AU Grouiller, Annie; Chattopadhyaya, Jyoti

CS Inst Natl. Sci. Appl. Lyon, Villeurbanne, 69621, Fr.

SO Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1984), B38(5), 367-73
CODEN: ACBOCV; ISSN: 0302-4369

DT Journal

LA English

GI

AB The condensation of 6-chloropurine with peracylated psicofuranosyl and fructofuranosyl chlorides, using Yamaoka's procedure, afforded resp. the .beta. and .alpha. anomers of the corresponding purine nucleosides. A similar result was obtained when silylated uracil was reacted with peracylated ketose. The 1st chem. synthesis of 1-.beta.-D-fructofuranosyluracil (I) has also been accomplished from 1-.beta.-D-psicofuranosyluracil (II) via the 2,3'-anhydro deriv.

IT 53263-33-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and conversion of, to fructofuranosyluracil)

RN 53263-33-5 CAPLUS

CN Uridine, 1'-C-(hydroxymethyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 93417-31-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of)

RN 93417-31-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,6-bis-O-(triphenylmethyl)-.beta.-D-psicofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 93417-27-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and debenzoylation of)

RN 93417-27-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,3,4,6-tetra-O-benzoyl-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

PAGE 2-A

IT 93417-33-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deprotection of)

RN93417-33-5 CAPLUS

2,4(1H,3H)-Pyrimidinedione, 1-[1,6-bis-O-(triphenylmethyl)-.beta.-D-CNfructofuranosyl] - (9CI) (CA INDEX NAME)

IT 93417-25-5P 93417-26-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with ammonia)

RN 93417-25-5 CAPLUS

CN 9H-Purine, 6-chloro-9-(1,3,4,6-tetra-O-benzoyl-.beta.-D-piscofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 93417-26-6 CAPLUS

CN 9H-Purine, 6-chloro-9-(1,3,4,6-tetra-O-benzoyl-.alpha.-D-fructofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 1874-54-0P 6936-84-1P 55697-39-7P 93417-28-8P 93417-29-9P

RN

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 6936-84-1 CAPLUS

CN 9H-Purin-6-amine, 9-.alpha.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 55697-39-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-.beta.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 93417-28-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,3,4,6-tetra-O-benzoyl-.alpha.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 93417-29-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-.alpha.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

- T<sub>1</sub>3 ANSWER 83 OF 201 CAPLUS COPYRIGHT 2003 ACS
- 1984:192201 CAPLUS ΑN
- DN 100:192201
- ΤI C-Nucleoside synthesis. 19. Stereocontrolled general synthesis of pyrimidine C-nucleosides having branched-chain sugar moieties
- ΑU Sato, Tsuneo; Watanabe, Makoto; Kobayashi, Hiroshi; Noyori, Ryoji
- CS Dep. Chem., Nagoya Univ., Nagoya, 464, Japan
- SO Bulletin of the Chemical Society of Japan (1983), 56(9), 2680-99 CODEN: BCSJA8; ISSN: 0009-2673
- DTJournal
- LA English
- GI For diagram(s), see printed CA Issue.
- AB Pyrimidine C-nucleosides bearing branched-chain sugars were prepd. via (isopropylidenedioxy)dioxabicyclo[4.2.1]nonanones I (R, R1 = Me, Me; Me, H; pentyl, H; Ph, H) and II (R2, R3 = H, alkyl, Ph, BzOCH2). The general procedure consisted of condensation of I with (Me2N) 2CHOCMe3 to give .alpha.-dimethylaminomethylene lactones, and subsequent base-catalyzed heterocycle formation with urea, thiourea, or guanidine, and acid catalyzed removal of the isopropylidene protective group. The overall transformation proceeded with retention of the stereochem. to afford only C-.beta.-glycosyl nucleosides.
- IT 69471-81-4P 74615-70-6P 74615-72-8P 74615-75-1P 74615-76-2P 89887-62-7P 89887-63-8P 89919-94-8P 89919-96-0P

  - 89919-97-1P 89919-98-2P 89955-12-4P
  - 89955-13-5P
    - RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
- RN69471-81-4 CAPLUS
- 4(1H)-Pyrimidinone, 2,3-dihydro-5-.beta.-psicofuranosyl-2-thioxo- (9CI) CN(CA INDEX NAME)

- 74615-70-6 CAPLUS RN
- CN 2,4(1H,3H)-Pyrimidinedione, 5-(1-deoxy-5-C-methyl-.beta.-psicofuranosyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & Me \\ \hline N & Me \\ \hline O & Me \\ \hline O & HO & OH \\ \end{array}$$

- RN74615-72-8 CAPLUS
- CN 4(1H)-Pyrimidinone, 5-(1-deoxy-5-C-methyl-.beta.-psicofuranosyl)-2,3dihydro-2-thioxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{S} & \mathbf{H} & \mathbf{Me} \\ \mathbf{N} & \mathbf{Me} & \mathbf{Me} \\ \mathbf{CH}_2 - \mathbf{OH} \\ \mathbf{O} & \mathbf{HO} & \mathbf{OH} \\ \end{array}$$

RN 74615-75-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[tetrahydro-3,4-dihydroxy-5-(hydroxymethyl)-1,5-dipentyl-2-furanyl]-, (2.alpha.,3.beta.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

O HO OH 
$$(CH_2)_4$$
 - Me  $(CH_2)_4$  - Me  $(CH_2)_4$  - Me

RN 74615-76-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2,3-dihydro-5-[tetrahydro-3,4-dihydroxy-5-(hydroxymethyl)-1,5-dipentyl-2-furanyl]-2-thioxo-, (2.alpha.,3.beta.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

S 
$$\stackrel{\text{H}}{\text{N}}$$
  $(\text{CH}_2)_4$   $\stackrel{\text{Me}}{\text{N}}$   $(\text{CH}_2)_4$   $\stackrel{\text{CH}_2-\text{OH}}{\text{OH}}$   $(\text{CH}_2)_4$   $\stackrel{\text{Me}}{\text{Me}}$ 

RN 89887-62-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-(1-deoxy-.beta.-psicofuranosyl)- (9CI) (CA INDEX NAME)

RN 89887-63-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-(1-C-pentyl-.beta.-ribofuranosyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{(CH}_2\text{)}_4\text{-Me} \\ \text{HO-CH}_2 & \text{O} & \text{NH} \\ \text{HO} & \text{OH} & \text{H} \end{array}$$

RN 89919-94-8 CAPLUS

CN 4(1H)-Pyrimidinone, 2,3-dihydro-5-(1-C-pentyl-.beta.-ribofuranosyl)-2-thioxo-(9CI) (CA INDEX NAME)

HO-
$$CH_2$$
 OH OH NH

RN 89919-96-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-(1-C-pentyl-.beta.-ribofuranosyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HO-CH<sub>2</sub> O 
$$(CH_2)_4$$
-Me

HO OH  $NH_2$ 

# ● HCl

RN 89919-97-1 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-(1-deoxy-5-C-methyl-.beta.-psicofuranosyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{H_2N} & \mathbf{Me} & \mathbf{Me} \\ \mathbf{HN} & \mathbf{O} & \mathbf{Me} \\ \mathbf{CH_2} - \mathbf{OH} \\ \mathbf{O} & \mathbf{HO} & \mathbf{OH} \\ \end{array}$$

## ● HCl

RN 89919-98-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-[tetrahydro-3,4-dihydroxy-5-(hydroxymethyl)-1,5-dipentyl-2-furanyl]-, monohydrochloride, (2.alpha.,3.beta.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

HC1

RN89955-12-4 CAPLUS

CN 4(1H)-Pyrimidinone, 5-(1-deoxy-.beta.-psicofuranosyl)-2,3-dihydro-2-thioxo-(9CI) (CA INDEX NAME)

89955-13-5 CAPLUS RN

CN4(1H)-Pyrimidinone, 2-amino-5-(1-deoxy-.beta.-psicofuranosyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L3 ANSWER 84 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1984:3307 CAPLUS

DN 100:3307

Induction of bacterial differentiation by adenine and adenosine analogs ΤI and inhibitors of nucleic acid synthesis

ΑU

Zain-ul-Abedin; Lopez, Juan M.; Freese, Ernst Lab. Mol. Biol., Natl. Inst. Neurol. Commun. Disord. Stroke, Bethesda, MD, CS 20205, USA

so Nucleosides & Nucleotides (1983), 2(3), 257-74 CODEN: NUNUD5; ISSN: 0732-8311

DT Journal

English LΑ

Several adenine or adenosine analogs, which inhibited growth and decreased the intracellular GTP pool, induced sporulation of Bacillus subtilis. inducers were added to cultures growing in a medium contg. excess NH4+, glucose, and phosphate in which cells normally cannot differentiate. They included compds. modified in the ribose unit (decoyinine, psicofuranine, cordycepin) or substituted within the purine ring or at the N6-position of adenosine (6-methylaminopurine, zeatin, 6-anilinopurine, formycin). Their effects on the cellular concn. of nucleotides were also measured. All the sporulation inducers except formycin A caused a decrease in GMP, GDP, and GTP, some by inhibiting IMP dehydrogenase and others by inhibiting GMP synthetase. In contrast, formycin A caused an increase in GMP, whereas GDP and GTP decreased. Therefore, the compd. (signal) controlling sporulation is GDP or GTP but not GMP. Antibiotics inhibiting growth by direct inhibition of nucleic acid synthesis did not induce sporulation.

IT 1874-54-0

RL: BIOL (Biological study)
 (bacterial differentiation induction by)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 85 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1983:207883 CAPLUS

DN 98:207883

TI X-ray crystallographic studies of nucleoside analogs. III. The crystal structure of 1-(2-.beta.-D-psicofuranosyl)cytosine dihydrate, C10H15N3O6.2H2O

AU Gurskaya, G. V.; Dzhavadova, G. M.; Zavgorodnii, S. G.; Tsilevich, T. L.; Gottikh, B. P.

CS Inst. Mol. Biol., Moscow, B-334, USSR

SO Crystal Structure Communications (1982), 11(4, Pt. A), 1259-64 CODEN: CSCMCS; ISSN: 0302-1742

DT Journal

LA English

The title compd. is orthorhombic, space group P21212, with a 7.719(3), b 24.691(3), and c 7.010(1) .ANG.; Z = 4. The structure was solved by direct methods and refined by full-matrix least squares to a final R = 0.040. At. coordinates are given. Bond lengths and angles are compared to those of .alpha.- and .beta.-cytidine. The cytosine is nearly planar. The presence of a hydroxymethyl group on the ribose group does not cause any basic conformational changes.

IT 85877-85-6

RL: PRP (Properties) (structure of)

RN 85877-85-6 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-psicofuranosyl-, dihydrate (9CI) (CA INDEX NAME)

# ●2 H<sub>2</sub>O

ANSWER 86 OF 201 CAPLUS COPYRIGHT 2003 ACS L3 AN 1982:563405 CAPLUS DN 97:163405 ΤI Synthesis of psicofuranine cyclic 4',6'-monophosphate ΑU Sturm, Priscilla A.; Reist, Elmer J.; Miller, Jon P. CS Bio-Org. Chem. Lab., SRI Int., Menlo Park, CA, 94025, USA SO Journal of Organic Chemistry (1982), 47(22), 4367-70 CODEN: JOCEAH; ISSN: 0022-3263 DTJournal English ĽΑ GΙ

$$O - CH_2$$
 $O - CH_2$ 
 $O - CH_2$ 

AB Psicofuranine cyclic monophosphate I was prepd. from psicofuranine II by phosphorylation with POCl3 followed by cyclization with DCC in refluxing pyridine. This is the first nucleotide synthesis of the acid and alk. labile nucleoside, psicofuranine, as well as the first prepn. of an analog of the key hormonal regulator, adenosine cyclic 3',5'-monophosphate, with modification at the C-1' functionality.

IT 16638-76-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of)

RN 16638-76-9 CAPLUS

CN 9H-Purin-6-amine, 9-(6-O-phosphono-.beta.-D-psicofuranosyl)- (9CI) (CF INDEX NAME)

## Absolute stereochemistry.

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L3
     ANSWER 87 OF 201 CAPLUS COPYRIGHT 2003 ACS
AN
     1982:69361 CAPLUS
DN
     96:69361
TI
     New synthesis of antibiotic psicofuranine
ΑU
     Aleksandrova, L. A.; Lichtenthaler, F. W.
CS
     Inst. Mol. Biol., Moscow, 117984, USSR
     Nucleic Acids Symposium Series (1981), 9, 263-6
SO
     CODEN: NACSD8; ISSN: 0261-3166
DT
     Journal
LA
     English
AΒ
     Psicofuranine (angustmycin C, 6-amino-9-.beta.-D-psicofuranosylpurine) and
     its .alpha.-anomer were obtained with a total yield of 64% by condensation
     of bis(trimethylsilyl)-N6-benzoyladenine with 1,2,3,4,6'-penta-O-
     benzoylpsicofuranine followed by deblocking provided both anomers of
     psicofuranine in a .alpha.:.beta. = 1:2 ratio.
IT
     80614-91-1P 80614-92-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. and debenzylation of)
     80614-91-1 CAPLUS
RN
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9H-Purin-6-amine, 9-(1,3,4,6-tetra-O-benzoyl-.beta.-D-psicofuranosyl)-

# Absolute stereochemistry.

(9CI)

CN

(CA INDEX NAME)

RN 80614-92-2 CAPLUS CN 9H-Purin-6-amine, 9-(1,3,4,6-tetra-O-benzoyl-.alpha.-D-psicofuranosyl)-(9CI) (CA INDEX NAME) Absolute stereochemistry.

IT 1874-54-0P

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, from adenine deriv. and psicofuranose pentabenzoate

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L3 ANSWER 88 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1981:596958 CAPLUS

DN 95:196958

TI Some newer antibiotics

AU Bhusari, Kishore P.

CS Dep. Pharm. Sci., Nagpur Univ., Nagpur, 440010, India

SO Indian Journal of Hospital Pharmacy (1981), 18(4), 122-5 CODEN: IJHPBU; ISSN: 0019-526X

DT Journal; General Review

LA English

AB A review with 37 refs. on albomycin [1414-39-7], psicofuranine [ 1874-54-0], phleomycin [11006-33-0], xanthomycin [13040-98-7], and aurantin [12619-61-3].

IT 1874-54-0

RL: BIOL (Biological study))

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 89 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1981:587572 CAPLUS

DN 95:187572

TI A novel type of anhydronucleosides to model syn conformers of natural nucleosides

AU Zavgorodny, Sergey G.

CS Inst. Mol. Biol., Moscow, 117 984, USSR

SO Tetrahedron Letters (1981), 22(31), 3003-6 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

GΙ

### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The anhydronucleoside I with syn-orientation of the base, was prepd. by sequential mercuration, iodination, and cyclization of the corresponding cytosine II. The 3-step prepn. of the adenine anhydronucleoside III from psicofuranine is also reported.

IT 1874-54-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (acetylation of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

IT 53318-75-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (mercuration and iodination of)

RN 53318-75-5 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 79060-74-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and bromination of)

RN 79060-74-5 CAPLUS

CN 9H-Purin-6-amine, 9-(1,3,4,6-tetra-O-acetyl-.beta.-D-psicofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 79060-72-3P 79060-76-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, anhydronucleoside by)

RN 79060-72-3 CAPLUS

CN 9H-Purin-6-amine, 8-bromo-9-(1,3,4,6-tetra-O-acetyl-.beta.-D-psicofuranosyl)- (9CI) (CA INDEX NAME)

RN 79060-76-7 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-5-iodo-1-.beta.-D-psicofuranosyl- (9CI) (CA

INDEX NAME)

ANSWER 90 OF 201 CAPLUS COPYRIGHT 2003 ACS L3

AN 1981:578693 CAPLUS

DN 95:178693

Liquid crystalline substituted 4-[trans-4-n-alkylcyclohexanoyloxy]-trans-n-ΤI alkylcyclohexane or 4-[trans-4-n-alkylcyclohexanoyloxy]-3-substitutedbenzoyloxy-[trans-4-n-alkylcyclohexane]

Schubert, Herrmann; Deutscher, Hans Joachim; Kresse, Horst; Demus, IN Dietrich; Altmann, Heinz; Koerber, Marlies; Boettger, Ute

VEB Werk fuer Fernsehelektronik, Ger. Dem. Rep.; VEB Kombinat PAMikroelektronik

Ger. Offen., 22 pp. SO

CODEN: GWXXBX

DT Patent

T.A German

FAN.CNT 2				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3034222	A1	19810402	DE 1980-3034222	19800911
DD 146041	$\mathbf{z}$	19810121	DD 1979-215743	19790924
PRAI DD 1979-215742		19790924		
DD 1979-215743		19790924		
~-				

$$R - \left( \begin{array}{c} CO_2 - \left( \begin{array}{c} \\ \\ \\ \\ \end{array} \right) \\ CO_2 - \left( \begin{array}{c}$$

4-[trans-4-Alkylcyclohexanoyloxy]-trans-alkylcyclohexanes or AB4-[trans-4-alkylcyclohexanoyloxy]-3-substituted benzoyloxy-trans-4alkylcyclohexanes (I; R, R1 = C1-10 alkyl; R2 = H, Me, Et, Cl, Br; l = 0, 1) are described for use in nematic liq. crystal compns. for electrooptical display devices. Thus, trans-4-propylcyclohexyl trans-4-pentylcyclohexanecarboxylate (prepd. by treating trans-4-propylcyclohexanol with trans-4-pentylcyclohexanecarbonyl chloride at 0-60.degree. in the presence of a dry base) was in the cryst. solid state at 23-29.degree., the smectic state at 37.5.degree., and the nematic state at 52.5.degree..

Ι

IT 1874-54-0 53318-75-5

RL: PRP (Properties)

(liq. cryst. properties of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 53318-75-5 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 91 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1981:551075 CAPLUS

DN 95:151075 --

TI New type of anhydronucleosides modeling syn-conformers of natural nucleosides

AU Zavgorodnii, S. G.

CS Inst. Mol. Biol., Moscow, USSR

SO Doklady Akademii Nauk SSSR (1981), 257(1), 117-19 [Chem.] CODEN: DANKAS; ISSN: 0002-3264

DT Journal

LA Russian

GI

# \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 1-(.beta.-D-Psicofuranosyl)cytosine (I, R = H) was treated with Hg acetate with subsequent iodomercuration to give 75% I (R = iodo) which was easily cyclized by KOCMe3 in Me2SO at 60.degree. to give 60% anhydrocytosine II. Acetylation of III (R = R1 = H) gave 93% III (R = Ac, R1 = H) which was brominated to give 63% III (R = Ac, R1 = Br) which was cyclized at room temp. by methanolic ammonia to give 51% IV.

IT 1874-54-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and acetylation of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 79060-74-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and bromination of)

RN79060-74-5 CAPLUS

9H-Purin-6-amine, 9-(1,3,4,6-tetra-O-acetyl-.beta.-D-psicofuranosyl)-CN(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 79060-72-3P 79060-76-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and cyclization of)

RN 79060-72-3 CAPLUS

CN9H-Purin-6-amine, 8-bromo-9-(1,3,4,6-tetra-0-acetyl-.beta.-Dpsicofuranosyl) - (9CI) (CA INDEX NAME)

RN 79060-76-7 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-5-iodo-1-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

$$H_2N$$
  $N$   $O$   $CH_2-OH$   $O$   $CH_2-OH$   $O$   $OH$ 

IT 53318-75-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and iodination of)

RN 53318-75-5 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 92 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1981:192629 CAPLUS

DN 94:192629

TI Effect of the structure of the glycon on the acid-catalyzed hydrolysis of adenine nucleosides

AU York, J. Lyndal

CS Dep. Biochem., Univ. Arkansas Med. Sci., Little Rock, AR, 72205, USA

SO Journal of Organic Chemistry (1981), 46(10), 2171-3 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

The second order rate consts. were detd. for the acid-catalyzed hydrolysis at 40.degree. of 10 adenine furanosides and 1 pyranoside. Lability of the glycosyl-adenine bond was correlated with the configuration of the adenine with respect to the 2' and/or 3' hydroxyls, the sterically unfavorable all cis arrangement being most labile. Removal of the 2', 3', or 5' hydroxyls increases the rate of hydrolysis. A reverse D solvent isotope effect was obsd. for the anomeric 2'-deoxyribonucleosides. The entropy of activation was + 1.16 eu and + 4.39 eu for the furanoside and pyranoside of .beta. and .alpha.-2'-deoxyribosyladenine, resp. The data are consistent with the A-1 mechanism of hydrolysis.

IT 1874-54-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (acid-catalyzed hydrolysis of, kinetics of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 93 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1981:140086 CAPLUS

DN 94:140086

TI C-Nucleoside synthesis. 13. Synthesis of 4'-hydroxymethylated pyrimidine ribo-C-nucleosides

AU Sato, T.; Noyori, R.

CS Dep. Chem., Nagoya Univ., Nagoya, 464, Japan

SO Tetrahedron Letters (1980), 21(26), 2535-8

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

GI

AB The [3+4] reductive cyclocoupling of (Br2CH)2CO and furfuryl acetate gave the bicyclic ketone I, which underwent sequential isopropylidenation, deacetylation, silylation, Baeyer-Villiger oxidn., benzoylation and condensation with Me3COCH(NMe2)2 to give the lactone II. II underwent

cyclization with urea, thiourea, and guanidine followed by deprotection to give the nucleosides III (R = H, R1R2 = O, S; RR1 = bond, R2 = NH2, resp.).

IT 76945-89-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 76945-89-6 CAPLUS

4(1H)-Pyrimidinone, 2,3-dihydro-5-.beta.-L-psicofuranosyl-2-thioxo- (9CI) CN (CA INDEX NAME)

L3 ANSWER 94 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1980:560970 CAPLUS

DN93:160970

Adenosine receptor activation in human fibroblasts: nucleoside agonists TIand antagonists

ΑU Bruns, Robert F.

Dep. Neurosci., Univ. California, La Jolla, CA, 92093, USA CS

Canadian Journal of Physiology and Pharmacology (1980), 58(6), 673-91 SO CODEN: CJPPA3; ISSN: 0008-4212

DT Journal

English LA

AB

Adenosine [58-61-7] (ED50 15 .mu.M) causes a 50-fold increase in intracellular cyclic AMP in the VA13 human fibroblast line. A total of 128 nucleosides was tested as agonists and antagonists. Eight classes of compds. were found: full agonists (14 compds.), weak agonists (20), high-efficacy partial agonists (16), low-efficacy partial agonists (7), competitive inhibitors (11), noncompetitive inhibitors (3), partial agonist - noncompetitive inhibitors (3), and inactive compds. (54). noncompetitive inhibitors antagonized the responses to adenosine, isoproterenol, and prostaglandin E1 and thus may have been adenylate cyclase inhibitors. The most potent noncompetitive inhibitor, 2',5'-dideoxyadenosine [6698-26-6] was a partial inhibitor, reducing the response to isoproterenol by only 77% even at very high concns. The most potent agonists, partial agonists, and pure antagonists had apparent affinities of about 5 .mu.M. Although all positions were important for affinity at the adenosine receptor, only the 3'- and 5'-positions and to a much lesser extent the 6- and 8-positions had an effect on efficacy. The receptor tolerated bulky groups at the 6-position of adenosine, had an Et-sized pocket near the 5'-position, and had little bulk tolerance towards modifications at other positions. Among the full agonists, only one 5'-deriv. and one 2-position deriv. had higher apparent affinity than adenosine. Studies with conformationally restricted agonists and antagonists showed that adenosine must be in the anti conformation in order to bind to the receptor.

IT 1874-54-0

RL: BIOL (Biological study)

(adenosine receptor response to, structure in relation to)

RN 1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

L3 ANSWER 95 OF 201 CAPLUS COPYRIGHT 2003 ACS 1980:495564 CAPLUS AN DN 93:95564 TI C-Nucleoside synthesis. 12. Stereocontrolled synthesis of 1',4'-dialkylated pyrimidine ribo-C-nucleosides Sato, Tsuneo; Watanabe, Makoto; Noyori, Ryoji ΑU Dep. Chem., Nagoya Univ., Nagoya, 464, Japan CS SO Chemistry Letters (1980), (6), 679-82 CODEN: CMLTAG; ISSN: 0366-7022 Journal DT LA English GI

CN 2,4(1H,3H)-Pyrimidinedione, 5-(1-deoxy-5-C-methyl-.beta.-psicofuranosyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & \text{Me} \\ \hline \text{N} & \text{Me} \\ \hline \text{O} & \text{CH}_2 - \text{OH} \\ \hline \end{array}$$

RN 74615-72-8 CAPLUS

CN 4(1H)-Pyrimidinone, 5-(1-deoxy-5-C-methyl-.beta.-psicofuranosyl)-2,3-dihydro-2-thioxo-(9CI) (CA INDEX NAME)

S H Me 
$$O$$
 Me  $CH_2-OH$ 

RN 74615-73-9 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-[1-deoxy-5-C-methyl-3,4-O-(1-methylethylidene)-.beta.-psicofuranosyl]-, hydrochloride (9CI) (CA INDEX NAME)

$$H_2N$$
  $N$   $Me$   $Me$   $CH_2-OH$   $O$   $OH$ 

## •x HCl

RN 74615-75-1 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[tetrahydro-3,4-dihydroxy-5-(hydroxymethyl)-1,5-dipentyl-2-furanyl]-, (2.alpha.,3.beta.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

O HO OH 
$$(CH_2)_4-Me$$
 $(CH_2)_4-Me$ 
 $(CH_2)_4-Me$ 

N 74615-76-2 CAPLUS

CN

4(1H)-Pyrimidinone, 2,3-dihydro-5-[tetrahydro-3,4-dihydroxy-5-(hydroxymethyl)-1,5-dipentyl-2-furanyl]-2-thioxo-, (2.alpha.,3.beta.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

RN 74615-77-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-[tetrahydro-3,4-dihydroxy-5-(hydroxymethyl)-1,5-dipentyl-2-furanyl]-, hydrochloride, (2.alpha.,3.beta.,4.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

$$H_2N$$
 $N$ 
 $CH_2$ 
 $A-Me$ 
 $CH_2-OH$ 
 $CH_2$ 
 $A-Me$ 
 $CH_2$ 
 $A-Me$ 
 $CH_2$ 
 $A-Me$ 

•x HCl

L3 ANSWER 96 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1980:191969 CAPLUS

DN 92:191969

TI Inhibition of uptake of adenosine into human blood platelets

AU Lips, Joost P. M.; Sixma, Jan J.; Trieschnigg, Annemieke C.

CS Dep. Haematol., Univ. Hosp., Utrecht, Neth.

SO Biochemical Pharmacology (1980), 29(1), 43-50

CODEN: BCPCA6; ISSN: 0006-2952

DT Journal

LA English

GΙ

$$(HOCH_2CH_2)_{2N} \xrightarrow{N} \stackrel{N}{\longrightarrow} N (CH_2CH_2OH)_{2}$$

AB Expts. on the inhibition of adenosine [58-61-7] uptake into human blood platelets by differently substituted purine nucleosides, purines, and analogs, e.g. psicofuranine [1874-54-0], 6,6-N,N-dimethylaminopurine [938-55-6], and RA 233 (I) [13665-88-8], resp., showed that the high and low affinity uptake systems were mainly inhibited by nucleosides and purines, resp. For both uptake systems an intact purine ring system was required. Detailed mol. structure-biol. activity

I

#### 09567863

relations are discussed. The pyrimido pyrimidine drugs I, RA 8 [58-32-2], and RA 433 [13665-58-2] inhibited adenosine transport by the high affinity system.

IT 1874-54-0

RL: PRP (Properties)

(adenosine transport by blood platelet inhibition by, structure in relation to)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

L3 ANSWER 97 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1980:175772 CAPLUS

DN 92:175772

TI Fungicidal compositions containing angustmycin

PA Ajinomoto Co., Inc., Japan

SO Fr. Demande, 9 pp. CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2427787	A1	19800104	FR 1978-17055	19780607
DDAT ED 1070 170FF				

PRAI FR 1978-17055 19780607

AB Angustmycin A [2004-04-8] and/or angustmycin C [1874-54-0] are bactericides and fungicides. Thus, 500 ppm angustmycin C totally prevented the infestation of cucurbits by Pseudomonas lachrymans.

IT 1874-54-0

RL: BIOL (Biological study) (bactericide and fungicide)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 98 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1980:164211 CAPLUS

DN 92:164211

TI C-Nucleoside synthesis. Part VI. A stereocontrolled synthesis of C-4' alkylated pyrimidine C-nucleosides

AU Sato, T.; Watanabe, M.; Noyori, R.

CS Dep. Chem., Nagoya Univ., Nagoya, 464, Japan

SO Tetrahedron Letters (1979), (31), 2897-900

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

GI

AB The bicyclic ketones I (R = Me, pentyl), prepd. by cyclocoupling between (Br2CH) 2CO and 2-methyl- and 2-pentylfuran, resp., underwent stereospecific reaction with Me2CO/CuSO4/p-MeC6H4SO3H to give acetonides II. II on sequential Baeyer-Villiger oxidn., dimethylaminomethylenation, reaction with urea, and hydrolysis gave the pseudouridines. C-1' alkylated C-nucleosides were prepd. analogously but in lower yield. Pseudocytidine and -thiouridine analogs were prepd. by using guanidine and thiourea, resp., in place of urea.

TT 73350-74-0P 73350-75-1P 73350-76-2P 73350-87-5P 73350-88-6P 73350-89-7P

RN 73350-74-0 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-(1-deoxy-.beta.-L-psicofuranosyl)- (9CI) (CA INDEX NAME)

RN 73350-75-1 CAPLUS

CN 4(1H)-Pyrimidinone, 5-(1-deoxy-.beta.-L-psicofuranosyl)-2,3-dihydro-2-thioxo-(9CI) (CA INDEX NAME)

$$S$$
 $H$ 
 $N$ 
 $Me$ 
 $O$ 
 $CH_2-OH$ 
 $O$ 
 $OH$ 

RN 73350-76-2 CAPLUS CN 4(1H)-Pyrimidinone, 2-amino-5-(1-deoxy-.beta.-L-psicofuranosyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{H_2N} & \mathbf{Me} \\ \hline \\ \mathbf{HN} & \mathbf{O} \\ \hline \\ \mathbf{O} & \mathbf{HO} \\ \end{array} \begin{array}{c} \mathbf{OH} \\ \mathbf{OH} \\ \end{array}$$

RN 73350-87-5 CAPLUS CN 2,4(1H,3H)-Pyrimidinedione, 5-(1-C-pentyl-:beta.-L-ribofuranosyl)- (9CI) (CA INDEX NAME)

O HO OH 
$$(CH_2)_4$$
 - Me  $(CH_2)_4$  - Me  $(CH_2)_4$  - OH

RN 73350-88-6 CAPLUS
CN 4(1H)-Pyrimidinone, 2,3-dihydro-5-(1-C-pentyl-.beta.-L-ribofuranosyl)-2-thioxo-(9CI) (CA INDEX NAME)

S 
$$\stackrel{\text{H}}{\text{N}}$$
 (CH<sub>2</sub>)<sub>4</sub>-Me  $\stackrel{\text{O}}{\text{CH}_2}$ -OH OH

● HCl

L3 ANSWER 99 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1980:353 CAPLUS

DN 92:353

TI Coronary vasoactivity of adenosine in the conscious dog

AU Olsson, Ray A.; Khouri, Edward M.; Bedynek, Julius L., Jr.; McLean, John

CS Dep. Cardiorespiratory Dis., Walter Reed Army Inst. Res., Washington, DC, USA

SO Circulation Research (1979), 45(4), 468-78 CODEN: CIRUAL; ISSN: 0009-7330

DT Journal

LA English

AΒ Intracoronary adenosine [58-61-7] infusions into conscious dogs produced half-maximal coronary vasodilation at 0.57 .mu.M, similar activity was shown by 1.01 .mu.M adenosine in open-chest dogs. In both prepns., adenosine at concns. in the range found in cardiac muscle by direct anal. produced coronary vasodilation equal to that attained during a max. reactive hyperemic response. The quant. structure-activity relationship technique was applied to data on the coronary vasoactivity of 68 adenosine analogs to identify the chem. features of this mol. that det. its vasoactivity. These are: (1) the size of the purine base; (2) the inductive effect of the C-2 substituent; (3) the electron-withdrawing effect of the C-6 substituent; (4) the glycosylic torsion angle; (5) the ability of the C-2' and C-3'-hydroxyls to participate in H bonding; (6) the absence of sterically hindering groups in the vicinity of C-2' and, more importantly, C-3'; and (7) the inductive effect of the C-5' substituent. The hydrophobicity of these analogs did not correlate with vasoactivity. The hydrophilicity of the ribose moiety apparently overshadows any hydrophobic influence of the very weakly arom. purine base.

IT 1874-54-0

RL: BIOL (Biological study)

(heart circulation response to, adenosine in relation to)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

=>

L3 ANSWER 150 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1965:419462 CAPLUS

DN 63:19462

OREF 63:3477g-h,3478b

TI Nucleosides. XXV. Chemistry of gougerotin

AU Fox, Jack J.; Kuwada, Yutaka; Watanabe, Kyoichi A.; Ueda, Tohru; Whipple, Earl B.

CS Sloan-Kettering Inst. for Cancer Res., New York, NY

SO Antimicrobial Agents Chemotherapy (1965), Volume Date 1964, (Oct.), 518-29

DT Journal

LA English

AB cf. CA 62, 16357e. The proposed structure for gougerotin as 1-(N-sarcosyl-1-cytosinyl)-3-D-scrylamino-1,3-dideoxy-.beta.-D-allopyranuronamide was shown to be incorrect. The present report establishes the presence in gougerotin of a dipeptide (sarcosyl-D-serine) in acylamino linkages to a 4-amino-4-deoxyhexouronic acid amide of the galactopyranosyl configuration. Thus, all the known pyrimidine nucleoside antibiotics (elaborated by Streptomyces) have several structural features in common: all contain cytosine and 4-aminohexose moieties. Unlike the pyrimidine nucleoside antibiotics, amicetin and blasticidin S, gougerotin was without antitumor activity in several exptl. tumors tested.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(biol. activity of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 151 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1965:417852 CAPLUS

DN 63:17852

OREF 63:3190d-f

TI The mechanism of feedback inhibition of purine biosynthesis de novo in Ehrlich ascites tumor cells in vitro

AU Henderson, J. Frank; Khoo, Mary K. Y.

CS Univ. Alberta Cancer Res. Unit, Edmonton, Can.

SO J. Biol. Chem. (1965), 240(7), 3104-9

DT Journal

LA English

AB In tumor cells which cannot convert hypoxanthine and guanine to ribonucleotides, these purines lack the potent feedback inhibitory activities on the pathway of purine biosynthesis de novo that they express in other tumor cells, providing further evidence that only ribonucleotides are active inhibitors. Partial inhibition of the conversion of inosinate to adenylate partially prevents the expression of feedback inhibitory activity by hypoxanthine, suggesting that inosinate is not itself an active inhibitor. Of a variety of purine analogs shown to inhibit purine biosynthesis de novo, only 2,6-benzylthiopurine and tubercidin do this by

inhibiting the synthesis of 5-phosphoribosylpyrophosphate (PP-ribose-P). A comparison between the feedback inhibitory activity and rate of reaction with PP-ribose-P by purine analogs suggests that purine biosynthesis de novo is not inhibited by diverting PP-ribose-P from this pathway. PP-ribose-P amidotransferase activity in intact tumor cells is measured by detn. of PP-ribose-P levels in the presence of glutamine or NH4Cl. feedback inhibitor, methylthioinosine, inhibits this reaction when glutamine is substrate in a manner similar to that of a known inhibitor of this enzyme, 6-diazo-5-oxo-L-norleucine. Psicofuranine, which is not a feedback inhibitor, has no effect on the activity of this enzyme. NH4Cl is used in place of glutamine, however, psicofuranine is a potent inhibitor, whereas methylthioinosine is inactive. These results in general support the hypothesis of Wyngaarden that PP-ribose-P amidotransferase is the locus of feedback control of purine biosynthesis de novo (W., et al., CA 53, 22156b; McCollister, et al., CA 60, 13487a; Caskey, et al., CA 61, 4646g).

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl(in adenine ribonucleotide formation by carcinoma)
RN 1874-54-0, CAPLUS

RN 1874-54-0 CAPLUS CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3
     ANSWER 152 OF 201 CAPLUS COPYRIGHT 2003 ACS
AN
     1965:68393 CAPLUS
DN
     62:68393
OREF 62:12190g-h
     Biosynthesis of psicofuranine
TI
ΑU
     Sugimori, T.; Suhadolnik, R. J.
     Albert Einstein Med. Center, Philadelphia, PA
CS
     J. Am. Chem. Soc. (1965), 87(5), 1136-7
SO
     CODEN: JACSAT; ISSN: 0002-7863
DT
     Journal
LΑ
     English
     Adenosine does not serve as a direct precursor in the biosynthesis of
AB
     psicofuranine by Streptomyces hygroscopicus, because of lack of
     incorporation of formate-14C into D-psicose. D-Psicose arises from
     glucose or a nucleotide-hexose intermediate.
     1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-
IT
        (formation by Streptomyces hygroscopicus)
RN
     1874-54-0 CAPLUS
     9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)
CN
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L3 ANSWER 153 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1965:24512 CAPLUS

DN 62:24512 OREF 62:4429c-e

TI Metabolism of purine nucleoside analogs

AU LePage, G. A.; Junga, Irene G.

CS Stanford Res. Inst., Menlo Park, CA

SO Cancer Res. (1965), 25, 46-52

DT Journal

LA English
AB A no. o

A no. of expts. were conducted to det. the effects of structural modifications on the cleavage of nucleosides. Some of the adenine nucleosides included in the investigation were substrates for adenosine deaminase. A study of the cleavage of ribosides and 2'-deoxy-ribosides of thioguanine, adenine, and 6-mercaptopurine was made in mouse tissues. Evidence indicated that this was a phosphorylytic cleavage. Changes in the sugar moiety from ribose or 2'-deoxyribose to xylose, arabinose, 3'-deoxyribose, 5'-deoxyallose, or 6'-deoxyallose prevented the cleavage. A shift in the ribose linkage from position 9 to 7 of the purine prevented cleavage, as did esterification of the ribose moiety. The nucleoside phosphorylase was active in both the .alpha. - and .beta. - anomers of 2'-deoxythioguanosine and 2'-deoxyribosyl-6-mercaptopurine. The relative rates of cleavage of these anomers varied with the tissue source. The adenosine deaminase of mouse tissues was active on .beta.-anomers, but not on .alpha.-anomers. Changes in the sugar moiety of adenosine decreased or abolished the adenosine deaminase activity. The Km values were detd. for ribosyl, arabinosyl, and xylosyl adenine. The substrate affinity was of a higher order for ribosyl adenine, and as a result it was demonstrated that ribosyl adenine could be used in combination with xylosyl or arabinosyl adenine to protect the latter 2 analogs from the adenosine deaminase of mouse blood, so that they were able to reach subcutaneous tumors via the circulation and produce inhibitory effects not otherwise possible.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(metabolism of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 154 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1964:477738 CAPLUS

DN 61:77738 OREF 61:13580f-h

TI A separate antibiotic-binding site in xanthosine-5'-phosphate aminase. Inhibitor- and substrate-binding studies

AU Fukuyama, T. T.; Moyed, H. S.

CS Univ. of Southern California, Los Angeles

SO Biochemistry (1964), 3(10), 1488-92

DT Journal

LA Unavailable

AB A direct examn. was made of the interaction between xanthosine-5'phosphate (I) aminase, its inhibitor (the antibiotic psicofuranine (II)),
and its substrates. II binding by the aminase, like II inhibition, was
greatly stimulated by I, in cooperation with one of the products, inorg.
pyrophosphate. The inhibited complex contained equimolar amts. of I,
pyrophosphate, and II. Elevated levels of adenosine triphosphate or NH3,
the amino donor for the aminase, reduced inhibitory effect of II but not
its binding to the enzyme. It is likely that the antagonistic effect of
these substrates was indirect, the result of more rapid depletion of I, a
compd. necessary for full expression of the inhibitory action of II. The
binding studies showed that the primary interaction of the aminase with II
was a noncompetitive process. This suggested that II was recognized by a
special site or area of the aminase whose normal function was the
recognition of a metabolic regulator.

IT **1874-54-0**, Adenine, 9-.beta.-D-psicofuranosyl-(guanylic synthetase binding of, site for)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 155 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1964:463475 CAPLUS

DN 61:63475

OREF 61:11050h,11051a-b

#### 09567863

TI Inhibition of ribosephosphate pyrophosphokinase activity by decoyinine, an adenine nucleoside

AU Bloch, Alexander; Nichol, Charles A.

CS Roswell Park Mem. Inst., Buffalo, NY

SO Biochem. Biophys. Res. Commun. (1964), 16(5), 400-3

DT Journal

LA Unavailable

The antibiotics decoyinine [9-.beta.-D-(5,6-psicofuranoseenyl)-6-AB aminopurine] and psicofuranine (9-.beta.-psicofuranosyl-6-aminopurine) inhibited by 50% the growth of Streptococcus faecalis grown in a medium lacking purines and pyrimidines at concns. of 5 .times. 10-6 and 6 .times. 10-7 M, resp. This inhibition could not be prevented by glucose, amino acids, or vitamins. Decoyinine and psicofuranine were not subject to deamination by adenosine deaminase or to phosphorolysis by adenosine phosphorylase. Both antibiotics inhibited the conversion of xanthosine phosphate to guanosine phosphate in cell-free exts. of S. faecalis. When such an ext. was incubated with ribose 5-phosphate, adenosine tri-phosphate (ATP), and radioactive guanine (or adenine), the corresponding ribonucleotides were formed. Decoyinine in-hibited their formation. However, when 5-phosphoribosyl 1-pyrophosphate was added to the ext. contg. the radioactive base, the nucleoside monophosphate was formed readily both in the presence and absence of decoyinine. Nucleoside kinase activity was not detectable and there was no chromatographic evidence for the conversion of decoyinine to its nucleotides. Therefore, it is unlikely that the conversion of the labeled bases to the nucleotides proceeded via the nucleoside phosphorylase and kinase pathway. Guanine or its nucleosides prevented the inhibitory effect of decoyinine and psicofuranine on the growth of S. faecalis in a medium free of exogenous pyrimidines. Thus, the biosynthesis of orotidylate is not crit. limited by these antibiotics. Decoyinine and psicofuranine may act by occupying the ATP site in some reactions involving pyrophosphate cleavage from ATP. IT

1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(ribosephosphate pyrophosphokinase inhibition by)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3 ANSWER 156 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1964:456100 CAPLUS

DN 61:56100

OREF 61:9764g-h

TI Improved assay for psicofuranine

AU Hanka, L. S.; Burch, M. R.

CS Upjohn Co., Kalamazoo, MI

SO Antibiot. Chemotherapy (1960), 10(8), 484-7 From: Anal. Abstr. 8(5), Abstr. No. 2128(1961).

DT Journal

LA Unavailable

Unsatisfactory results by the diskplate microbiol. assay described AB previously (CA 53, 22215d) are attributed to the presence of an inhibitory substance in the liver ext. included in the medium. The synthetic medium recommended gives satisfactory responses with Staphylococcus aureus FDA-209P at 10-80 .gamma. psicofuranine/ml.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(detn. of, synthetic medium for)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L3 ANSWER 157 OF 201 CAPLUS COPYRIGHT 2003 ACS

1964:426553 CAPLUS AN

DN 61:26553

OREF 61:4645e-f

Desensitization of guanosine-5'-phosphate synthetase to inhibition by an antibiotic

ΑU Kuramitsu, Howard; Moyed, H. S.

CS Univ. of Southern California, Los Angeles

Biochim. Biophys. Acta (1964), 85(3), 504-6 SO

DT -Journal

LA English

Psicofuranine (I) (9-D-psicofuranosyl-6-aminopurine) acts by inhibiting AΒ guanosine monophosphate synthetase (xanthosine-5'-phosphate:ammonia ligase). The interaction of the enzyme from Escherichia coli with I is noncompetitive and readily reversible (suggesting a reaction at other than the active site). A parental synthetase and a mutant synthetase were rendered less sensitive to 2 .times. 10-5M I by 2M urea, 10mM mercaptoethanol, and 40 vol. % ethylene glycol. Desensitization and enzyme inactivation by the reagents were reversible by diln. The parental synthetase was less readily desensitized than the mutant enzyme. The reagents likely modify the enzymes. The I site is likely distinct from the substrate sites.

1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-IT (guanylic synthetase inhibition by, effect of ethylene glycol, mercaptoethanol and urea on)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

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L3 ANSWER 158 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1964:91224 CAPLUS

DN 60:91224 OREF 60:15976f-q

TI 9-D-Psicofuranosylpurine derivatives

IN Bannister, Brian

PA Upjohn Co.

SO 4 pp.

DT Patent

LA Unavailable

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 3126372 19640324 US 19590126

6-Tritylamino-9-D-psicofuranosylpurine 1',6'-ditrityl ether (I) was prepd. from a soln. of 3.81 g. 6-amino-9-D-psicofuranosylpurine in 180 ml. of anhyd. pyridine by treating with 13.8 g. Ph3CBr. The soln. was heated and kept at room temp.; the soln. was treated with 25 ml. of ice H2O. After standing at room temp. for 1 hr. the solvents were evapd. at 20.degree./<1 mm. The residue was dissolved in CHCl3 and washed 3 times with H2O before being dried over anhyd. Na2SO4. The soln. was filtered and the filtrate evapd. to dryness at 30.degree./15 mm. The oily residue was dissolved in 90 ml. C6H6 and the soln. was cooled. The cryst. material was sepd., washed with C6H6, and dried to give 0.896 g. material, m. 242-4.degree.. The filtrate was evapd. to dryness at 30.degree./15 mm. The oily residue ( 16.0 g.) was dissolved in C6H6 and chromatographed on Mg silicate to give I, m. 250-50.5.degree. (C6H6).

IT 99035-92-4, 9H-Purine, 9-D-psicofuranosyl-(derivs.)

RN 99035-92-4 CAPLUS

CN 9H-Purine, 9-D-psicofuranosyl- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 159 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1964:91223 CAPLUS

DN 60:91223 OREF 60:15976c-f TΤ 6-Amino-9-D-psicofuranosylpurine derivatives

Schroeder, William; Lewis, Charles; Hoeksema, Herman; Eble, Thomas E.; Bannister, Brian

PΑ Upjohn Co.

SO 5 pp.

DT Patent

PΙ

LA Unavailable

> PATENT NO. KIND DATE APPLICATION NO. DATE ---------------US 3125567 19640317 19590126

GI For diagram(s), see printed CA Issue.

AΒ 6-Amino-9-D-psicofuranosylpurine (I) 3'- and 4'-acylates and 3',4'-diacylates were prepd. Thus, 6-tritylamino-9-D-psicofuranosylpurine 1',6'-ditrityl ether (II) was prepd. by treating a soln. of 3.81 g. I in 180 ml. anhyd. pyridine with 13.8 g. Ph3CBr. After heating on a steam bath for 3 hrs. and standing overnight, the soln. was treated with 25 ml. of ice H2O and the mixt. allowed to stand for 1 hr. before removing the solvents at 20.degree. <1 mm. The residue was dissolved in CHCl3 and washed 3 times with H2O before being dried over anhyd. Na2SO4. The dried soln. was filtered and the filtrate evapd. to dryness at 30.degree./15 mm. The oily residue (16.9 g.) was dissolved by warming in 90 ml. C6H6 and the soln. cooled. The cryst. material which sepd. was filtered, washed in C6H6 and dried to give II, m. 242.degree.. The filtrate was evapd. at 30.degree./15 mm. and the residue chromatographed on Mg silicate to give II, m. 250-50.5.degree.. II was kept with Ac20-pyridine 5 days at 18-20.degree. to give II 3',4'-diacetate, which was hydrogenolyzed with Pd-C to I 3',4'-diacetate. In similar manner were prepd. 6-amino-9-D-psicofuranosylpurine 3'-benzoate and 6-amino-9-D-psicofuranosylpurine 4'-benzoate, 6-amino-9-Dpsicofuranosylpurine 3'-benzoate 4'-acetate, and 1-benzol-6-benzoylimino-1,6-dihydro-9-D-psicofuranosylpurine 1',3',4',6'-tetrabenzoate, m. 157-9.degree..

TΤ 13019-86-8, Adenine, 9-D-psicofuranosyl- 99035-92-4, 9H-Purine, 9-D-psicofuranosyl-

(derivs.) RN

13019-86-8 CAPLUS CN

9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 99035-92-4 CAPLUS

9H-Purine, 9-D-psicofuranosyl- (7CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 98346-17-9 CAPLUS CN Adenine, 9-D-psicofuranosyl-, 4'-benzoate (7CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 98346-18-0 CAPLUS CN Adenine, 9-D-psicofuranosyl-, 3'-benzoate (7CI) (CA INDEX NAME)

## 09567863

RN 100301-47-1 CAPLUS

CN Adenine, 9-D-psicofuranosyl-, 4'-acetate 3'-benzoate (7CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 101632-64-8 CAPLUS

CN Adenine, 9-(1,6-di-O-trityl-D-psicofuranosyl)-N-trityl-, 3',4'-diacetate (7CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 104218-40-8 CAPLUS

CN Adenine, N,1-dibenzoyl-9-D-psicofuranosyl-, 1',3',4',6'-tetrabenzoate (7CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

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L3
     ANSWER 160 OF 201 CAPLUS COPYRIGHT 2003 ACS
AN
     1964:91222 CAPLUS
DN
     60:91222
OREF 60:15976a-c
TI
     N3-Glycosyluracils
IN
     Naito, Takeo; Sano, Mitsushi
PA
     Daiichi Seiyaku Co., Ltd.
SO
     3 pp.
DT
     Patent
LA
     Unavailable
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                                           -----
ΡI
     JP 39002878
                            19640319
                                           JP
                                                            19610119
    D-Glucopyranosylurea (10 parts) is kept with 50 parts Ac20 and 100 parts
-AB
     pyridine at room temp. for 4 days to give 13 parts tetra-O-acetyl-D-
     glucopyranosylurea (I), m. 95.degree. (EtOH). Similarly is prepd.
     tetra-O-acetyl-D-glucopyranosylthiourea, needles, m. 171-3.degree.
     (AcOEt). Well-pulverized I (5.0 parts) is kept in a desiccator with 3.2
     parts Et .beta.,.beta.-diethoxypropionate, 4 parts EtOH, and 4 drops
     concd. H2SO4 for 1 week, the resulting mass pulverized, heated with 4
     parts NaOH and 100 parts H2O on a steam bath for 10 min., treated with
     Amberlite IR-120, and chromatographed on cellulose powder to give 0.6 part
     3-D-glucopyranosyluracil, needles, m. 243-4.degree. (decompn.) (dil.
     EtOH). Similarly prepd. are 3-(D-glucopyranosyl)-2-thiouracil, columns,
     m. 213-14.degree. (decompn.) (dil. EtOH), 3(D-glucopyranosyl)-2-
     thiothymine, columns, m. 222.degree. (decompn.) (EtOH), and
     3-(D-ribofuranosyl)-2-thiouracil, columns, m. 187.degree. (decompn.) (dil.
    EtOH). The products are useful as intermediates for the manuf. of
     anticancer drugs. Cf. preceding abstr.
    13019-86-8, Adenine, 9-D-psicofuranosyl-
IT
        (derivs.)
     13019-86-8 CAPLUS
RN
    9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)
CN
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L3 ANSWER 161 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1964:17187 CAPLUS

DN 60:17187

OREF 60:3083d-h,3084a-b TIPurine ketosides

PA Upjohn Co.

SO 15 pp.

DT Patent

LA Unavailable

> PATENT NO. KIND DATE APPLICATION NO. DATE

ΡI GB 938805 19631009 GB

PRAI US 19590126

For diagram(s), see printed CA Issue. GΙ AB

Purine ketosides (I and II) possess valuable therapeutic activity as antibacterial and antitumor agents. 6-Hydroxy-9-D-psicofuranosylpurine (II, R' = H, XR = OH) exhibits activity in vivo against Streptococcus pyogenes and 6-mercapto-9-D-psicofuranosylpurine (II, R' = H; XR = SH) is active in vivo against sarcoma 180. The starting compd. in the above prepn. is 6-amino-9-D-psicofuranosylpurine (III) (Eble, et al., CA 53, 22215d). II (R' = H,  $\overline{X}R$  = OH) was prepd. by treating III with HNO2 and allowing the diazo compd. to decomp. without isolation. The corresponding acyl compds. were prepd. by acylation of II (R' = H, XR = OH) with Ac2O or acyl halide in the presence of a base like pyridine or quinoline. The corresponding thio compds. (II, R' = H, XR = SH) were prepd. by treating II (R' = H, XR = OH) with P2S5 in the presence of a tertiary base. II (R' = H, XR = SH) were treated with an amine HNR2R3 (R2 = R3 = alkyl, aryl, or aralkyl groups) to give II (R' = H, XR = NR2R3) according to the method of Albert and Brown (CA 50, 15539c). Reaction of I or II (R' = H) with 2 molar proportions of trityl chloride or bromide in the presence of a tertiary amine yielded the corresponding 1',6'-ditrityl ethers. The trityl ether was acylated to the corresponding 3'- and 4'-monoacylates, and 3',4'-diacylates. I or II (R' = acyl) were also prepd. by treating a halomercuri deriv. of purine (IV) with a D-psicofuranosyl halide tetraacetate at 50-150.degree. in an inert solvent. I were prepd. from the corresponding thio compds. by treatment with Raney Ni. To a mixt. of 20 g. III and 84 g. barium nitrite in 2000 ml. H2O, maintained at 25.degree., was added 40 ml. HOAc, the mixt. left at 25.degree. for 24 hrs., and then treated with 52 g. anhyd. Na2SO4. The mixt. was filtered, the filtrate adjusted to pH 7, stirred with 100 g. activated C for 2 hrs., and the C filtered off. The C was extd. with hot 90% acetone for 10 min., filtered, and the filtrate concd. to 125 ml. to yield 6-hydroxy-9-Dpsicofuranosylpurine (II, R' = H, XR = OH), m. 162.degree. (H2O). Crude II(R' = H, XR = OH), obtained from 5 g. III, after drying, was dissolved in 200 ml. anhyd. pyridine and acetylated with Ac20 to yield II (R' = Ac, XR = OH), m. 216-17.degree.. II (9 g.) (R' = Ac, XR = OH), 14.03 g. P2S5, 250 ml. anhyd. pyridine, and 2.5 ml. H2O was refluxed for 4 hrs. to give 5.4 g. 6-mercapto-9-D-psicopyranosylpurine tetraacetate (II, R' = Ac, XR -

IT

RN

CN

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SH), m. 227-30.degree. (MeCOEt-abs. EtOH-Et20). Similarly,
6-mercapto-9-D-psicofuranosylpurine tetrabenzoate was prepd. from II (R' =
Bz, XR = OH). A soln. of 3 g. II(R' = Ac, XR = OH) in 250 ml. MeOH
previously satd. with NH3 at 0.degree. was maintained at 4.degree. for 16
hrs., and then evapd. to dryness in vacuo. The residue crystd. from 16
ml. H2O to yield 6-mercapto-9-D-psicofuranosylpurine (II, R' = H, XR =
SH), m. 155-8.degree.; methylation with MeI-NaOH gave II (R' = H, XR =
SMe), m. 98-102.degree. (H2O). A mixt. of 3.28 g. II (R' = H, XR = SMe)
and 100 ml. anhyd. pyridine treated with Ac20 gave 6-methylthio-9-D-
psicofuranosylpurine tetraacetate (II, R' = Ac, XR = SMe). A soln. of 3.2
g. II (R' = H, XR = SMe) in 100 ml. MeOH was stirred, and refluxed with 10
g. Raney Ni for 1 hr. to yield cryst. monohydrate of I (R' = H), m.
96-100.degree.. The corresponding tetraacetate was prepd. A soln. of 1.1
g. II (R' = H, XR = SMe) in 10 ml. MeOH contg. 1 g. NHMe2 was heated at
150.degree. for 1 hr. in a sealed tube to yield monohydrate of
6-dimethylamino-9-D-psicofuranosylpurine (II, R' = H, XR = NMe2), m.
159-61.degree.. Similarly was prepd. 6-diethylamino-9-D-
psicofuranosylpurine, 6-isopropylamino-9-D-psicofuranosylpurine,
6-diisobutylamino-9D-psicofuranosylpurine, 6-benzylamino-9-D-
psicofuranosylpurine, and 6-anilino-9-D-psicofuranosylpurine.
96079-17-3, Adenine, N, N-dimethyl-9-D-psicofuranosyl-
99035-92-4, 9H-Purine, 9-D-psicofuranosyl- 99035-98-0,
9H-Purine-6-thiol, 9-D-psicofuranosyl- 99828-28-1, Hypoxanthine,
9-D-psicofuranosyl- 106360-24-1, Hypoxanthine,
9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate 106740-73-2,
9H-Purine-6-thiol, 9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate 1067
43-43-5, 9H-Purine-6-thiol, 9-D-psicofuranosyl-, 1',3',4',6'-
tetrabenzoate 107628-81-9, 9H-Purine, 6-(methylthio)-9-D-
psicofuranosyl-, tetraacetate 107781-63-5, Hypoxanthine,
9-D-psicofuranosyl-, 1',3',4',6'-tetrabenzoate
   (prepn. of)
96079-17-3 CAPLUS
Adenine, N, N-dimethyl-9-D-psicofuranosyl- (7CI) (CA INDEX NAME)
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Absolute stereochemistry.

RN 99035-92-4 CAPLUS CN 9H-Purine, 9-D-psicofuranosyl- (7CI) (CA INDEX NAME)

RN 99035-98-0 CAPLUS

N 9H-Purine-6-thiol, 9-D-psicofuranosyl- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 99828-28-1 CAPLUS

CN Hypoxanthine, 9-D-psicofuranosyl- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 106360-24-1 CAPLUS

CN Hypoxanthine, 9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate (7CI) (CA INDEX NAME)

RN 106740-73-2 CAPLUS
CN 9H-Purine-6-thiol, 9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate (7CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 106743-43-5 CAPLUS
CN 9H-Purine-6-thiol, 9-D-psicofuranosyl-, 1',3',4',6'-tetrabenzoate (7CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 107628-81-9 CAPLUS
CN 9H-Purine, 6-(methylthio)-9-D-psicofuranosyl-, tetraacetate (7CI) (CA INDEX NAME)

RN 107781-63-5 CAPLUS

CN Hypoxanthine, 9-D-psicofuranosyl-, 1',3',4',6'-tetrabenzoate (7CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 13019-86-8, Adenine, 9-D-psicofuranosyl-

(reaction with HNO2)

RN 13019-86-8 CAPLUS

CN 9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 162 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1963:471223 CAPLUS

DN 59:71223 OREF 59:13233e

TI Effect of structure on nucleoside-antagonist activity

AU Suhadolnik, R. J.; Weinbaum, George

#### 09567863

CS Albert Einstein Med. Center, Philadelphia, PA

SO Biochem. Biophys. Res. Commun. (1963), 12(2), 83-6

DT Journal

LA Unavailable

AB Combination of normal metabolic intermediates (inosine, hypoxanthine, or xanthosine) with psicofuranine enhances the bacteriostatic effect of the antagonist. This synergism may be due to the inhibition of more than one enzyme.

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 99146-72-2 CAPLUS

CN Hypoxanthine, 9-.beta.-D-psicofuranosyl- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3 ANSWER 163 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1963:462806 CAPLUS

DN 59:62806

OREF 59:11647g-h,11648a-d

TI Acylated psicofuranosyladenines

IN Schroeder, William; Lewis, Charles; Hoeksema, Herman; Eble, Thomas E.;
Bannister, Brian

PA Upjohn Co.

SO 4 pp.; Continuation-in-part of U.S. 3,020,274 (CA 56, 15970g)

DT Patent

LA Unavailable

PATENT NO. KIND DATE APPLICATION NO. DATE

US 3079378 19630226 US 19600201 Addn. to 1.0 g. 9-D-psicofuranosyladenine (I) in 125.ml. pyridine of 50 ml. Ac20 at 15-18.degree., keeping the mixt. 5 days, stirring 3 hrs. with 20 g. of ice, evapg. to dryness in vacuo, dissolving the residue in CHCl3, washing with 3N H2SO4, and evapg. again to dryness yielded a residue which was sepd. by countercurrent distribution (660 tsransfer in the system (II) H2O: 95% EtOH: EtOAc: cyclohexane 2:3:2.75:2.25 by vol.) into 600 mg. (c 0.40, same solvents) I hexaacetate, oil. and 200 mg. (c 0.17) I pentaacetate (III), oil. I (2.97 g.) in 40 ml. pyridine treated dropwise with 10 g. BzCl and stirred 45 min. yielded, after 10 min. on a steam bath with addn. of a little H2O and pouring into 300 ml. H2O, an oil. This was washed with hot water and dissolved in 175 ml. hot EtOH to give, after standing 3 hrs., I hexabenzoate, m. 157-9.degree. (95% EtOH). The following hexa-O-acyl I were prepd. similarly: R(RCO) = Et, iso-Pr, Bu, iso-Bu, Me3CCH2, C6H13, C7H15, PhCH2, MeC6H4. cyclopentylethyl, cyclo-1-pentenylethyl, cyclohexylmethyl, vinyl, MeCH:CH, PrC.tplbond.C, C5H11C.tplbond.C, ClCH2, p-ClC6H4, o-MeOC6H4, o-HOC6H4, p-O2NC6H4, NCCH2. After standing 2 hrs. at 2.degree. and 20 hrs. at room temp., 3 g. D-psicose in 15 ml. Ac20 was poured into ice-water and extd. with CHCl3. After washing with 3 150-ml. portions of N HCl, satd. aq. NaHCO3, and water, drying over MgSO4, and evapg. to dryness in vacuo at 40.degree., the ext. yielded 5.8 g. D-psicose pentaacetate (IV), oil, [.alpha.]24D 7.5.degree. (c 2.2, EtOH). IV (3 g.) in 115 ml. abs. ether satd. at 0.degree. with dry HCl, the ether and HCl removed at 20.degree. in vacuo after standing 42 hrs. at 2.degree., and the soln. washed several times with CCl4 and C6H6 yielded by vacuum distn. tetra-O-acetyl-Dpsicofuranosyl chloride (V), oil. V in xylene refluxed 3 hrs. with stirring with 4 g. chloromercuriacetyladenine (VI) in 100 ml. xylene yielded, after hot filtration, vacuum evapn., and countercurrent extn. (600 transfers) in II, III (c 0.17, in II), oil. Replacing VI by chloromercuribenzoyladenine gave 9-(tetra-O-acetyl-D-psicofuranosyl)-6benzamidopurine; replacing V by tetra-O-benzoyl-D-psicofuranosyl chloride gave 9-(tetra-O-benzoyl-D-psicofuranosyl)-6-acetamidopurine. After standing 18 hrs. at 0.degree., III in 100 ml. MeOH satd. with NH3 was filtered off, the filtrate evapd. to dryness in vacuo at 30.degree., and the brown residue extd. in a Craig machine with BuOH-H2O (985 transfers); the tubes contg. the peak at c 0.3 were combined and evapd. to dryness in vacuo, to yield, from 50% aq. Me2CO, I, m. 190-5.degree. (50% aq. Me2CO), [.alpha.]23D --55.degree. (c 0.5, Me2SO). Ac2O (32 ml.) in 30 ml. pyridine added to 20 g. I in 140 ml. pyridine at 0-10.degree. the mixt. kept at room temp. 16 hrs. and distd. to dryness at <1 mm., yielded on trituration with EtOH 24.5 g. I tetraacetate, m. 83-6.degree. (EtOH), [.alpha.]D --28.degree. (c 1.0, EtOH). The acyl derivs. of I show antibacterial activity; the penta-O-acyl derivs. are intermediates in the synthesis of I.

RN 13019-86-8 CAPLUS

CN 9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)

RN 100428-81-7 CAPLUS

CN Adenine, 9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate (7CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 164 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1963:435867 CAPLUS

DN 59:35867

OREF 59:6499h,6500a-e

TI Nucleic acid components and their analogs. XXX. The synthesis of psicofuranine

AU Farkas, J.; Sorm, F.

CS Ceskoslov. Akad. Ved, Prague

SO Collection Czech. Chem. Commun. (1963), 28, 882-6

DT Journal

LA Unavailable

cf. Beranek J., Sorm F., ibid. 28,469. D-Psicose (I), obtained by AB decompn. of 3,4,5,6-tetra-O-acetyl-1-diazo-1-deoxy-D-psicose (II) followed by hydrolysis, was transformed to a mixt. of D-psicose methyl glycosides(III) and further to methyl D-psicofuranoside tetrabenzoate (IV), whose reaction with the chloromercuric salt (V) of 6-benzamidopurine afforded 9-.beta.-D-psicofuranosyl adenine (psicofuranine) (VI). Adding 20 g. II portionwise over a period of 1 hr. to 200 mg. Cu powder in 200 ml. AcOH at 55-60.degree., distg. the AcOH at 45.degree./15 mm., treating the residue with 200 g. ice, extg. with CHCl3, drying, evapg. the soln., dilg. the residue with Et20, keeping it 24 hrs. at -20 degree., dissolving the cryst. product (13.75 g.) in 10 ml dry MeOH, dilg. the soln. with 25 ml. Et20, filtering, and treating the filtrate with 15 ml. petr. ether (b. 50-60.degree.) gave, after cooling at 0.degree. overnight, 12.8 g. 1,3,4,5,6-penta-O-acetyl-D-psicose (VII), m. 63.degree. (aq. MeOH). VII was also obtained by acid-catalyzed decompn. of 10 g. II by adding it to a

stirred, ice-cold soln. of 50 ml. AcOH, 20 ml. Ac2O, and 0.2 ml. 70% HClO4, dilg. the mixt. with 250 ml. ice-cold H2O, and extg. with CHCl3 (yield 4 g.). Adding a soln. of NaOMe, prepd. from 1 g. Na and 20 ml. MeOH to a cold (0.degree.) soln. of 5 g. VII in 200 ml. MeOH, keeping the mixt. 2 hrs. at 0.degree., adding 200 ice, neutralizing the mixt. with Dowex 50 (H+), and evapg. at 35.degree./15 mm. and finally at 0.5 mm. at room temp. afforded 3.5 g. sirupy I. Keeping a soln. of 2.4 g. I in 100 ml. 0.2N HCl in MeOH 20 min. at room temp., adding Ag2CO3, filtering the ppt., and evapg. the filtrate in vacua gave 2.3 g. III; 500 mg. of this mixt. gave on chromagraphy on Whatman No. 3 paper (40:11:19 BuOH-EtOH-H2O) 160 mg. a compd. (VIII), [.alpha.]20D -40.2.degree. (MeOH), Rf 0.37, and 100 mg. a compd. (IX), [.alpha.]20D 42.8.degree. (MeOH), Rf 0.45. By a somewhat modified procedure, I afforded still one more methyl glycoside (X), m. 82-3.degree. (AcOEt), [.alpha.]20D -125.3.degree. (MeOH), Rf 0.51. A mixt. of VIII and IX (2.2~g.) dissolved in dry C5H5N, treated with 7.2 ml. BzCl 20 min. at room temp., heated 6 hrs. at 50.degree., the mixt. dild. with 100 ml. ice-cold H2O, and extd. with Et2O gave, after evapn. in vacuo, 7.5 g. of a sirup, chromatographed on Al2O3 contg. 10% H2O to give IV (mixt. of anomers). Dissolving 5 g. IV in 35 ml. CH2Cl2, cooling the soln. to 0.degree., adding 20% HBr in 35 ml. AcOH, keeping at 0.degree. for 20 min., dilg. the mixt. with 30 ml. CH2Cl2, pouring on ice, sepg. the org. layer, and concg. in vacua gave sirupy psicofuranosyl bromide. This was treated with 3.5 g. V in 20 ml. AcNEt2 (after azeotropic drying by distn. with C6H6), the mixt. kept 5 days at 20.degree., dild. with 100 ml. C6H6, washed with 10% soln. of NaI and H2O, the C6H6 removed, the residue dissolved in 50 ml. abs. MeOH, the soln. treated with 1 ml. 1.5N Ba(OMe)2, after 1 hr. with another 0.5 ml. of the same soln., neutralized with CO2, treated with NH3 in MeOH and with 20 ml. H2O, heated 5 min. at 40.degree., the pptd. BaCO3 filtered off, the soln. evapd. in vacuo, the residue dissolved in 25 ml. H2O, pH adjusted to 6.5 with HCO2H, the soln. passed through a Dowex 50 (NH4) column, and eluted with 500 ml. H2O and 100 ml. 0.05N NH4OH gave a mixt. of adenine (XI) and VI. Purification of the mixt. by evapn. (XI crystd. and was filtered off) followed by paper chromatography on Whatman No. 3 paper gave 50.7 mg.(4.6%)VI, m.211-12.degree. (H2O), [.alpha.] 20D -65.7.degree. (HCONMe2), .lambda. 261 m.mu. (log .member. 4.126).

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(prepn. of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 165 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1963:424497 CAPLUS

DN 59:24497

OREF 59:4456f-h

Polyserositis induced by psicofuranine in man and comparative toxicity in the rat, mouse, dog, chicken, and monkey

#### 09567863

AU Talley, Robert W.; Carlson, Robert G.

CS Henry Ford Hosp., Detroit, MI

SO Toxicol. Appt. Pharmacol. (1963), 5, 235-46

DT Journal

LA Unavailable

AB Psicofuranine (I) or its acetate (II) given intravenously or orally to terminal cancer patients produced pericarditis and (or) pleuritis, and (or) peritonitis in these subjects. The acute L.D.50 of II given intraperitoneally to mice was 2560 mg./kg., and >4000 mg./kg. given orally to the rat. The pericardium, pleura, and peritoneum were unaffected in the monkey and chicken. Given orally 32 days to dogs, II produced a loss in wt., and a definite lesion of pancreatic acinar tissue; rats showed an increase in neutrophils and no leukopenia. Treatment of rats bearing Walker-156 tumor implants with I produced an increase in the severity of the cardiac lesions seen in such rats, but did not produce the fibrinous inflammatory exudation of the serous surfaces as seen in man.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl- 79060-74-5, Adenine, 9-.beta.-D-psicofuranosyl-, 1',3',4',6'-tetraacetate (toxicity of, species variations in)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 79060-74-5 CAPLUS

CN 9H-Purin-6-amine, 9-(1,3,4,6-tetra-O-acetyl-.beta.-D-psicofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 166 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1963:424360 CAPLUS

DN 59:24360

OREF 59:4435e-g

TI Feedback inhibition of purine biosynthesis in ascites tumor cells by purine analogs

#### 09567863

ΑU Henderson, J. Frank George Washington Univ. School of Med., Washington, DC CS Biochem. Pharmacol. (1963), 12(6), 551-6 SO DТ Journal LA Unavailable cf. CA 57, 11730c. The ability of 37 purine analogs to inhibit purine AB biosynthesis de novo in Ehrlich ascites tumor cells in vitro has been examd. in order to define structural requirements for this reaction. Only 8 analogs were active feedback inhibitors. 6-Methylthiopurine ribonucleoside was more active than adenine, while 6-methylpurine was as active as adenine. 2,6-Diaminopurine, 6-benzylthiopurine, psicofuranine, 2-amino-6-benzylthiopurine, purine, and thioguanine ribonucleoside were approx. as active as the less active natural purines. No compd. tested interfered with feedback inhibition by adenine. Combinations of adenine with purine or 2,6-diaminopurine, or of purine with diaminopurine, inhibited in a potentiative manner. No correlation was observed between feedback inhibitory activity and nucleotide formation by purine analogs. 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-IT (purine-formation inhibition by)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 167 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1963:35484 CAPLUS

DN 58:35484

OREF 58:6099b-c

TI Further studies on the activity of hadacidin

AU Shigeura, Harold T.; Gordon, Charles N.

CS Merck Inst., Rahway, NJ

SO Cancer Res. (1962), 22, 1356-61

DT Journal

LA Unavailable

AB The concn. of hadacidin required to inhibit pyrimidine synthesis was much greater than that necessary to inhibit purine formation. The antibiotic did not directly inhibit the incorporation of glycine, L-leucine, and formate into proteins. Hadacidin potentiated the growth-inhibitory activity of 2,6-diaminopurine and acted additively with 5-fluorouracil, aminopterin, amethopterin, psicofuranine, puromycin, and azaserine on the growth of Escherichia coli B. Hadacidin antagonized the growth-inhibitory properties of 6-mercaptopurine and 6-azauracil.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(Escherichia coli response to, hadacidin and)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 168 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1963:20943 CAPLUS

DN 58:20943

OREF 58:3499g-h,3500a

TI Synthesis of psicofuranine

AU Farkas, J.; Sorm, F.

CS Czecho-slovak Acad. Sci., Prague

SO Tetrahedron Letters (1962) 813-14

DT Journal

LA Unavailable

AΒ Psicofuranine (I) was chosen as a model substance for nucleosidic antimetabolites contg. D-psicose (II) as an anomalous sugar moiety and possessing potential cancerostatic activity. Treatment of II with 0.2N HCl in MeOH at 20.degree. 20 min. and sepn. of the mixt. of anomeric methylpsicofuranosides by preparative paper chromatography (Whatman No. 3 paper, 40:11:19 BUOH-EtOH-H2O) gave anomer I, Rfructose 1.66 (Whatman No. 1 paper, above solvents), [.alpha.]20D -40.2.degree. (MeOH), HIO4 oxidn. 1.05 moles, and anomer II, Rfructose 1.86, [.alpha.]20D 42.8.degree. (MeOH), HIO4 oxidn. 0.94 mole. The mixt. benzoylated and chromatographed on neutral Al203 gave a mixt. of 2-O-methyl-1,3,4,6-tetra-Obenzoylpsicofuranoses (III), C35H30O10. III in CH2Cl2 treated 20 min. at 0.degree. with 20% HBr-AcOH and the bromide kept 5 days at 20.degree. with 6-beuzamidopurine chloro-mercuric salt in AcNEt2 gave a crude nucleoside (IV). IV treated with 0.05N (MeO)2Ba, chromatographer on a Dowex-50 (NH4+) column and eluted with 0.01N NH40H, the eluate paper chromatographed to remove adenine, and purified on an IRC-50 column yielded 4.6% I, C11H15N5O5, m. 211-12.degree., [.alpha.]20D -65.7.degree. (HCONMe2), HIO4 oxidn. 1.06 moles, .lambda. 261 m.mu. (log .epsilon. 4.126) in buffered soln. (pH 8.22).

IT 13019-86-8, Adenine, 9-D-psicofuranosyl-(prepn. of)

RN 13019-86-8 CAPLUS

CN 9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)

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L3
     ANSWER 169 OF 201 CAPLUS COPYRIGHT 2003 ACS
AN
     1962:81722 CAPLUS
DN
     56:81722
OREF 56:15970q-h
     6-Amino-9-D-psicofuranosylpurine
IN
    Eble, Thomas E.; Lewis, Charles
PA
    Upiohn Co.
DT
    Patent
LΑ
    Unavailable
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
     -----
                                         -----
PΤ
    US 3020274
                          19620206
                                        US
                                                         19580310
    Incubation of Streptomyces hygroscopicus var. decoyicus for 5 days at
AB
    30.degree. and isolation gave a crude product contg. 40%
    6-amino-9-D-psicofuranosylpurine (I). I was further purified by
    countercurrent distribution. One g. of the crude product yielded 388 mg.
    pure I, m. 212-14.degree., [.alpha.]25D -46.degree. (HCONMe2).
IT
    13019-86-8, Adenine, 9-D-psicofuranosyl-
        (prepn. of)
    13019-86-8 CAPLUS
RN
CN
    9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)
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## Absolute stereochemistry.

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ANSWER 170 OF 201 CAPLUS COPYRIGHT 2003 ACS
AN
    1962:60827 CAPLUS
DN
    56:60827
OREF 56:11694f-h
TI
    Ketosylpurines
IN
    Sehroeder, William
DT
    Patent
LA
    Unavailable .
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                           -----
                                          -----
PΙ
    US 3014900
                           19611226
                                          HS
                                                          19590126
    DE 1135914
                                          DE
    GB 938804
                                          GB
AB
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Nucleosides were prepd. by the reaction of a halomercuri deriv. of a purine with a poly-O-acetylketosyl halide. D-Psicose was acetylated with Ac2O in pyridine to D-psicose pentaacetate which was converted to tetra-O-acetyl-Dpsicofuranosyl chloride (I) by reaction with HCl in abs. Et2O at 2.degree. 42 hrs. Refluxing I in xylene with chloromercuriacetyladenine (II) 3 hrs., hot filtration, and evapn. of the filtrate to dryness in vacuo gave 9-(D-psicofuranosyl) adenine pentaacetate (III). III was treated with MeOH satd. with NH3 at 0.degree. 18 hrs., filtered, the filtrate evapd. in vacuo, and the residue purified by countercurrent distribution to give 6-amino-9-(D-psicofuranosyl) purine.

In a similar manner, except using chloromereuri-6-methylthiopurine in place of II, 6-methylthio-9-(D-psicofuranosyl)purine was obtained. D-Fructofuranose tetrabenzoate was converted by treatment with HCl to D-fructofuranosyl chloride tetrabenzoate, which with II gave 6-acetamindo-9-(D-fructofuranosyl)purine tetrabenzoate (IV). Deacylation of IV with methanolic-NH3 gave 6-amino-9-(D-fructofuranosyl)purine, m. 219.5-20.5.degree., [.alpha.]D28 92.degree. (HCONMe2). These compds. showed antibiotic activity.

13019-83-5, Adenine, 9-D-fructofuranosyl- 13019-86-8,

RN 13019-83-5 CAPLUS

CN 9H-Purin-6-amine, 9-D-fructofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 13019-86-8 CAPLUS

CN 9H-Purin-6-amine, 9-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L3 ANSWER 171 OF 201 CAPLUS COPYRIGHT 2003 ACS
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AN 1962:60741 CAPLUS

DN 56:60741

OREF 56:11647h-i,11648a-i,11649a-e

TI Dehydrogenation of steroids. IV. Dienol-benzene re arrangement

AU Dannenberg, Heinz; Hans-Guenter, Neumann

CS Max-Planck-Inst. Biochem., Munich, Germany

SO Ann (1961), 646, 148-70

DT Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. CA 55, 10504i. The dienol-benzene rearrangement proceeded by 1,4-dien-3-one steroids (I and 1,4,6-trien-3-one steroids (II) after direct or homologous redn. of the oxo group, analogously to the

acid-catalyzed dienone-phenol rearrangement in the presence of Ac2OH2SO4. Thus, I and II yielded 4-methyl and 1-methyl ring A-benzoid compds. The dienol-benzene and the dienonephenol rearrangements were of the same type. The proof for the 4-position of the Me group in 4-methyl-19-nor-1,3,5(10)cholestatriene (III), obtained by redn. of 1,4-cholestadien-3-one (IV) with LiAlH4 and subsequent treatment with acid, was given by the dehydrogenation with Se to 3',8-dimethyl-1,2-cyclopentenophenanthrene (V). IV (5.0 g.) in 100 cc. Et20 added with stirring during 0.5 hr. to 1.0 g. LiAlH4 in 100 cc. dry Et20, the mixt. dild. with 25 cc. Et20, refluxed 0.5 hr., worked up, the resulting 4.9 g. mixt. of oil and crystals dissolved in 125 cc. 96% EtOH, refluxed 0.5 hr. with 5 cc. concd. HCl, poured into 300 cc. H2O, extd. with Et2O, and the oily residue from the ext. (4.4 g.) chromatographed on Al203 gave 3.7 g. III, m. 49.degree.. The crude product from a similar run with 3.5 g. IV dissolved in petr. ether and filtered gave 350 mg. cryst. C54H86O (VI), m. 216-18.degree. (C6H6-Me2CO). VI (121 mg.), 20 cc. EtOH, and 1 cc. concd. HCl refluxed 0.5 hr. and the product chromatographed on Al2O3 yielded 90 mg. III. IV (1 g.) in 20 cc. dry Et20 added dropwise at room temp. to MeMgI from 200 mg. Mg and 1.1 g. MeI in Et20, the mixt. stirred 1 hr., worked up, and 375 mg. of the crude product (1.07 g.) heated 0.5 hr. on the water bath with 0.3N HCl gave 150 mg. oily 1-Me deriv. of III, [.alpha.]22D 155.5.degree.. 1,4-Androstadiene-3,17-dione (200 mg.) in 15 cc. EtOH added dropwise to 50 g. fructose in 500 cc. H2O and 25 g. bakers' yeast, the mixt. fermented 3 days at about 20.degree., extd. with Et20, and the ext. worked up gave 168 mg. 1,4-androstadien-17.beta.-ol-3-one (VII), m. 168.degree. (aq. MeOH). VII (150 mg.) in 15 cc. dry Et20 added at room temp. dropwise to 20 equivs. MeMgI in Et2O, the mixt. poured onto ice and aq. NaHCO3, extd. with Et20, the residual oil (160 mg.), contg. about 50% 3-methylene-1,4-androstadien-17.beta.-ol, refluxed 0.5 hr. with 20 cc. EtOH and 1 cc. concd. HCl, and the crude product (150 mg.) chromatographed on Al2O3 gave 1,4-dimethyl-1,3,5(10)-estratrien-7.beta.-ol-MeOH (VIII.MeOH), m. 74.degree. (MeOH); VIII m. 64.degree., [.alpha.]23D 153.7.degree. (EtOH). VIII (58 mg.), 4 cc. C5H5N, and 2 cc. Ac2O heated 1 hr. on the water bath gave the oily acetate, [.alpha.]27D 110.degree. (EtOH), Rf 0.79 (C6H6). VIII (35 mg.) in 2 cc. C5H5N and 200 mg. 3,5 (O2N) 2C6H3COCl heated 0.5 hr. on the water bath yielded 38 mg. 3,5-dinitrobenzoate of VIII, m. 208.degree. (CHCl3-MeOH), m. 208.degree.. 1,4-Androstadiene-3,17-dione (1 g.) in dry Et20 added dropwise at room temp. to MeMgI from 500 mg. Mg and 2.84 g. MeI in Et20, the mixt. heated 1 hr., and worked up gave 180 mg. solid, which recrystd. twice from cyclohexane yielded 10 mg. 3,17-dimethyl-1,4-androstadiene-3.xi.,17.beta.diol, m. 188.degree.; the mother liquor evapd. and heated in PrOH or treated with alc. HCl or HCO2H at 20 and at 100.degree. gave mixts. of various substances. Testosterone propionate (IX) (5.167 g.) in 160 cc. dry Et20 treated at -2.degree. with a few drops HBr-AcOH and then 4.875 g. Br in 45 cc. AcOH, evapd. after 10 min., filtered, the filtrate evapd. in vacuo, and the residues combined gave 5.86 g. 2,6-Br2 deriv. (X) of IX, m. 159-60.degree. (decompn.) (CHCl3-EtOH); it decompd. soon in air with browning. X (5.8 g.) and 30 cc. collidine refluxed 0.5 hr., cooled, filtered, the filtrate poured with cooling into 6N HCl, extd. with Et2O, and the residue from the ext. chromatographed on Al203 yielded 2.15 g. 1,4,6-androstatrien-17.beta.-ol-3-one propionate (XI), m. 130-2.degree. (Me2CO-hexane), [.alpha.]23D -9.4.degree. (EtOH). XI (600 mg.) and excess (iso-PrO)3Al in 40 cc. abs. iso-PrOH refluxed 6 hrs. with overhead removal of distillate, added dropwise to 7 cc. concd. HCl and 40 cc. iso-PrOH, refluxed 0.5 hr., dild. with H2O, extd. with Et2O, the residue from the ext. heated 1 hr. on the water bath with 6 cc. C5H5N and 3 cc. Ac2O, and the crude product chromatographed on Al203 yielded 335 mg. acetate (XII) of 1-methyl-1,3,5(10),6-estratetraen-17.beta.-ol (XIII), leaflets, m. 115.degree. (MeOH), [.alpha.]25D -142.degree. (EtOH). XII (150 mg.) in 0.5N KOH-MeOH refluxed 1 hr., dild. with H2O, extd. with Et2O, and the crude product chromatographed twice on Al2O3 yielded 50 mg. oily XIII,

[.alpha.]25D -89.degree. (EtOH). XII (250 mg.) in MeOH hydrogenated 45 min. with 100 mg. prehydrogenated PdO, filtered, evapd., and the residue chromatographed on Al2O3 yielded 200 mg. acetate (XIV) of 1-methyl-1,3,5(10)-estratrien-17.beta.-ol (XV), m. 125.degree. (MeOH), [.alpha.]25D 134.degree. (EtOH), Rf 0.66 (C6H6). XIV (70 mg.) and 15 cc. 0.5N KOH-MeOH refluxed 35 min. under N, dild. with H2O, and extd. with Et2O yielded 10 mg. XV, m. 103.degree. (MeOH), [.alpha.]25D 144.degree. (EtOH), Rf 0.49 (95:5 C6H6-Me2CO). XI (2.15 g.) in 100 cc. dry Et2O added dropwise with stirring during 0.5 hr. to 2 g. LiAlH4 in 100 cc. dry Et20, refluxed 0.5 hr., worked up, the crude product refluxed 0.5 hr. with 50 cc. EtOH and 2 cc. concd. HCl, dild. with H2O, extd. with Et2O, the residue from the ext. (2 g.) kept 13 hrs. in 20 cc. C5H5N and 10 cc. Ac2O, and the crude product chromatographed 4 times on Al2O3 yielded 25 mg. pure XII, and 30-40% 4,6-androstadien-17.beta.-ol-3-one acetate, needles, m. 142.degree. [.alpha.]24D -9.8.degree. (EtOH). Crude 1,4,6-cholestatrien-3-one (4.4 g.) reduced with 1 g. LiAlH4 in Et20, the crude product (4 g.) treated with 1.5 cc. concd. HCl in 150 cc. EtOH, and chromatographed on Al203 gave 120 mg. oily material, which subjected to a 23-transfer countercurrent distribution gave oily 1-methyl-19-nor-1,3,5(10),6cholestatetraene. XI (250 mg.) in 20 co. dry Et20 treated dropwise with 20 equivs. McMgI in Et20, the resulting 215 mg. light yellow oil refluxed 1 hr. with 50 cc. EtOH and 2.4 cc. concd. HCl, dild. with H2O, extd. with Et20, and the crude product (190 mg.) chromatographed on Al203 gave 75 mg. 3-Me deriv. contg. some 4,6-dien-3-one; the mixt. (75 mg.), 3 cc. C5H5N, and 1.5 cc. Ac20 heated 1 hr. on the steam bath, and the crude product (79 mg.) chromatographed on Al2O3 gave 50 mg. 3-Me deriv. (XVI), needles, m. 142.degree. (MeOH), [.alpha.]25D -148.5.degree. (EtOH). XVI (30 mg.) in MeOH hydrogenated over 40 mg. prehydrogenated PdO gave the 3-Me deriv. (XVII) of XIV, m. 103.degree. (MeOH), [.alpha.]26D 137.degree. (EtOH). Crude XVII (76 mg.) refluxed 1 hr. with 10 cc. 0.5N KOH-MeOH, dild. with H2O, extd. with Et2O, and the residue from the ext. chromatographed on Al203 yielded 55 mg. impure 1-Me deriv. of XV, which treated with 3,5-(O2N)2C6H3COCl and chromatographed gave 11 mg. 3,5-dinitrobenzoate, m. 224.degree. (CHCl3MeOH). III (5 g.) and 6.5 g. amorphous Se heated 2 hrs. at 280-300.degree. and 10 hrs. at 340-60.degree., cooled, boiled with C6H6, and chromatographed twice on Al2O3 yielded 35 mg. V, m. 110-20.degree., and 21 mg. XVIII, leaflets, m. 249.5-50.5.degree.. III (4.36 g.) and 6 g. Se heated during 12 hrs. to 325.degree. and the crude product chromatographed repeatedly on Al203 gave 7.5 mg. 8-methyl-3'-isooctyl- or 3',8-dimethyl-3'-isooctyl-1,2cyclopentenophenanthrene (XIX), m. 94.5.degree., 98.5 (on Kofler block), 27.8 mg. hydrocarbon, m. 81.degree., which gave with 1,3,5-C6H3(NO2), an adduct, m. 132-3.degree. (EtOH), and traces of V. Crude XIX (850 mg.) again heated 12 hrs. with 700 mg. Se at 335.degree. and chromatographed on Al203 gave 10.5 mg. V, needles, m. 129-30.degree. (EtOH). 100802-44-6, Adenine, N,1-diacetyl-9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate (prepn. of)

(prepn. of)
RN 100802-44-6 CAPLUS

IT

CN Adenine, N,1-diacetyl-9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate (7CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

L3ANSWER 172 OF 201 CAPLUS COPYRIGHT 2003 ACS AN 1962:60740 CAPLUS DN 56:60740 OREF 56:11647b-h TIThe synthesis of 9.alpha.-hydroxy steroids ΑU Sih, Charles J. CS Univ. of Wisconsin, Madison SO J. Org. Chem. (1961), 26, 4716-18 CODEN: JOCEAH; ISSN: 0022-3263 DTJournal LΑ Unavailable AΒ

4,9(11)-Pregnadiene-17.alpha.,21-diol-3,20-dione 21-acetate (2 g.) was dissolved in an ice-cold 0.026M soln. of perbenzoic acid (I) in 500 ml. CHCl3, the mixt. allowed to remain in the refrigerator 20 hrs. (consumption of 1.10 mole equivs. I by iodine titration), the CHCl3 soln. extd. with 0.05M NaI in 0.01N H2SO4, 0.05N Na2SO3, 0.5N NaHCO3, and H2O, dried over Na2SO4, and concd. to dryness to give 97% 9.alpha.,11.alpha.oxido-4-pregnene-17.alpha.,21-diol-3,20-dione 21acetate (II), m. 240-5.degree.. Recrystn. from Me2CO gave pure II, m. 248-9.degree., [.alpha.]25D 100.degree. (c 1.0, CHCl3), .gamma. 238 m.mu. (.epsilon. 16,000) (alc.), .gamma. 2.90, 5.79, 6.00, 6.18 .mu. (CHCl3). To 1.0 g. II in 12 ml. MeOH was added 2.5 ml. 10% aq. K2CO3 (O free), the mixt. stirred under N 1 hr., 0.4 ml. AcOH and 120 ml. ice H2O added, after cooling the cryst. ppt. collected, and dried in vacuo to give 80% crude 9.alpha.,11.alpha.-oxido-4-pregnene-17.alpha.,21-diol-3,20-dione (III). Extn. of the filtrate with CHCl3 yielded an addnl. 9% III. Recrystn. from Me2CO afforded pure III, m. 213-15.degree., [.alpha.]25D 86.degree. (c 1.0, dioxane), .gamma. 238 m.mu. (.epsilon. 16,600) (alc.), .gamma. 2.98, 5.85, 6.06 .mu. (Nujol). To 5.0 g. III in 500 ml. AcOH and 500 ml. H20 was added 40 g. Na bismuthate, the mixt. shaken vigorously at room temp. in the dark 4 hrs., the soln. filtered, the ppt. washed with CHCl3, the total filtrate extd. with CHCl3, the CHCl3 soln. washed with NaHCO3 and exhaustively with H2O, dried over Na2SO4, concd. to dryness, and the cryst. residue (3.33 g.) chromatographed over 20 g. acid-washed Al2O3. Elution with 1:2 hexane-C6H6 yielded 65% 9.alpha.,11.alpha.oxidoandrostene-3,17-dione (IV), m. 270-2.degree.. Recrystn. from Me2CO afforded pure IV, m. 272-4.degree., [.alpha.]25D 185.degree. (c 1.0, CHCl3), .gamma. 236 m.mu. (e 16,000), .mu. 5.76, 6.02, 6.18 .mu. (CHCl3). A soln. of 1 g. IV in 30 ml. dry tetrahydrofuran was slowly added with stirring to 2 g. LiAlH4 in 50 ml. tetrahydrofuran, the mixt. stirred 16 hrs., refluxed 4 hrs., the excess LiAlH4 decompd. by cautious addn. of H2O, the mixt. filtered, the ppt. washed with tetrahydrofuran, the filtrate dried over Na2SO4, and concd. to dryness to yield 910 mg. residue, consisting of 4-androstene-3.beta., 9.alpha., 17.beta.-triol (V) and 4-androstene-3.alpha.,9.alpha.,17.beta.triol (VI). To 500 mg. of the mixt. contg. V and VI in 75 ml. CHCl3 was added 5.0 g. MnO2, the mixt. stirred 16 hrs., filtered, the ppt. washed with CHCl3, the filtrate concd.

to dryness, and the residue (452 mg.) chromatographed over 10 g. acid-washed Al2O3. Elution with C6H6CHCl3 afforded 162 mg.

9.alpha.-hydroxytestosterone (VII), m 210-11.degree. (Me2CO-petr. ether), [.alpha.]25D 104.degree. (c 1.0, CHCl3) 242 ms, (e 15,200) (alc.), .gamma. 2.92, 6.03, 6.20 .mu. (CHCl3) A soln. of 30 mg. CrO3 and an equiv. amt. of H2SO4 in 3 ml Me2CO was added dropwise with stirring to 100 mg. VII in 10 ml. Me2CO, after completion of the reaction the chromic sulfate removed by centrifugation, washed with Me2CO, the combined Me2CO washings evapd. to dryness, the residue taken up in CHCl3, washed with H2O, dried over Na2SO4 the soln. concd., and the residue crystd. from Me2CO hexane to yield 67 mg. 9.alpha.-hydroxyandrostene-3,17-dione, m 220-2.degree., [.alpha.]25D 182.degree. (c 0.9, CHCl3), .gamma. 242 m.mu. (.epsilon. 16,000 (alc.), .gamma. 2.90, 5.75, 6.01, 6.18 .mu. (CHCl3).

100802-44-6, Adenine, N.1-diacetyl-9-D-psicofuranceyl-

RN 100802-44-6 CAPLUS

CN Adenine, N,1-diacetyl-9-D-psicofuranosyl-, 1',3',4',6'-tetraacetate (7CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

L3 ANSWER 173 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1962:41815 CAPLUS

DN 56:41815

OREF 56:7938f-g

TI Effect of selective acylation on the oral absorption of a nucleoside by humans

AU Hoeksema, H.; Whitfield, G. B.; Rhuland, L. E.

CS Upjohn Co., Kalamazoo, MI

SO Biochem. Biophys. Research Communs. (1961), 6, 213-16

DT Journal

LA Unavailable

AB Psicofuranine (I) was acetylated with acetic anhydride in pyridine at room temp. to yield a tetraacetate (II), pentaacetate (III), and hexaacetate (IV). II demonstrated efficacy against Streptococcus hemolyticus subcutaneously in mice equal to that of I, while III and IV were significantly less active. By the oral route in mice II was twice as active as I. Single dose oral-absorption studies in humans showed II to be well absorbed while I was not absorbed. Urines of human subjects receiving II contained only I, suggesting that II was rapidly converted to I either by blood esterases or through an active transport absorption process. The outstanding phys. difference between I and II is the greatly increased lipophilic character of II. Soly. in CHCl3 is I 0.007 and II >150 mg./ml.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl- 79060-74-5

, Adenine, 9-.beta.-D-psicofuranosyl-, 1',3',4',6'-tetraacetate
100658-94-4, Adenine, N-acetyl-9-.beta.-D-psicofuranosyl-,
tetraacetate 100687-54-5, Diacetamide, N-(9-.beta.-Dpsicofuranosylpurin-6-yl)-, tetraacetate
(bactericidal action of, absorption and)
RN 1874-54-0 CAPLUS
CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 79060-74-5 CAPLUS
CN 9H-Purin-6-amine, 9-(1,3,4,6-tetra-0-acetyl-.beta.-D-psicofuranosyl)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 100687-54-5 CAPLUS CN Diacetamide, N-(9-.beta.-D-psicofuranosylpurin-6-yl)-, tetraacetate (7CI)

#### (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 174 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1962:33801 CAPLUS

DN 56:33801 OREF 56:6438e-q

TI Feed containing an arsenical and poly(vinylpyrrolidinone)

PA Vernon Dawe; Dawe's Laboratories, Inc.

DT Patent

LA Unavailable

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 3015564 US 19590304

AB The addn of poly(vinylpyrrolidings) (T) be addn of

The addn. of poly(vinylpyrrolidinone) (I) to animal feed increased the growth rate of poultry. Furthermore, it acts as a detoxicant when arsonic acid compds. such as 3-nitro-4 hydroxybenzenearsonic acid (II) are added to the feed. Twelve birds (one-day old, broad breasted bronze poults) were fed a starter diet contg. 0.05% by wt. of com. I. At the age of 4 weeks the birds weighed 3.6% more than the control group fed the starter diet alone (626 g. vs. 604 g.). The animals consumed less feed per g. of final wt. than those of the control group (1.57 g. vs. 1.62 g.). When the birds were fed a starter diet contg. 0.0198% by wt. of II, their av. wt. reached only 440 g. When 0.05% of I was added to the diet contg. II, the wt. increased to 526 g. (17.9%).

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(in histidine metabolism by Escherichia coli, induction mechanism and)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 175 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1962:22354 CAPLUS

DN 56:22354 OREF 56:4220b-e

TI Investigations on SnS

AU Albers, W.; Haas, C.; Vink, H. J.; Wasscher, J. D.

CS N. V. Philips' Gloeilampenfabrieken, Eindhoven, Neth.

SO J. Appl. Phys. (1961), 32, 2220-5

DT Journal

LA Unavailable

The p, T, x diagram of the Sn-S system was detd., especially in the region of the compd. SnS. The pressure of S2 in equil. with SnS and a liquid phase was found to extend over several decades up to 25 mm. Hg at the "Sn-rich" side, whereas at the "S-rich" side the S2 pressures in equil. with solid SnS and a liquid phase lie between 25 mm. Hg and 100 mm. Hg. The existence region of solid SnS very probably lies entirely at the excess-sulfur side. The hole mobility in a plane perpendicular to the c axis, .apprxeq.90 cm.2/v. sec. at room temp., was proportional to T-2.2 for higher temps. The mobility in the direction of the c axis was about 1/5 as great. Reversible annealing effects were found for temps, above 200.degree.C. which could be explained by assuming assocn. of neutral Sn vacancies. Absorption measurements showed that the edge absorption is due to indirect transitions. The bandgap was 1.08 e.v. at 300.degree.K. and 1.115 e.v. at 77.degree.K. Interband transitions in the valence band were also found. The effective charge of the atoms ( $e^* = 0.7 c0$ ) and the effective masses of the holes in the 3 principal crystal directions (ma\* = mb\* = 0.20 m0; mc\* .apprxeq. m0) were detd. from reflection measurements in the infrared. From these values and the value for the d. of states mass obtained by means of the Seebeck effect (md\* .gtoreq.0.95 m0), the no. of equiv. max. of the valence band was at least 4.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(guanylic synthetase inhibition by, in Escherichia coli mutant)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 176 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1962:21459 CAPLUS

DN 56:21459

OREF 56:4067g-h,4068a

TI Buthiopurine in the treatment of acute leukemias and terminal blastic stages of chronic myeloid leukemias

AU Cerny, V.; Winkler, A.; Ujhazy, V.; Sandor, L.; Sutekova, M.

CS Vyzkumny Ustav Onkol., Bratislava, Czech.

SO Neoplasma (1961), 8, 305-9

DT Journal

LA English

AB The title compd. [6-(4-car-boxybutyl)thiopurine] showed in 19 patients a greater range, higher cytostatic effect, and lower toxicity than 6-mercapto-purine.

Absolute stereochemistry.

L3 ANSWER 177 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:124956 CAPLUS

DN 55:124956

OREF 55:23568b-i

TI N-Glycosides of aldoses and ketoses

IN Schroeder, William

PA Upjohn Co.

DT Patent

LA Unavailable

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2993039 19610718 US

GR 200959

PΙ GB 900959 GB Title compds. were prepd. with concurrent epimerization from ·AB···· 3-O-sulfonacyl ketoses, or 2-O-sulfonacyl aldoses of 5-7 C sugars by the reaction with N-glycoside-forming alkyl or aryl amines, or the Na salts of substituted purines or pyrimidines in an inert solvent at 20-30.degree.. The primary OH groups of the sugars may be substituted by triphenylmethyl groups. Thus, 4.4 g. Na adenate (I) was added to 3.4 g. 3-O-methylsulfonyl-D-fructose (II) (Helferich and Jochinke, CA 35, 47427) in 35 ml. abs. EtOH and the mixt. kept 20.degree. overnight to give 3.5 g. solid. A 2 g. sample was subjected to an 800 transfer countercurrent distribution in BuOHH2O to yield 0.23 g. 6-amino-9-.beta.-Dpsicopyranosylpurine (III), m. 155-60.degree., [.alpha.]27D - 174.degree. [c 1.33, HCONMe2 (IV)], K 0.045, and 0.05 g. 6-amino-9-.beta.-Dpsicofuranosylpurine (V), m. 202-4.degree., K 0.17. Alternatively, 4.4 g. III was prepd. by adding 15 g. II to 9.2 g. I in IV. 1,6-Di-Otriphenylmethyl-3-0-methylsulfonyl-D-fructofuranose (VI), prepd. from 5.16 g. II, was treated with 3.47 g. I in IV to form 13 g. crude 6-amino-9-.beta.-(1,6-di-O-triphenylmethyl-D-psicofuranosyl)purine, 3.9 g. of which yielded 0.1 g. V by detritylation with Na and liquid NH3.  $\,$  V (21) g.) in 245 ml. H2O was treated with 7 ml. concd. H2SO4 at 10.degree. overnight to give 10.3 g. D-psicose (sirup), [.alpha.]24D 2.8.degree. (c 5, H2O). 6-Methylthiopurine (Albert and Brown, CA 50, 15539c) was converted to the Na salt and treated with 2.58 g. II in IV to give 6-methylthio-9-.beta.-D-psicopyranosylpurine, m. 201-3.degree. (Me2SO), [.alpha.]25D - 151.degree. (c 0.542, Me2SO). Similarly, 10.15 g. II was treated with 5 g. of the Na salt of 7-amino-.gamma.-triazolo[d]pyrimidine (CA 41, 999e) in IV, and the residue from the acetone extn. submitted to 510 countercurrent transfers as described above, to yield 7-amino-3-.beta.-D-psicopyranosyl-.gamma.-triazolo[d]pyrimidine, m.

157-8.degree. (H2O), K 0.23. In the same way 3.9 g. 2-O-methylsulfonyl-Darabinose (VII) was treated with 2.7 g. I in IV, and the solid product chromatographed on a Solka-Floc column using aq. NH4OH, pH 10, as the eluting solvent, to give 6-amino-9-.beta.-D-ribopyranosylpurine, m. 250-2.degree., [.alpha.]25D - 36.degree. (c 0.51, H2O). 2-O-Methylsulfonyl-5-O-triphenylmethyl-D-arabinose (VIII) was treated with I in IV to form 6-amino-9-.beta.-D-ribofuranosylpurine, m. 233-5.degree., [.alpha.]25D - 62.degree. (c 0.5, H2O). Similarly, the reaction of 14.8 g. VI with 2.66 g. of the Na salt of cytosine gave, after detritylation, cytosine 1-.beta.-D-psicofuranoside, m. 202-3.degree.. Piperidine (8.5 g.), reacted with VIII to form piperidine N-D-ribofuranoside, after detritylation. In the same way p-toluidine, p-phenetidine, 2-naphthylamine, morpholine, benzylamine, isobutylamine, and cyclohexylamine N-D-ribofuranosides were prepd. When a mixt. of 8.5 g. piperidine and 2.58 g. II was stirred 2 hrs. at 50.degree., and evapd., piperidine N-D-psicoside was obtained. The addn. of 0.23 g. Na and 1.47 g. phthalimide in EtOH to 2.3 g. VII in EtOH gave cryst. phthalimide N-D-riboside upon evapn. Similarly the reaction of the Na salt of 5,6-dimethylbenzimidazole with VIII gave, after detritylation, 5,6-dimethylbenzimidazole N-D-ribofuranoside. V is active in vivo against Streptococcus hemolyticus. The nucleosides prepd. above are useful in culture media for plant and animal tissue cells, bacteria, fungi, and viruses. The N-D-ribosides are useful as intermediates in the prepn. of vitamin B2.

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 53318-75-5 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

RN 122359-58-4 CAPLUS

CN Adenine, 9-(1,6-di-O-trityl-.beta.-D-psicofuranosyl)- (6CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 178 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:113596 CAPLUS

DN 55:113596

OREF 55:21385f-g

TI Studies with psicofuranine in tumor bearing rat

AU Magee, Wayne E.; Eberts, Floyd S., Jr.

CS Upjohn Co., Kalamazoo, MI

SO Cancer Research (1961), 21, 611-19

DT Journal

LA Unavailable

AB Administration of psicofuranine at 500 mg./kg./day for 1 week in rats bearing Walker 256 adenocarcinoma caused a marked regression and a drop in utilization of phosphate-P32 uptake in tumors. It was possible to find small amts. of the psicofuranine phosphates in tissues by use of psicofuranine labeled with tritium. No more than traces of the drug could have been incorporated into nucleic acids. Incorporation of glycine-2-C14 into nucleic acid purines and protein was inhibited in tumor tissue by the drug, while in contrast, the liver showed increases in incorporation into adenine nucleotides and nucleic acids.

IT 1874-54-0, Psicofuranine

(as neoplasm inhibitor)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 179 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:113595 CAPLUS

DN 55:113595

OREF 55:21385c-f

TI Comparative chemotherapy studies on primary short-term cultures of human normal, benign, and malignant tumor tissues-a five year study

AU Cobb, Jewell Plummer; Walker, Dorothy G.; Wright, Jane C.

CS New York Univ., New York

SO Cancer Research (1961), 21, 583-90

DT Journal

LA Unavailable

Cytological alterations in primary short-term tissue cultures of 196 ABmalignant neoplasms, 8 benign neoplasms, and 14 normal tissues of human origin following a 96-hr. exposure to chemotherapeutic agents have been described. Test agents listed in order of decreasing cytotoxic capacities in vitro were thio-TEPA, actinomycin D, chlorambucil, methotrexate, and phenylalanine mustard. Certain trends in response included: (a) sensitivity of lymphosarcoma, Hodgkin's disease, and lymphomas of undetd. type to chlorambucil; (b) sensitivity of lymphomas of undetd. type to thio-TEPA; (c) sensitivity of fibrosarcomas to actinomycin D, chlorambucil, and thio-TEPA; (d) sensitivity of certain carcinomas to methotrexate and phenylalanine mustard; (e) resistance of lymphosarcomas to methotrexate and phenylalanine mustard; (f) resistance of breast carcinomas to chlorambucil; and (g) resistance of all melanomas to phenylalanine mustard. No relation between tissue-culture response to drug and (a) growth rate in vitro prior to therapy, (b) primary or metastatic lesion, or (c) prior in vivo therapy was found.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(as neoplasm inhibitor)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 180 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:87799 CAPLUS

DN 55:87799

OREF 55:16631d-e

TI Inhibition of xanthosine 5'-phosphate aminase by psicofuranine

AU . Slechta, Libor

CS Upjohn Co., Kalamazoo, MI

SO Biochem. Biophys. Research Communs. (1960), 3, 596-8

DT Journal

LA Unavailable

AB The inhibition was demonstrated in cell-free exts. of Escherichia coli B.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(xanthylic aminase inhibition by)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 181 OF 201 CAPLUS COPYRIGHT 2003 ACS

1961:76354 CAPLUS ΑN

DN 55:76354

OREF 55:14529h-i,14530a

Kinetics of the hydrolytic degradation of a nucleoside, the antibiotic psicofuranine

ΑU Garrett, Edward R.

CS Upjohn Co., Kalamazoo, MI

J. Am. Chem. Soc. (1960), 82, 827-32 SO CODEN: JACSAT; ISSN: 0002-7863

Journal DT

LΑ Unavailable

AΒ The nucleoside, the antibiotic psicofuranine, 6-amino-9-Dpsicofuranosylpurine, (I), is degraded by H+ and OH-. The products are adenine and the sugar psicose with the former catalyst and possibly with the latter. The rates are linear functions of the concns. of the neutral [P], protonated [PH+] and anionic [P-], nucleoside and can be expressed:  $d[P]total/dt = \{k2[H+]k3[OH-]\} [P] + k1[H+][PH+] + k4[OH-][P-].$  The uncharged I is hydrolyzed with bimol. rate constants approx. 2.5 times faster than the charged species due to the repulsion of the catalytic species, H+ or OH-, by the positively or negatively charged I ions, resp. Estimates of the pKa of the acid function in the sugar portion of the nucleoside have been made from the studies of the variations of the bimol. rate constant for hydrolyses with pH approx. 12.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(hydrolysis of)

RN1874-54-0 CAPLUS

9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME) CN

# Absolute stereochemistry.

L3 ANSWER 182 OF 201 CAPLUS COPYRIGHT 2003 ACS

ΑN 1961:60105 CAPLUS

DN 55:60105

OREF 55:11550f-i

A plaque suppression method for the study of antiviral compounds

AU Siminoff, Paul

CS Upjohn Co., Kalamazoo, MI

SO Appl. Microbiol. (1961), 9, 66-72

DT Journal

LA Unavailable

AΒ cf. Herrmann, et al., CA 54, 14346a. The successful use of plaque-forming systems in studying the antiviral activity of pure compds. and samples from fermented media is described. Among the pure compds. used in these tests were diethylamino-.alpha.-hydroxypropionaldehyde-HCl and psicofuranine. Chick emybro fibroblasts and chick embryo kidney cells were grown in monolayers in modifications of Earle's balanced salt soln. supplemented with lactalbumin hydrolyzate, yeast ext., and bovine serum plasma albumin, together with penicillin, streptomycin, and nystatin. The agar medium was added to Petri plates and overlaid with the cell suspension, previously infected with Newcastle disease virus (strain NJ-KD) or vaccinia virus. Paper discs impregnated with the test solns. were placed on top of the agar and after 3 days' incubation at 37.degree. the zones of growth and plaque suppression were measured. In some studies a larger dish was used and paper chromatograms placed on the agar. The Newcastle disease virus was inhibited by the diethyl-amino-.alpha.hydroxypropionaldehyde and the psicofuranine inhibited the vaccinia.

IT 1874-54-0, Psicofuranine

(effect on vaccinia virus)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(effect on Vaccinia virus

L3 ANSWER 183 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:48692 CAPLUS

DN 55:48692

OREF 55:9409e-i,9410a

TI Potential anticancer agents. XXVI. Synthesis of nucleosides derived from D-fructose

AU Reist, Elmer J.; Hart, Phillip A.; Baker, B. R.

CS Stanford Research Inst., Menlo Park, CA

SO J. Org. Chem. (1959), 24, 1640-3 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

The reaction of chloromercuri derivs. of purines with the appropriately blocked derivs. of D-fructose gave 9-(.alpha.-D-fructofuranosyl)adenine (I) and 9-(.beta.-D-fructopyranosyl)adenine (II). The stereochemistry of the condensations was discussed. To 2.0 g. 1,3,4,6-tetra-O-benzoyl-D-fructofuranose (III) (Brigl and Schinle, CA 28, 16673) in 60 ml. anhyd. Et2O satd. with dry HCl at 0.degree. was added 2.25 g. AcCl, the soln. stored 2 days at 0.degree., concd. in vacuo at 30.degree., and the residue evapd. in vacuo twice with C6H6 to give chloro sugar (IV), [.alpha.]30D

8.8 .+-. 2.8.degree. (c 0.89, CH2Cl2), essentially no HO absorption at 2.9 .mu.. IV in 200 ml. dry xylene condensed with 1.82 g. chloromercuri-6-benzamidopyrine (V) [prepd. from HgCl2 and 6-benzamidopurine as described for chloromercuri-2,6-diacetamidopurine, (CA 52, 3822h)] in the usual manner (CA 53, 3230e) and the org. phase evapd. gave 2.3 g. crude blocked I, foam, .lambda. (film) 3.25, 5.77, 7.9, 9.0, 9.75 .mu.. Crude blocked I (2.3 g.) in 45 ml. MeOH and 4.2 ml. N MeOH-MeONa refluxed 40 min., the soln. neutralized with Dowex 50 (H+ form), the mixt. filtered, the filtrate evapd. in vacuo, the residue dissolved in H2O, the soln. extd. with Et2O, the aq. phase evapd. in vacuo, the residue dissolved in MeOH, the soln. treated with 18 ml. 10% picric acid MeOH, the mixt. kept 1 hr. at 0.degree., the ppt. filtered off, washed with cold MeOH, suspended in H2O, the mixt. treated portionwise during 1 hr. with stirring with 1.0 g. (total) Dowex 2 (CO32form) until the ppt. dissolved, filtered, and the filtrate evapd. in vacuo gave 0.17 g. crude I, [.alpha.]31D 42.6.degree. (c 1, H2O), RAd (RAd as in preceeding part) 0.43 in BuOH satd. with H2O (solvent A) and RAd 1.68 in 5% aq. Na2HPO4 (solvent B); recrystn. from abs. EtOH gave I, m. 234-5.degree. (decompn.), [.alpha.]31D 46.8 .+-. 3.1.degree. (c 1.03, H2O). 1,3,4,5-Tetra-O-benzoyl-D-fructopyranosyl bromide (8.2 g.) in dry xylene treated with 8 g. V as usual and the nucleoside isolated through the picrate as above gave 1.7 g. crude II, foam, [.alpha.]D -75 .+-. 3.degree. (c 1, MeOH), RAd 0.20 and 1.63 in A and B, resp. Crude II (1.4 g.) treated with 20 ml. hot EtOH and the resulting solid recrystd. from abs. EtOH gave 0.6 g. II, m. 227-8.degree. (deeompn.), [.alpha.]D -171 .+-. 4.degree. (c 1, H2O).

IT 6936-84-1, Adenine, 9-.alpha.-D-fructofuranosyl-(prepn. of)

RN 6936-84-1 CAPLUS

CN 9H-Purin-6-amine, 9-.alpha.-D-fructofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 184 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:28517 CAPLUS

DN 55:28517

OREF 55:5663c-d

TI Mode of action of psicofuranine

AU Slechta, L.

CS Upjohn Co., Kalamazoo, MI

SO Biochem. Pharmacol. (1960), 5, 96-107

DT Journal

LA Unavailable

AB Inhibition of the growth of Escherichia coli B by psicofuranine was only transitory, and the action could be reversed by guanine and its derivs. Other purines and pyrimidines were inactive. Cells growing in the presence of the antibiotic excreted xanthosine. Measurements of purine synthesis from glycine-1-C14 in whole cells under the influence of

psicofuranine showed a decreased isotope incorporation into guanine with an increase in radioactivity of xanthine. No effect of incorporation of glycine-1-Cl4 into adenine was observed. Similar results were noted when the conversion of hypoxanthine-8-Cl4 into adenine and guanine was measured in inhibited cells. Results showed that the antibiotic inhibited conversion of xanthosine 5'-phosphate to guanosine 5'-phosphate.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(bactericidal action of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 185 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:18496 CAPLUS

DN 55:18496 OREF 55:3720g-h

TI Mechanism of action of psicofuranine

AU Hanka, Ladislav J.

CS Upjohn Co., Kalamazoo, MI

SO J. Bacteriol. (1960), 80, 30-6

DT Journal

LA Unavailable

AB The mechanism of action of psicofuranine was studied by quant. reversal of its antimicrobial action on Staphylococcus aureus. The inhibition was effectively reversed by several compds. contg. purine bases. The most effective contained guanine. Adenine- and hypoxanthine-contg. nucleosides and nucleotides were much less active. The exptl. evidence indicated that psicofuranine interfered with the biosynthesis of guanylic acid from xanthylic acid.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(effect on Staphylococcus aureus)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 186 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1961:3805 CAPLUS

DN 55:3805

OREF 55:779e-h

TI Psicofuranine. Kinetics and mechanisms in vivo with the application of the analog computer

AU Garrett, Edward R.; Thomas, Richard C.; Wallach, Donald P.; Alway, Clayton D.

CS Upjohn Co., Kalamazoo, MI

SO J. Pharmacol. Exptl. Therap. (1960), 130, 106-18

DT Journal

LA Unavailable

AB Application of the computer technique to the interpretation of pharmacol. data obtained by administration of psicofuranine (I) (cf. CA 53, 22215d) to dogs is consistent with the results of anal. math. The method and equipment permitted the automatic plotting of drug levels in all physiol. depots at any time after intravenous or oral administration. For I at least 1 other large vascular space of enhanced permeability may exist in the intact dog that is not present after nephrectomy; the intravenous dosage blood level data are not consistent with the premise of only 1 vascular space, no matter what permeability and size are hypothesized. On oral administration I is primarily absorbed from the intestine. This is consistent with a postulated pH 2.2 of the stomach and with the model of nonabsorption of the ionized drug of pK' 3.9. Appearance of the drug in the urine does not preserve material balance. Some irreversible binding or consumption of the drug occurs within the animal. Kinetic investigation of the acid-catalyzed degradation of I permitted estn. of in vivo degradation in the gastrointestinal tract.

IT 1874-54-0, Psicofuranine

(pharmacol. activity of, kinetics and mechanism of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(pharmacol. activity of, kinetics and mechanisms of

L3 ANSWER 187 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1960:121268 CAPLUS

DN 54:121268

OREF 54:23193h-i

TI Psicofuranine: correlation of assay methods in acid degradation studies

AU Garrett, Edward R.; Hanka, Ladislav J.

CS Upjohn Co., Kalamazoo, MI

SO J. Am. Pharm. Assoc., Sci. Ed. (1960), 49, 526-9

DT Journal

LA Unavailable

AB Acid-catalyzed degradation of psicofuranine (I) gives adenine (II) and psicose. The biol. activity of I in the plate-disk method against

Staphylococcus aureus is apparently reversed by II. When this phenomenon is accounted for by standard curves with the same amt. of II as the material to be assayed, chem. and biol. assays correlate. The kinetic consts. for the acid-catalyzed hydrolysis of I are given.

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 188 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1960:45975 CAPLUS

DN 54:45975 OREF 54:9095q-h

TI Psicofuranine. VIII. Some pharmacological observations

AU Wallach, Donald P.; Thomas, Richard C.

CS Upjohn Co., Kalamazoo, MI

SO Antibiotics & Chemotherapy (1959), 9, 722-9

DT Journal

LA Unavailable

AB cf. C.A. 54, 6851c. Psicofuranine (I) administered intravenously to dogs was mostly excreted unchanged by way of the kidneys; very little was found in the bile. I was well absorbed from the gastrointestinal tract and a high % recovered from the urine. I was also well absorbed when administered intramuscularly but produced considerable pain on injection. I distributed itself to most of the body tissues but very little passed the blood-brain barrier.

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

AN 1960:45974 CAPLUS

DN 54:45974

OREF 54:9095d-q

TI Increase of the cathepsin activity of the liver and the skeletal muscle of rats treated with 2,4-dinitrophenol or with bacterial lipopolysaccharide

AU Martini, E.

CS Univ. Genoa, Italy

SO Experientia (1959), 15, 182-3

DT Journal

LA English

AΒ A study dealing with the behavior of cathepsin activity (I) both of the liver and skeletal muscle of rats injected with one of two pyrogenic substances, 2,4-dinitrophenol (DNP) (II) or lipopolysaccharide of Salmonella abortivoequina (LPS) (III), was undertaken. Two types of suspension fluids for 10% homogenates of liver and skeletal muscle were used, namely 0.25M sucrose and 0.25M sucrose contg. 0.1% Triton X-100 (IV). I was detd. by the method of Gianetto and DeDuve (C.A. 49, 7014h) with 0.17M acetate buffer, pH 5, and 0.00026M hemoglobin as substrate was employed as the reaction fluid. A second reaction fluid contained 0.1% IV. Results showed that I of liver homogenates of rats treated with II and III without IV is strongly increased. No significant differences were noted with homogenates prepd. in absence of IV. I of skeletal muscle is strongly increased in treated rats. Rats treated with III showed greater I both in expts. with and without IV. Since the cathepsin which acts on hemoglobin is located in lysosomes, the above results suggest that the change is not due to a real increase of the amt. of enzyme contained in the tissue, but to a damage of the particle in which it is contained.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(metabolism of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 190 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1960:34596 CAPLUS

DN 54:34596

OREF 54:6851b-d

TI Psiofuranine. VII. Chemical determination in plasma and serum

AU Forist, Arlington A.; Theal, Susan; Hoeksema, Herman

SO Antibiotics & Chemotherapy (1959), 9, 685-9

DT Journal

LA Unavailable

AB cf. C.A. 54, 4893a. A chem. method for detn. of psicofuranine (I) in plasma and serum consisted of neutral pptn. of the proteins with EtoH, redn. of free sugars in the residue from the protein-free filtrate with Na borohydride, and spectrophotometric measurement at 630 m.mu. of I by its reaction product with Ph2NH. Detn. of I added to human plasma and dog serum gave mean deviations of .+-.2.8 and .+-.2.2 .gamma./ml., resp., over

the range 20-100 .gamma./ml.

IT **1874-54-0**, Adenine, 9-.beta.-D-psicofuranosyl-

(detn. of, in blood)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

L3 ANSWER 191 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1960:24610 CAPLUS

DN 54:24610

OREF 54:4893a-c

TI Psicofuranine VI. Antitumor and toxicopathological studies

AU Evans, John S.; Gray, Jack E.

CS The Upjohn Co., Kalamazoo, MI

SO Antibiotics & Chemotherapy (1959), 9, 675-84

DT Journal

LA Unavailable

AB cf. C.A. 53, 22215d. Psicofuranine (I) prolonged survival time and produced regressions when administered intraperitoneally at 100 mg./kg./day to rats bearing Walker adenocarcinoma or Jensen sarcoma and orally at 500 mg./kg./day on Murphy-Sturm lymphosarcoma or Guerin tumor. I was ineffective against 3 mice and one chicken tumors. The acute L.D.50 of I given intraperitoneally to mice was 1695 mg./kg. and to rats orally approx. 10,000 mg./kg. Daily oral doses (for 28 days) of 300 mg./kg./day to rats and 100 mg./kg./day to dogs were relatively nontoxic. At higher doses dogs showed wt. loss, degenerative changes of the liver, hypertrophied adrenals, and thyroids of decreased size.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(as neoplasm inhibitor)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

(neoplasm inhibition by and toxicology of

L3 ANSWER 192 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1960:17024 CAPLUS

DN 54:17024

OREF 54:3428i,3429a-b

TI A new antibiotic, angustmycin. VIII. Structure of angustmycin C

AU Yunsten, Hsu

SO J. Antibiotics (Japan) Ser. A (1958), 11, 244-9

DT Journal

LA Unavailable

AB Ic, C11H15N5O5, m. 202-4.degree., [.alpha.]19D -71.1.degree. (c 1.8, pyridine), gave a neg. ninhydrin reaction and 1 amino group by Van Slyke method. Ic (500 mg.) with Ac2O in pyridine yielded 400 mg. pentaacetate (XII), needles, m. 115-16.degree. (uncor.) (EtOAc-ligroine). XII (300 mg.) with 0.02N MeONa yielded 96 mg. Ic. Ic (5 g.) heated in 0.5N H2SO4 yielded 2.9 g. adenine hemisulfate monohydrate and a viscous sirup (XIII), C6H12O6, [.alpha.]2OD 3.2.degree. (c 5, H2O). XIII gave a phenylosazone, needles, C18H22N4O4, m. 16l-3.degree. (uncor.) (hot 50% EtOH). XII with NaBH4 yielded allitol, prisms, m. 149-50.degree. (uncor.), optically inactive, and D-talitol, needles, m. 85-8.degree. (uncor.), [.alpha.]19D 3.2.degree. (c 2, EtOH). Ic in HCl-MeOH yielded adenine-HCl and methyl D-psicoside (XIV), C6H11O5 (OMe). XIV with MeI and Ag2O and subsequently with Na and Me2SO4 yielded Me tetra-O-methyl-D-psicoside (XV), b2 104.degree. (uncor.), [.alpha.]18D -28.3.degree. (EtOH). XV (100 mg.) with HNO3 yielded 145 mg. IX. The structure of Ic was considered as 6-amino-9-(.beta.-D-psicofuranosyl)purine.

IT **1874-54-0**, Adenine, 9-.beta.-D-psicofuranosyl-(angustomycin C identity with)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 100658-94-4, Adenine, N6-acetyl-9-.beta.-D-psicofuranosyl-,
 tetraacetate

(prepn. of)

RN 100658-94-4 CAPLUS

L3 ANSWER 193 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1960:17023 CAPLUS

DN 54:17023 OREF 54:3428c-i

TI A new antibiotic, angustmycin. VII. Structure of angustmycin A

AU Yunsten, Hsu

SO J. Antibiotics (Japan) Ser. A (1958), 11, 233-43

DT Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.
AB Ia.H2O has pKa 9.8. Ta (1.5 g ) with

Ia.H2O has pKa 9.8. Ia (1.5 g.) with Ac2O in pyridine yielded 1.6 g. tetraacetate (X), needles, m. 187-8.degree. (EtOAc-ligroine) (uncor.), [.alpha.]20D 12.2.degree. (c 1.36, EtOH). X (1 g.) hydrogenated on PtO2 yielded the dihydro deriv. of Ia tetraacetate (EtOAc-ligroine), needles, m. 177-9.degree. (uncor.). The dihydro deriv. of Ia tetraacetate (800 mg.) with 0.02N MeONa yielded 680 mg. dihydro deriv. of Ia (EtOH), needles, m. 153-4.degree. (uncor.). Ia (5 g.) heated in 0.1N H2SO4 yielded 3.6 g. adenine hemisulfate monohydrate (boiling water), m. above 285.degree. (decompn.), and 850 mg. II (EtOH), needles, m. 115-16.degree. (uncor.), [.alpha.]20D 18.degree. (c 1, EtOH). II with NaBH4 in aq. soln. yielded L-fucitol, m. 151-2.degree. (uncor.), [.alpha.]18D 18.5.degree. (c 3, EtOH), and III, [.alpha.]18D -2.6.degree. (c 2.5, H2O). Ia (2.5 g.) with EtSH-1% HCl yielded adenine-HCl and 1.2 g. IV, light yellow sirup, [.alpha.]22D 44.9.degree. (c 1, EtOH). IV (150 mg.) refluxed with HgCl2 in aq. EtOH gave II. V, derived from IV, was acetylated to a diacetate, identical with a synthetic diacetate from hydrogenation of maltol and acetylation. VI with Ac20 in pyridine yielded a diacetate, prisms, m. 125-6.degree. (uncor.), [.alpha.]20D -73.6.degree. (c 1.2, EtOH). VII (800 mg.) heated in 0.1N HCl and subsequently with periodate yielded HCO2H and L-5-deoxy-2,3-di-O-methyllyxonic acid (XI). XI was oxidized to VII, needles (C6H6ligroine), m. 160-2.degree. (uncor.). The dihydro deriv. of Ia (500 mg.) heated in N H2SO4 yielded adenine hemisulfate monohydrate and 6-deoxytalose, which was reduced to III, needles, m. 106-7.degree., [.alpha.]19D -2.8.degree. (c 3, H2O). Ia.H2O consumed 2 moles periodate and IV, 1 mole. Ia yielded allomaltol, m. 152-4.degree., by vigorous hydrolysis. Ia is 6-amino-9-(L-1,2-fucopyranosyl)purine.

IT 100658-94-4, Angustomycin C, N-acetyl-, tetraacetate

(prepn. of)

RN 100658-94-4 CAPLUS

CN Adenine, N-acetyl-9-.beta.-D-psicofuranosyl-, tetraacetate (7CI) (CA INDEX NAME)

L3 ANSWER 194 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1960:15656 CAPLUS

DN 54:15656 OREF 54:3049h-i

TI Spectrophotometric determination of psicofuranine-elimination of monosaccharide interference in the determination of a nucleoside

AU Forist, Arlington A.

CS Upjohn Co., Kalamazoo, MI

SO Anal. Chem. (1959), 31, 1767-8 CODEN: ANCHAM; ISSN: 0003-2700

DT Journal

LA Unavailable

AB The procedure for the detn. of psicofuranine in the presence of psicose, its hydrolysis product, is based on the elimination of psicose by redn. with NaBH4 followed by color development on reaction of psicofuranine with Ph2NH.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(detn. of, in presence of psicose)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

L3 ANSWER 195 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1959:123194 CAPLUS

DN 53:123194 OREF 53:22216a-c

TI Selection of antibiotic-sensitive staphylococci from antibiotic-resistant populations by 2,4-dinitrophenol and sodium salicylate

AU Fusillo, Matthew H.; Weiss, Daniel L.

CS District Columbia Gen. Hosp., Washington, DC

SO Antibiotics & Chemotherapy (1959), 9, 455-8

DT Journal

LA Unavailable

AB cf. C.A. 52, 12990b. Antibiotic-sensitive colonies were recovered from

antibiotic-resistant Staphylococcus aureus populations when grown anaerobically in the presence of 2,4-dinitrophenol and Na salicylate. The latter was less effective and produced fewer sensitive cultures. The parent cultures selected were resistant to penicillin, streptomycin, and tetracycline. An ideal chemotherapeutic agent for staphylococci is defined as one which inhibits anaerobic cell metabolism as well as oxidative phosphorylation at clinically effective levels without being toxic to the host.

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 196 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1959:123193 CAPLUS

DN 53:123193

OREF 53:22215i,22216a

TI Psicofuranine. V. Paper chromatography and ultraviolet absorption assay

Sokolski, W. T.; Eilers, N. J.; Eble, T. E.

CS Upjohn Co., Kalamazoo, MI

SO Antibiotics & Chemotherapy (1959), 9, 436-8

DT Journal

ΑU

LA Unavailable

AB The paper chromatographic pattern for I with 6 solvent systems is described. The optical d. of the area on a strip contg. I and developed in a system of BuOH:water (84:16) with 2% piperidine added to the mixt. was measured by ultraviolet absorption techniques at 262 m.mu. by using a recording spectrophotometer and the amt. of I detd. from a standard curve plotted as logarithmic dose vs. optical d. A dose of 1 .gamma. was detectable. The standard error for the assay was estd. to be 16%.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(detn. of)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

L3 ANSWER 197 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1959:123192 CAPLUS

DN 53:123192

OREF 53:22215h-i

TI Psicofuranine. IV. Microbiological assay

AU Hanka, L. J.; Burch, M. R.; Sokolski, W. T.

CS Upjohn Co., Kalamazoo, MI

SO Antibiotics & Chemotherapy (1959), 9, 432-5

DT Journal

LA Unavailable

AB I, an antibiotic with antibacterial activity in vivo but not in vitro by the usual test methods, was assayed by using a semisynthetic growth medium supplemented with liver ext. and Staphylococcus aureus as the test organism. A disk plate method requiring 4 hrs. refrigeration before incubation for 6-8 hrs. at 37.degree. detected 10 .gamma. I/ml. in water and 3 .gamma./ml. in blood or serum. A turbidimetric assay detected 0.5 .gamma./ml.

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

(detn. of

L3 ANSWER 198 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1959:123191 CAPLUS

DN 53:123191 OREF 53:22215h

TI Psicofuranine. III. Production and biological studies

AU Vavra, J. J.; Dietz, A.; Churchill, B. W.; Siminoff, P.; Koepsell, H. J.

CS Upjohn Co., Kalamazoo, MI

SO Antibiotics & Chemotherapy (1959), 9, 427-31

DT Journal

LA Unavailable

AB Streptomyces hygroscopicus var. decoyicus which produces I is described.

The in vitro activity of I against various bacteria on a minimal liver ext. medium is given.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-(bacterial inhibition by)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 199 OF 201 CAPLUS COPYRIGHT 2003 ACS

AN 1959:123190 CAPLUS

DN 53:123190 OREF 53:22215f-h

TI Psicofuranine. II. Studies in experimental animal infections

AU Lewis, Charles; Reames, Harold R.; Rhuland, Lionel E.

CS Upjohn Co., Kalamazoo, MI

SO Antibiotics & Chemotherapy (1959), 9, 421-6

DT Journal

LA Unavailable

I was not active in vitro in conventional media in concns. of 500 .mu./ml. against a variety of bacteria, but in mouse protection tests administered orally or subcutaneously in doses of 6.5-68 mg./kg./day it was effective in curing exptl. infections caused by Staphylococcus aureus, Streptococcus pyogenes, and Escherichia coli in mice. I was inactive against Diplococcus pneumoniae, Proteus vulgaris, Pseudomonas aeruginosa, Salmonella, Entamoeba histolytica, and Nippostrongylus muris. Resistance to I was developed in a slow stepwise manner by repeated passage in vitro of S. aureus in 500 .gamma. I/ml. and the increased resistance measured by the in vivo sensitivity of the strain in infected mice. Mice tolerated subcutaneous doses of 400 mg. I/kg./day and oral of 800 mg./kg./day for 6 days.

IT 1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-

(bacterial inhibition by)

RN 1874-54-0 CAPLUS

CN 9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)

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L3
     ANSWER 200 OF 201 CAPLUS COPYRIGHT 2003 ACS
ΑN
     1959:123189 CAPLUS
DN
     53:123189
OREF 53:22215d-f
     Psicofuranine. I. Discovery, isolation, and properties
TΤ
     Eble, T. E.; Hoeksma, H.; Boyack, G. A.; Savage, G. M.
AU
CS
     Upjohn Co., Kalamazoo, MI
     Antibiotics & Chemotherapy (1959), 9, 419-20
SO
DT
     Journal
LΑ
     Unavailable
AΒ
     Psicofuranine (I), 6-amino-9-D-psicofuranosylpurine, a new, cryst.
     antibiotic produced in fermented broth by Streptomyces hygroscopicus var.
     decoyicus, was obtained from the broth (pH 2), filtered, and adjusted to
     pH 9.7-10; absorbed on C; eluted with 80% Me2CO, pH adjusted to 7-8;
     concd., and crystd. at 2.degree.. I was purified by countercurrent
     distribution in BuOH-water. I m.212-14.degree. (decompn.); very sol. in
     dimethylformamide, dimethyl sulfoxide, and hot water; sol. in water and
     MeOH at 8 mg./ml., EtOH 6 mg./ml., BuOH 2 mg./ml., and EtOAc 0.23 mg./ml.;
     [.alpha.]25D = -53.7.degree. (c, 1% in dimethylsulfoxide) and [.alpha.]25D
     = -68.degree. (c, 1% in dimethylformamide); most stable at pH 7, at
     0-25.degree.. The infrared spectrum is given. In 0.01N acid I gave
     E1%1cm. = 508 at 259 m.mu.; in 0.01N base E1%1cm. = 527 at 261 m.mu..
ΙT
     1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-
        (bacterial inhibition by)
RN
     1874-54-0 CAPLUS
     9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI) (CA INDEX NAME)
CN
Absolute stereochemistry.
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# (properties of

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L3
     ANSWER 201 OF 201 CAPLUS COPYRIGHT 2003 ACS
AN
     1959:83472 CAPLUS
DN
     53:83472
OREF 53:15092f-i
TΤ
     A new antibiotic, 6-amino-9-D-psicofuranosylpurine
ΔII
     Schroeder, Wm.; Hoeksema, Herman
     Upjohn Co., Kalamazoo, MI
CS
SO
     J. Am. Chem. Soc. (1959), 81, 1767-8
     CODEN: JACSAT; ISSN: 0002-7863
DT
     Journal
LΑ
     Unavailable
GI
     For diagram(s), see printed CA Issue.
ΑB
     The data presented allow the formulation (I) for the antibiotic U-9586, m.
     212-14.degree. (decompn.), [.alpha.]25D -53.7.degree. (c 1, Me2SO2),
     -68.degree. (c 1, HCONMe2). I hydrolyzed with aq. or alc. acid gave an
     adenine salt. I hydrolyzed 12 hrs. at 25.degree. in 0.57M H2SO4, the
     adenine sulfate sepd., and the filtrate treated with PhNHNH2 gave a
     phenylosazone (II), m. 161-3.degree., [.alpha.]25D -75.4.degree. (after 15
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09567863
     min., c 0.557 in pyridine). II with CuSO4 gave a phenylosotriazole, m.
     134-5.degree., [.alpha.]24D 28.5.degree. (c 0.554, pyridine). Thus the
     sugar was D-psicose. D-Psicosyl chloride tetraacetate condensed with
     chloromercuri-6-acetamidopurine and the product deacylated yielded I,
     identical to the natural material.
     1874-54-0, Adenine, 9-.beta.-D-psicofuranosyl-
IT
        (prepn. of)
     1874-54-0 CAPLUS
RN
     9H-Purin-6-amine, 9-.beta.-D-psicofuranosyl- (9CI)
                                                        (CA INDEX NAME)
CN
Absolute stereochemistry.
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                   OH
          HO
=> s 13 and oligonucleotide
         40662 OLIGONUCLEOTIDE
             7 L3 AND OLIGONUCLEOTIDE
T<sub>1</sub>4
=> d 14 bib abs hitstr 1-7
     ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS
L4
    2002:368486 CAPLUS
AN___
DN
     136:355426
     Preparation of modified nucleosides and nucleotides and use thereof
TI
IN
     Chattopadhyaya, Jyoti
PA
     Swed.
SO
     PCT Int. Appl., 33 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
                     A1
                            20020516
     WO 2002038578
                                          WO 2001-SE2484
                                                           20011109
ΡI
         W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
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SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
           BY, KG, KZ, MD
       RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
           DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
           BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2002014477
                        20020521
                                    AU 2002-14477
                                                   20011109
                   A5
PRAI US 2000-247399P
                    Р
                        20001109
    US 2001-308063P
                    Р
                        20010725
    WO 2001-SE2484
                    W
                        20011109
    MARPAT 136:355426
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The present invention relates to the prepn. of modified nucleotides and nucleosides I and II wherein Q = O, S; X = O, S, NH, NCH3, CH2, CHMe, Y = O, S, NH, NCH3, CH2, CHMe; Z = O, S, NH, NCH3, CH2, CHMe; B = A, C, G, T; 5-F/Cl/BrU, 6-thioguanine, 7-deazaguanine; .alpha.- or .beta.-D-(or L)ribo, xylo, arabino or lyxo configuration. The modified nucleotides and nucleotides are assembled to larger oligonucleotides and oligonucleosides, which, for example, may be used for diagnostics of polymorphisms and for antisense therapy of various conditions (no data). The oligonucleotides and oligonucleosides described in the invention have very good endonuclease resistance without compromising the RNA cleavage properties of RNase H. Thus, nucleoside phosphoramidite III was prepd. and incorporated into oligonucleosides useful as endonuclease resistance without compromising the RNA cleavage properties of RNase H. RNA cleavage properties of RNase H.

IT 344906-03-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and endonuclease resistance of modified oligonucleosides)

RN 344906-03-2 CAPLUS

CN Uridine, 5-methyl-1'-C-[[(methylsulfonyl)oxy]methyl]-,
5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2001:481502 CAPLUS

DN 135:227197

TI Synthesis of a Novel Bicyclic Nucleoside Restricted to an S-Type Conformation and Initial Evaluation of Its Hybridization Properties When Incorporated into Oligodeoxynucleotides

AU Kvrno, Lisbet; Wightman, Richard H.; Wengel, Jesper

CS Center for Synthetic Bioorganic Chemistry Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.

SO Journal of Organic Chemistry (2001), 66(15), 5106-5112 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 135:227197

The phosphoramidite (1S,3R,4S)-3-(2-cyanoethoxy(diisopropylamino)phosphino xymethyl)-5-N-(4-monomethoxytrityl)-1-(uracil-1-yl)-5-aza-2-oxabicyclo[2.2.1]heptane of a novel bicyclic nucleoside structure was synthesized from the known 1-(3'-deoxy-.beta.-D-psicofuranosyl)uracil. Conformational anal. of its structure verified its expected S-type furanose conformation, and the secondary amino group in the 4'-position allowed for incorporation into oligonucleotides using 5'.fwdarw.3' directed oligonucleotide synthesis as previously described for phosphoramidates. Thermal denaturation studies showed rather large decreases in duplex stabilities of -4.3 and -2.7 .degree.C per modification toward complementary DNA and RNA, resp.

IT 55697-37-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of a novel bicyclic nucleoside restricted to an S-type conformation and initial evaluation of its hybridization properties when incorporated into oligodeoxynucleotides)

RN 55697-37-5 CAPLUS

# IT 358625-34-0P 358625-37-3P 358625-52-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of a novel bicyclic nucleoside restricted to an S-type conformation and initial evaluation of its hybridization properties when incorporated into oligodeoxynucleotides)

RN 358625-34-0 CAPLUS

CN Uridine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 358625-37-3 CAPLUS

CN Uridine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-methanesulfonate (9CI) (CA INDEX NAME)

RN 358625-52-2 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[1,6-bis-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy-.beta.-D-threo-2-hexulofuranosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS
- AN 2001:138891 CAPLUS
- DN 135:57707
- TI Conformation-specific cleavage of antisense oligonucleotide-RNA duplexes by RNase H
- AU Pradeepkumar, Pushpangadan I.; Zamaratski, Edouard; Foldesi, Andras; Chattopadhyaya, Jyoti
- CS Department of Bioorganic Chemistry, Biomedical Center, University of Uppsala, Uppsala, S-75123, Swed.
- SO Journal of the Chemical Society, Perkin Transactions 2 (2001), (3),

402-408

CODEN: JCSPGI; ISSN: 1472-779X

PB Royal Society of Chemistry

DT Journal

LA English

AB

OS CASREACT 135:57707

The North-form (3'-endo) constrained 1-(1',3'-O-anhydro-.beta.-Dpsicofuranosyl) thymine block, T, was systematically incorporated at various sites, one at a time, into a set of four antisense oligonucleotides (AONs). The hybrids of these AONs with a matched 15mer RNA target were subjected to the RNase H cleavage reaction, and compared with that of the native counterpart, in order to probe how far the local influence of a single North-locked sugar is transmitted in steering conformational changes in the neighboring nucleotides. It was found that the introduction of a single North-sugar locked T nucleotide in the AONs makes up to four of the neighboring nucleotides at the 5'-end of the modification site resistant to the RNase H cleavage reaction. suggests that a stretch of 5-nucleotides, including the T nucleotide, in the AON strand adopts a North-type conformation, giving a local RNA/RNA type hybrid structure instead of a regular DNA/RNA type duplex structure. Although these 5-nucleotide regions were completely resistant to RNase H promoted hydrolysis, they could serve as the binding site for the enzyme. Interestingly, none of these local adaptations of the RNA/RNA type structure were observable by CD spectroscopy, showing it to be an unsuitable means of monitoring any subtle alteration of the local structure. This work, therefore, constitutes an example of how the engineered conformation of a substrate can be used to exploit the stereochem. sensitivity of an enzyme to map local microscopic conformational changes. The other implication of this work is that it provides a new tool to gather local structural information, which may help to optimize the no. of constrained residues which need to be incorporated to induce the antisense strand to adopt either A- or B-type geometry in the hybrid duplex, with or without the loss of RNase H recognition and/or cleavage properties.

IT 344906-03-2P

CN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(conformation-specific cleavage of antisense **oligonucleotide** -RNA duplexes by RNase H)

RN 344906-03-2 CAPLUS

Uridine, 5-methyl-1'-C-[[(methylsulfonyl)oxy]methyl]-,
5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

# ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:760830 CAPLUS
- DN 130:110550
- TI Synthesis and hybridization property of an **oligonucleotide** analog containing a 1',3'-di-O-methylene-.alpha.-D-fructose backbone
- AU Zou, Ruiming; Matteucci, Mark D.
- CS Gilead Sciences, Inc., Foster City, CA, 94404, USA
- SO Bioorganic & Medicinal Chemistry Letters (1998), 8(21), 3049-3052 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB Hydrogen phosphonate monomers of T (thymine) and Cm (5-methylcytosine) bearing a 1',3'-di-O-methylene-.alpha.-D-fructose sugar moiety were synthesized and incorporated into an **oligonucleotide**.

  Hybridization studies by thermal denaturation expt. indicated that this **oligonucleotide** did not form a duplex with the complementary RNA target.
- IT 219537-76-5P 219537-77-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and hybridization property of an oligodeoxyribonucleotide analog contg. a methylene-fructose backbone)

- RN 219537-76-5 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 5-methyl-1-(1,3,4,6-tetra-O-benzoyl-.alpha.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

RN 219537-77-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(4,6-di-O-benzoyl-.alpha.-D-fructofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
- AN 1995:563174 CAPLUS
- DN 123:340613
- TI Looped oligonucleotides form stable hybrid complexes with a single-stranded DNA
- AU Azhayeva, Elena; Azhayev, Alex; Guzaev, Andrei; Hovinen, Jari; Loonnberg, Harri
- CS Dep. Chem., Univ. Turku, Turku, FIN-20500, Finland
- SO Nucleic Acids Research (1995), 23(7), 1170-6 CODEN: NARHAD; ISSN: 0305-1048
- PB Oxford University Press
- DT Journal
- LA English
- AB Several new branched, circular, and looped oligonucleotides were synthesized. 3'-Deoxypsicothymidine was employed to create the site of

branching when required. The circular and looped structures were obtained by oxidative disulfide bond formation between mercaptoalkyl tether groups. All the oligonucleotides prepd. contained two T11 sequences, and the branched and looped oligomers an addnl. alternating CT sequence. Melting expts. revealed that the branched oligonucleotides form relatively weak hybrid (double/triple helix) complexes with the single-stranded oligodeoxyribonucleotide, showing a considerable destabilizing effect produced by the structure at the point of branching. The data obtained with looped oligonucleotides demonstrated considerable stabilization of the hybrid (double/triple helix) complexes with the complement. The data reported may be useful in attempting to design new antisense or antigene oligonucleotides capable of forming selective and stable bimol. hybrid complexes with nucleic acids.

IT 153184-89-5 153214-48-3

RL: RCT (Reactant); RACT (Reactant or reagent) (complexes of looped oligonucleotides with single-stranded DNA)

RN 153184-89-5 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[(1,4-dioxopentyl)oxy]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 153214-48-3 CAPLUS

CN Thymidine, 1'-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] 5'-(4-oxopentanoate)
(9CI) (CA INDEX NAME)

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L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS
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AN 1994:681072 CAPLUS

DN 121:281072

TI Synthesis and Primer Properties of Oligonucleotides Containing
3'-Deoxypsicothymidine Units, Labeled with Fluorescein at the 1'-Position
All Guzaev Andrei: Azhaveva Flora: Howings Tari: Azhaveva Alexa Lorabava

AU Guzaev, Andrei; Azhayeva, Elena; Hovinen, Jari; Azhayev, Alex; Lonnberg, Harri

CS Department of Chemistry, University of Turku, Turku, FIN-20500, Finland

SO Bioconjugate Chemistry (1994), 5(6), 501-3 CODEN: BCCHES; ISSN: 1043-1802

DT Journal

LA English

AB Several analogs of the std. M13 sequencing primer that contain up to five 3'-deoxypsicothymidines, or one or two such units labeled with fluorescein at the 1'-position, have been prepd. All these oligonucleotides have been shown to prime the DNA-polymerase-catalyzed synthesis of DNA.

IT 153184-89-5P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and primer properties of oligonucleotides contg.

3'-deoxypsicothymidine units and labeled with fluorescein at 1'-position)

RN 153184-89-5 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-1'-C-[[(1,4-dioxopentyl)oxy]methyl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1994:409895 CAPLUS

DN 121:9895

TI Nucleosides and nucleotides. 121. Synthesis of oligonucleotides carrying linker groups at the 1'-position of sugar residues

AU Ono, Akira; Dan, Akihito; Matsuda, Akira

CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan

SO Bioconjugate Chemistry (1993), 4(6), 499-508

CODEN: BCCHES; ISSN: 1043-1802

DT Journal

LA English

GI

AB Novel 2'-deoxyuridine analogs, e.g. I, carrying aminoalkyl linkers at the 1'-position of the sugar residues were synthesized and incorporated into oligonucleotides, then intercalating groups such as an anthraquinone deriv. and a pyrene deriv. were attached to the amino groups. Duplexes consisting of the oligonucleotides carrying the liner groups and a complementary ribonucleotide were more stable than an unmodified parent duplex, but the duplexes consisting of the oligonucleotides and a complementary deoxyribonucleotide were less stable. The oligonucleotides

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carrying the linker groups were more resistant to nuclease P1 and venom phophosidesterase than an unmodified **oligonucleotide**. Furthermore, a duplex formed by the **oligonucleotide** analog and the complementary ribonucleotide was a substrate for RNase H.

IT 152773-17-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and incorporation of, into oligodeoxyribonucleotides)

RN 152773-17-6 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[14-(9H-fluoren-9-yl)-3,12-dioxo-2,13-dioxa-4,11-diazatetradec-1-yl]-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

(prepn. and reaction of, in synthesis of olidodeoxyribonucleotide duplexes)

RN 55697-36-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-3-deoxy-.beta.-D-erythro-2-hexulofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 150880-79-8 CAPLUS

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 150880-80-1 CAPLUS

CN Uridine, 5'-0-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN

152773-13-2 CAPLUS Uridine, 2'-deoxy-1'-C-[12-(9H-fluoren-9-yl)-3,10-dioxo-2,11-dioxa-4,9-diazadodec-1-yl]- (9CI) (CA INDEX NAME) CN

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RN 152773-14-3 CAPLUS CN Uridine, 2'-deoxy-1'-C-[14-(9H-fluoren-9-y1)-3,12-dioxo-2,13-dioxa-4,11-diazatetradec-1-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 152773-15-4 CAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-1'-C-[14-(9H-fluoren-9-yl)-3,12-dioxo-2,13-dioxa-4,11-diazatetradec-1-yl]- (9CI) (CAINDEX NAME)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in synthesis of oligodeoxyribonucleotide duplexes)

RN 145396-32-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(1,4,6-tri-O-benzoyl-.beta.-D-fructofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 150880-73-2P 150880-74-3P 150880-75-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in synthesis of oligodeoxyribonucleotides duplexes)

RN 150880-73-2 CAPLUS

CN Uridine, 1'-C-[[[[(4-aminobutyl)amino]carbonyl]oxy]methyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

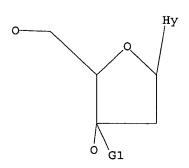
RN 150880-74-3 CAPLUS

CN Uridine, 1'-C-[[[[[4-(acetylamino)butyl]amino]carbonyl]oxy]methyl]-2'-deoxy- (9CI) (CA INDEX NAME)

RN 150880-75-4 CAPLUS
CN Uridine, 2'-deoxy-1'-C-[[[[[4-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]butyl]amino]carbonyl]oxy]methyl]- (9CI)
INDEX NAME)

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Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 4774 TO ITERATE

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563 ANSWERS

SEARCH TIME: 00.00.01

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*** YOU HAVE NEW MAIL ***
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L7
           166 L6
=> s 17 and oligonucleotide?
         61604 OLIGONUCLEOTIDE?
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            16 L7 AND OLIGONUCLEOTIDE?
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L8
     ANSWER 1 OF 16 CAPLUS COPYRIGHT 2003 ACS
AN
     2001:177459 CAPLUS
     137:201520
DN
TI
     Branched oligonucleotides containing bicyclic nucleotides as
     branching points and DNA or LNA as triplex forming branch. [Erratum to
     document cited in CA133:335424]
ΑU
     Sorensen, M. D.; Meldgaard, M.; Raunkjaer, M.; Rajwanshi, V. K.; Wengel,
CS
     Department of Chemistry, Center for Synthetic Bioorganic Chemistry,
     University of Copenhagen, Den.
SO
     Bioorganic & Medicinal Chemistry Letters (2001), 11(5), 751
     CODEN: BMCLE8; ISSN: 0960-894X
PΒ
     Elsevier Science Ltd.
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     Journal
LΑ
     English
AΒ
     The cor. author list is given.
TT
     302964-47-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (branched oligonucleotides contg. bicyclic nucleotides as
        branching points and DNA or LNA as triplex forming branch (Erratum))
     302964-47-2 CAPLUS
RN.
     2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[[bis(4-
CN
    methoxyphenyl) phenylmethoxy] methyl] -3-O-[[bis(1-methylethyl)amino](2-
     cyanoethoxy)phosphino]-3-C-[2-[(1,4-dioxopentyl)oxy]ethyl]-.alpha.-L-
     lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
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- L8 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2003 ACS
- AN 2000:565894 CAPLUS
- DN 133:335424
- TI Branched **oligonucleotides** containing bicyclic nucleotides as branching points and DNA or LNA as triplex forming branch
- AU Sorensen, M. D.; Meldgaard, M.; Rajwanshi, V. K.; Wengel, J.
- CS Department of Chemistry, Center for Synthetic Bioorganic Chemistry, University of Copenhagen, Den.
- SO Bioorganic & Medicinal Chemistry Letters (2000), 10(16), 1853-1856 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- AB Various Y-shaped branched oligonucleotides contg. a 2'-0,3'-C-ethylene linked or 2'-0,4'-C-methylene linked bicyclic nucleotide as branching point were synthesized on an automated DNA synthesizer. Thermal denaturation expts. at 260 and 284 nm showed increased thermal stabilities of complexes formed between these Y-shaped oligonucleotides and complementary DNA compared with those formed with the corresponding linear ref. The most significant effect was obsd. when LNA (locked nucleic acid) monomers were used in the triplex forming branch.
- IT 302964-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(branched oligonucleotides contg. bicyclic nucleotides as branching points and DNA or LNA as triplex forming branch)

- RN 302964-47-2 CAPLUS
- CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-3-C-[2-[(1,4-dioxopentyl)oxy]ethyl]-.alpha.-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

- RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L8 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2003 ACS
- AN 2000:125446 CAPLUS
- DN 132:293971

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Oligonucleotides containing novel 4'-C- or 3'-C-(aminoalkyl)-
TТ
     branched thymidines
     Pfundheller, Henrik M.; Bryld, Torsten; Olsen, Carl E.; Wengel, Jesper
ΑIJ
     Department of Chemistry, University of Southern Denmark, Odense
CS
     University, Odense M, DK-5230, Den.
     Helvetica Chimica Acta (2000), 83(1), 128-151
SO
     CODEN: HCACAV; ISSN: 0018-019X
     Verlag Helvetica Chimica Acta
PB
DT
     Journal
LΑ
     English
     The synthesis of four novel 3'-C-branched and 4'-C-branched nucleosides
AB
     and their transformation into the corresponding 3'-O-phosphoramidite
     building blocks for automated oligonucleotide synthesis is
     reported. The 4'-C-branched key intermediate 11 was synthesized by a
     convergent strategy and converted to its 2'-O-Me and 2'-deoxy-2'-fluoro
     derivs., leading to the prepn. of novel oligonucleotide analogs
     contg. 4'-C-(aminomethyl)-2'-O-Me monomer X and 4'-C-(aminomethyl)-2'-deoxy-2'-fluoro monomer Y. In general, increased binding affinity towards
     complementary single-stranded DNA and RNA was obtained with these analogs
     compared to the unmodified refs. The presence of monomer X or monomer Y
     in a 2'-O-methyl-RNA oligonucleotide had a neg. effect on the
     binding affinity of the 2'-O-methyl-RNA oligonucleotide towards
     DNA and RNA. Starting from the 3'-C-allyl deriv. 28, 3'-C-(3-aminopropyl)-
     protected nucleosides and 3'-O-phosphoramidite derivs. were synthesized,
     leading to novel oligonucleotide analogs contg.
     3'-C-(3-aminopropyl)thymidine monomer Z or the corresponding
     3'-C-(3-aminopropyl)-2'-O,5-dimethyluridine monomer W. Incorporation of
     the 2'-deoxy monomer Z induced no significant changes in the binding
     affinity towards DNA but decreased binding affinity towards RNA, while the
     2'-O-Me monomer Z induced decreased binding affinity towards DNA as well
     as RNA complements.
IT
     191163-49-2 199931-19-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (prepn._of_oligonucleotides contg._or_4'-C-_or___
        3'-C-(aminoalkyl)-branched thymidines)
RN
     191163-49-2 CAPLUS
     Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-3'-C-2-propenyl- (9CI) (CA
CN
```

Absolute stereochemistry.

INDEX NAME)

RN 199931-19-6 CAPLUS
CN Uridine, 3'-C-(3-hydroxypropyl)-5-methyl-3',5'-bis-0-(phenylmethyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 263547-19-9 CAPLUS

CN Uridine, 3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-5-methyl3',5'-bis-O-(phenylmethyl)-, 2'-(O-phenyl carbonothioate) (9CI) (CA INDEX NAME)

RN 263547-20-2 CAPLUS

CN Thymidine, 3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263547-21-3 CAPLUS

CN Thymidine, 3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263547-22-4 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-C-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]- (9CI) (CA INDEX NAME)